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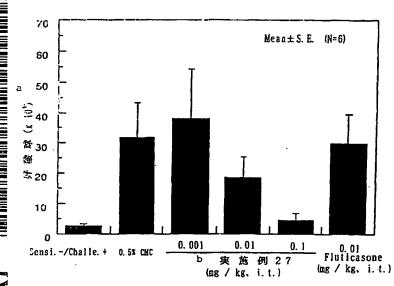
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(54) Title: NOVEL ADENINE DERIVATIVES

(54) 強弱の名称: 新規アデニン誘導体



(57) Abstract: Adenine derivatives represented by the following general formula (I) wherein X represents NR3 (wherein R3 represents hydrogen or C1-3 alkyl), etc.; R1 represents optionally substituted alkyl, etc.; R2 represents hydroxy, etc.; and Y represents an optionally substituted aromatic heterocycle, etc.; tautomers thereof or pharmaceutically acceptable salts thereof; and drugs such as interferon inducing agents, antiviral agents, anticancer agents, type 2 helper T cell-selective immune response inhibitors, antiallergic agents and immune response controlling agents containing the same as the active ingredient.

a...EOSINOPHIL (X10⁴)
b...EXAMPLE 27 (mg/kg, i.t.)

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(57) 要約:

一般式(I):

$$R^{1} \xrightarrow{X} N \xrightarrow{NH_{2}} R^{2}$$

$$Y \qquad (I)$$

〔式中、Xは、NR³(R³は水素原子若しくは炭素数 1~3のアルキル基)等を表し;R¹は、置換若しくは非置換のアルキル基等を表し;R³は、水酸基等を表し;Yは、置換若しくは非置換の芳香族へテロ環等を表す。〕で示されるアデニン誘導体若しくはその互変異性体又はそれらの薬学的に許容される塩、及び、これを有効成分とする、インターフェロン誘導剤、抗ウイルス剤、抗癌剤、タイプ2へルパーT細胞選択的免疫応答抑制剤、抗アレルギー剤及び免疫応答調節剤等の医薬に関する。

明細書

新規アデニン誘導体

技術分野

本発明は、B型及びC型肝炎、エイズなどのウイルス疾患、癌疾患などの予防 又は治療に対して有用なアデニン誘導体、並びにこれを有効成分とする、インタ ーフェロン誘導剤、抗ウイルス剤、抗癌剤、タイプ2ヘルパーT細胞選択的免疫 応答抑制剤、抗アレルギー剤及び免疫応答調節剤等の医薬に関する。

背景技術

インターフェロンは感染防御や免疫調節を担う最も重要な因子の一つであり、 B型及びC型肝炎治療剤、或いは癌免疫療法剤として既に実用化されている。特 にC型肝炎においては事実上唯一の治療薬となっている。インターフェロンは分 子量約2万のポリペプチドであり、遺伝子組換え法あるいは細胞培養法によって 製造され、注射によってのみが投与可能である。従って、経口投与可能なインターフェロン誘導剤が望まれている。

るが (EP 145,340) 、R-837のインターフェロン誘導活性は低く、副作用のため 経口剤分野での開発は中止されている。我々も特定のプリン誘導体にインターフェロン誘導作用があることを見出した (W099-28321) 。しかし、これらの化合物 は水溶性が低いために、消化管吸収率の点で必ずしも十分ではなかった。

一方、免疫応答において中心的役割を担っているのはヘルパーT細胞である。 ヘルパーT細胞にはTh1細胞とTh2細胞の2種類があり、Th1細胞の活性化に伴い産 生されるサイトカインにはインターロイキン-2(IL-2) やインターフェロン-γ (IFN-r) などがあり、Th2細胞から産生されるものには、インターロイキン-4 (IL-4) やインターロイキン-5 (IL-5) などがある。Th1側サイトカインはマク ロファージやナチュラルキラー細胞などの活性化を起こし、主にウイルス、バク テリアなどに対する感染防御などの細胞性免疫に関与していることが知られてい る。Th2側サイトカインはB細胞からの抗体産生などの液性免疫に関与している。 特にIL-4はB細胞にIgE抗体の産生を誘導させるほか、Th2細胞の分化増殖作用を 有する。IL-5は好酸球の活性化、分化増殖促進、寿命延長などの作用を有してお り、アレルギー性炎症でしばしば重要な役割を果たしている。実際に喘息、アト ピー性皮膚炎などTh2細胞を主体とするアレルギー性炎症の患者の患部において はこれらのTh2側サイトカインの増加が認められている。これらの疾患の治療に はステロイド剤がしばしば使用されるが、ステロイド剤の長期投与は広範な副作 用(糖尿病、骨粗鬆症、副腎機能不全、ムーンフェイスなど)が発現してしまう ことが問題である。またステロイド剤はTh1およびTh2いずれのT細胞に対しても 抑制的に作用するため、Thl細胞を抑制した結果として感染症を引き起こすこと がある。以上よりTh2側の免疫応答を選択的に抑制する薬剤は、感染症を起こす ことなく安全なアレルギー疾患の治療薬となることが期待できる。

発明の開示

前記状況に鑑み、本発明の目的は、B型及びC型肝炎、エイズなどのウイルス疾患、癌疾患およびタイプ2-ヘルパーT細胞を起因とする疾患などの予防又は治療に対して有効で、経口投与が可能な低分子であって、さらに物性(例えば溶解度、体内動態など)を向上させた化合物を提供することにある。

かかる背景から、本発明者らは鋭意検討した結果、特定構造を有するアデニン 誘導体が、際だったインターフェロン誘導活性およびタイプ2-ヘルパーT細胞選 択的免疫応答抑制作用を有するとともに、物性面で優れていることを見いだし、 本発明を完成するに至った。

即ち、本発明は以下の発明を包含する。

(1) 一般式(I):

$$R^1$$
 X
 N
 N
 R^2
 X
 Y
 Y
 Y

〔式中、Xは、NR³(R³は水素原子若しくは炭素数1~3のアルキル基)、酸素原子、又は硫黄原子を表し; R¹は、置換若しくは非置換のアルキニル基、置換若しくは非置換のアルケニル基、置換若しくは非置換のアリール基を表し; R²は、水酸基、メルカプト基、炭素数1~8のアシルオキシ基、又は炭素数2~8のアルコキシカルボニルオキシ基を表し; Yは、置換若しくは非置換のナフタレン環か、置換若しくは非置換の、窒素原子、酸素原子、及び硫黄原子からなる群から選択される1個若しくは2個のヘテロ原子を含む5又は6員単環芳香族ヘテロ環か、或いは、置換若しくは非置換の、窒素原子、酸素原子、及び硫黄原子からなる群から選択される1個若しくは2個のヘテロ原子を含む縮合2環性芳香族ヘテロ環を表す。〕で示されるアデニン誘導体若しくはその互変異性体又はそれらの薬学的に許容される塩。

- (2)前記一般式(I)において、R¹が炭素数1~8のアルキル基、炭素数2~8のアルケニル基、炭素数2~8のアルキニル基、炭素数2~8のアルコキシアルキル基、炭素数1~8のヒドロキシアルキル基、アリール基、ヘテロアリール基、アラルキル基、又はヘテロアリールアルキル基である前記(1)に記載の化合物。
- (3) 前記一般式 (I) において、R¹が炭素数1~6のアルキル基である前記 (1

-)又は(2)に記載の化合物。
- (4)前記一般式(I)において、Xが、NHである前記(1)~(3)のいずれかに記載の化合物。
- (5) 前記一般式(I) において、Xが、酸素原子である前記(1) \sim (3) のいずれかに記載の化合物。
- (6) 前記一般式 (I) において、Yが、非置換若しくは置換のピリジン環又は置換若しくは非置換のピラジン環である前記 (1) \sim (5) のいずれかに記載の化合物。
- (7)前記一般式(I)において、Yが、非置換若しくは置換のナフタレン環又は置換若しくは非置換のチオフェン環である前記(1)~(5)のいずれかに記載の化合物。
- (8)前記一般式(I)において、Yが、炭素数1~4のアルキル基、炭素数1~4のアルコキシ基、水酸基、メルカプト基、炭素数1~4のアルキルチオ基、ハロゲン原子、アミノ基、炭素数2~8のジアルキルアミノ基、炭素数1~4のモノアルキルアミノ基、ピロリジニル基、ピペリジノ基及びモルホリノ基からなる群から選択される置換基を、該Yがピリジン環の場合は1~4個、該Yがピラジン環の場合は1~3個任意の位置に有する前記(1)~(6)のいずれかに記載の化合物。
- (9)前記一般式(I)において、Yが、炭素数1~4のアルキル基、炭素数1~4のアルコキシ基、水酸基、メルカプト基、炭素数1~4のアルキルチオ基、ハロゲン原子、アミノ基、炭素数2~8のジアルキルアミノ基、炭素数1~4のモノアルキルアミノ基、ピロリジニル基、ピペリジノ基及びモルホリノ基からなる群から選択される置換基を有していてもよいピリジン環であり、R¹が炭素数1~6のアルキル基であり、R²が水酸基である前記(1)~(6)、(8)のいずれかに記載の化合物。
 - (10) Xが NH 又は酸素原子である (9) 記載の化合物。
 - (11)前記(1)~(10)のいずれかに記載の化合物を有効成分とする医薬
- (12) 前記(1)~(10)のいずれかに記載の化合物を有効成分とするインターフェロン誘導剤。

(13)前記(1)~(10)のいずれかに記載の化合物を有効成分とする抗ウイルス剤。

- (14)前記(1)~(10)のいずれかに記載の化合物を有効成分とする抗癌剤。
- (15)前記(1)~(10)のいずれかに記載の化合物を有効成分とするタイプ2ヘルパーT細胞選択的免疫応答抑制剤。
- (16) 前記(1) \sim (10) のいずれかに記載の化合物を有効成分とする抗アレルギー剤。
- (17)前記(1)~(10)のいずれかに記載の化合物を有効成分とする免疫 応答調節剤。

以下、本発明の化合物について詳細に説明する。

一般式(I)において、R¹におけるアルキル基、アルケニル基またはアルキニル基としては炭素数1~8のアルキル基、炭素数2~8のアルケニル基、炭素数2~8のアルキニル基が好ましい。さらに、R¹におけるアルキル基、アルケニル基またはアルキニル基の置換基としては、水酸基、炭素数1~8のアルコキシ基、アリール基、ヘテロアリール基、ハロゲン原子(塩素、フッ素、臭素、沃素等)を挙げることができ、特には、R¹における置換のアルキル基、アルケニル基またはアルキニル基としては炭素数2~8のアルコキシアルキル基、炭素数1~8のヒドロキシアルキル基、アラルキル基、及びヘテロアリールアルキル基等が好ましい。

前記炭素数1~8のアルキル基としては、例えばメチル基、エチル基、1-ブロピル基、2-プロピル基、1-ブチル基、2-プチル基、1-ペンチル基、2-ペンチル基、1-ヘキシル基、2-ヘキシル基、1-ヘプチル基、2-ヘプチル基、3-ヘプチル基、オクチル基、2-メチルプロピル基、2-メチルブチル基、3-メテルプチル基、2-メチルペンチル基、3-メチルペンチル基、2-メチルペンチル基、3-メチルペンチル基、メチルヘキシル基、メチルヘキシル基、メチルヘプチル基、1,1-ジメチルエチル基、1,1-ジメチルプロピル基、2-エチルヘキシル基、シクロペンチルメチル基、シクロペンチルメチル基、シクロプロピル基、シクロプチル基、シクロペンチル基、シクロペンチル基、シクロヘキシル基、シクロペンチル基、シクロヘキシル基、シクロヘキシル基、シクロヘキシル基、シクロヘギャル基、シクロヘキシル基、シクロヘキシル基、シクロイプチル基などが挙げられる。

前記炭素数2~8のアルケニル基としては、例えばビニル基、アリル基、クロチル基、1-プロペニル基、シクロペンテニル基、シクロペンタジエニル基、シクロヘキセニル基などが挙げられる。

前記炭素数2~8のアルキニル基としては、例えばエチニル基、プロピニル基、 プチニル基などが挙げられる。

前記炭素数1~8のヒドロキシアルキル基としては、例えば1-ヒドロキシエチル基、2-ヒドロキシエチル基、1-ヒドロキシプロピル基、2-ヒドロキシプロピル基、3-ヒドロキシプロピル基、1-ヒドロキシブチル基、2-ヒドロキシブチル基、3-ヒドロキシブチル基、4-ヒドロキシブチル基などが挙げられる。

前記アラルキル基としては、例えばベンジル基、1-フェネチル基、2-フェネチル基、フェニルプロピル基、フェニルブチル基などが挙げられる。

前記へテロアリールアルキル基としては、4-ピリジルメチル基、3-ピリジルメ チル基などが挙げられる。

前記炭素数2~8のアルコキシアルキル基としては、例えばメトキシメチル基、 2-メトキシエチル基、3-メトキシプロピル基、4-メトキシブチル基、エトキシメ チル基、2-エトキシエチル基、3-エトキシプロピルなどが挙げられる。

なお、上で示したR¹で表される各置換基は、アルキル基、ヒドロキシル基、メルカプト基、ハロゲン原子、アミノ基、アルコキシ基等の置換基を有していてもよい。

また一般式(I)において、R¹におけるアリール基またはヘテロアリール基としては、フェニル基、1-ナフチル基、2-ナフチル基、2-ピリジル基、3-ピリジル基、4-ピリジル基、2-ピリジニル基、4-ピリジル基、2-ピリジニル基、4-ピリミジニル基、4-ピリミジニル基、2-フリル基、3-フリル基、2-チエニル基、3-チエニル基などが挙げられる。上記アリール基またはヘテロアリール基は非置換あるいは置換基を有していてもよく、置換基としては炭素数1~4のアルキル基、炭素数1~4のアルコキシ基、水酸基、メルカプト基、炭素数1~4のアルキルチオ基、ハロゲン原子、アミノ基、炭素数2~8のジアルキルアミノ基、炭素数1~4のモノアルキルアミノ基、メチレンジオキシ基等が挙げられる。前記炭素数1~4のアルキル基としては、メチル基、エチル基、1-プロピル基、2-プロピル基、1-ブ

チル基、2-ブチル基などを挙げることができる。炭素数1~4のアルコキシ基としては、メトキシ基、エトキシ基、1-プロポキシ基、2-プロポキシ基、1-プトキシ基、2-プトキシ基などを挙げることができる。前記炭素数2~8のジアルキルアミノ基、ジプロピルアミノ基、ジプロピルアミノ基、ジブロピルアミノ基、ジブロピルアミノ基、ジブロピルアミノ基、ジブルアミノ基、エチルメチルアミノ基、メチルプロピルアミノ基などを挙げることができる。前記炭素数1~4のモノアルキルアミノ基などを挙げることができる。前記炭素数1~4のアルキルチョノ基、ブチルアミノ基などを挙げることができる。前記炭素数1~4のアルキルチオ基としては、メチルチオ基、エチルチオ基、1-プロピルチオ基、2-プロピルチオ基、1-プチルチオ基、2-ブチルチオ基、tーブチルチオ基などが挙げられる。前記ハロゲン原子としては、フッ素、塩素、臭素が挙げられる。

置換基を有するR¹におけるアリール基またはヘテロアリール基としては、2-メ テルフェニル基、3-メチルフェニル基、4-メチルフェニル基、2-エチルフェニル 基、3-エチルフェニル基、4-エチルフェニル基、2-プロピルフェニル基、3-プロ ピルフェニル基、4-プロピルフェニル基、2-イソプロピルフェニル基、3-イソプ ロピルフェニル基、4-イソプロピルフェニル基、2-ブチルフェニル基、3-ブチル フェニル基、4-ブチルフェニル基、2-クロロフェニル基、3-クロロフェニル基、 4-クロロフェニル基、2-フルオロフェニル基、3-フルオロフェニル基、4-フルオ ロフェニル基、2-メトキシフェニル基、3-メトキシフェニル基、4-メトキシフェ ニル基、2-エトキシフェニル基、3-エトキシフェニル基、4-エトキシフェニル基 、2-プロポキシフェニル基、3-プロポキシフェニル基、4-プロポキシフェニル基 、2-イソプロポキシフェニル基、3-イソプロポキシフェニル基、4-イソプロポキ シフェニル基、2-プトキシフェニル基、3-プトキシフェニル基、4-プトキシフェ ニル基、2-メチルアミノフェニル基、3-メチルアミノフェニル基、4-メチルアミ ノフェニル基、2-エチルアミノフェニル基、3-エチルアミノフェニル基、4-エチ ルアミノフェニル基、2-プロピルアミノフェニル基、3-プロピルアミノフェニル 基、4-プロピルアミノフェニル基、2-イソプロピルアミノフェニル基、3-イソプ ロピルアミノフェニル基、4-イソプロピルアミノフェニル基、2-プチルアミノフ ェニル基、3-ブチルアミノフェニル基、4-ブチルアミノフェニル基、2-ジメチル

アミノフェニル基、3-ジメチルアミノフェニル基、4-ジメチルアミノフェニル基 、2-ジエチルアミノフェニル基、3-ジエチルアミノフェニル基、4-ジエチルアミ ノフェニル基、2-ジプロピルアミノフェニル基、3-ジプロピルアミノフェニル基 、4-ジプロピルアミノフェニル基、2-ジブチルアミノフェニル基、3-ジブチルア ミノフェニル基、4-ジブチルアミノフェニル基、2-エチルメチルアミノフェニル 基、3-エチルメチルアミノフェニル基、4-エチルメチルアミノフェニル基、2-メ チルチオフェニル基、3-メチルチオフェニル基、4-メチルチオフェニル基、2-エ チルチオフェニル基、3-エチルチオフェニル基、4-エチルチオフェニル基、2-プ ロピルチオフェニル基、3-プロピルチオフェニル基、4-プロピルチオフェニル基 、2-イソプロピルチオフェニル基、3-イソプロピルチオフェニル基、4-イソプロ ピルチオフェニル基、2-プチルチオフェニル基、3-プチルチオフェニル基、4-プ チルチオフェニル基、2-メチル-1-ナフチル基、3-メチル-1-ナフチル基、4-メチ ル-1-ナフチル基、5-メチル-1-ナフチル基、6-メチル-1-ナフチル基、7-メチル-1-ナフチル基、8-メチル-1-ナフチル基、1-メチル-2-ナフチル基、3-メチル-2-ナフチル基、4-メチル-2-ナフチル基、5-メチル-2-ナフチル基、6-メチル-2-ナージ フチル基、7-メチル-2-ナフチル基、8-メチル-2-ナフチル基、2-メトキシ-1-ナ フチル基、3-メトキシ-1-ナフチル基、4-メトキシ-1-ナフチル基、5-メトキシ-1 -ナフチル基、6-メトキシ-1-ナフチル基、7-メトキシ-1-ナフチル基、8-メトキ シー1-ナフチル基、1-メトキシ-2-ナフチル基、3-メトキシ-2-ナフチル基、4-メ トキシ-2-ナフチル基、5-メトキシ-2-ナフチル基、6-メトキシ-2-ナフチル基、7 -メトキシ-2-ナフチル基、8-メトキシ-2-ナフチル基、2-エトキシ-1-ナフチル基 、3-エトキシ-1-ナフチル基、4-エトキシ-1-ナフチル基、5-エトキシ-1-ナフチ ル基、6-エトキシ-1-ナフチル基、7-エトキシ-1-ナフチル基、8-エトキシ-1-ナ フチル基、1-エトキシ-2-ナフチル基、3-エトキシ-2-ナフチル基、4-エトキシ-2 -ナフチル基、5-エトキシ-2-ナフチル基、6-エトキシ-2-ナフチル基、7-エトキ シ-2-ナフチル基、8-エトキシル-2-ナフチル基、2-ヒドロキシ-1-ナフチル基、3 -ヒドロキシ-1-ナフチル基、4-ヒドロキシ-1-ナフチル基、5-ヒドロキシ-1-ナフ チル基、6-ヒドロキシ-1-ナフチル基、7-ヒドロキシ-1-ナフチル基、8-ヒドロキ シ-1-ナフチル基、1-ヒドロキシ-2-ナフチル基、3-ヒドロキシ-2-ナフチル基、4

-ヒドロキシ-2-ナフチル基、5-ヒドロキシ-2-ナフチル基、6-ヒドロキシ-2-ナフ チル基、7-ヒドロキシ-2-ナフチル基、8-ヒドロキシ-2-ナフチル基、2-クロロ-1 -ナフチル基、3-クロロ-1-ナフチル基、4-クロロ-1-ナフチル基、5-クロロ-1-ナ フチル基、6-クロロ-1-ナフチル基、7-クロロ-1-ナフチル基、8-クロロ-1-ナフ チル基、1-クロロ-2-ナフチル基、3-クロロ-2-ナフチル基、4-クロロ-2-ナフチ ル基、5-クロロ-2-ナフチル基、6-クロロ-2-ナフチル基、7-クロロ-2-ナフチル 基、8-クロロ-2-ナフチル基、2-フルオロ-1-ナフチル基、3-フルオロ-1-ナフチ ル基、4-フルオロ-1-ナフチル基、5-フルオロ-1-ナフチル基、6-フルオロ-1-ナ フチル基、7-フルオロ-1-ナフチル基、8-フルオロ-1-ナフチル基、1-フルオロ-2 -ナフチル基、3-フルオロ-2-ナフチル基、4-フルオロ-2-ナフチル基、5-フルオ ロ-2-ナフチル基、6-フルオロ-2-ナフチル基、7-フルオロ-2-ナフチル基、8-フ ルオロ-2-ナフチル基、2-アミノ-1-ナフチル基、3-アミノ-1-ナフチル基、4-ア ミノ-1-ナフチル基、5-アミノ-1-ナフチル基、6-アミノ-1-ナフチル基、7-アミ ノ--1-ナフチル基、8-アミノ-1-ナフチル基、1-アミノ-2-ナフチル基、3-アミノ-2-ナフチル基、4-アミノ-2-ナフチル基、5-アミノ-2-ナフチル基、6-アミノ-2-ナフチル基、7-アミノ-2-ナフチル基、8-アミノ-2-ナフチル基、2-メチルアミノ -1-ナフチル基、3-メチルアミノ-1-ナフチル基、4-メチルアミノ-1-ナフチル基 、5-メチルアミノ-1-ナフチル基、6-メチルアミノ-1-ナフチル基、7-メチルアミ ノー1ーナフチル基、8ーメチルアミノー1ーナフチル基、1ーメチルアミノー2ーナフチル 基、3-メチルアミノ-2-ナフチル基、4-メチルアミノ-2-ナフチル基、5-メチルア ミノー2ーナフチル基、6ーメチルアミノー2ーナフチル基、7ーメチルアミノー2ーナフチ ル基、8-メチルアミノ-2-ナフチル基、2-ジメチルアミノ-1-ナフチル基、3-ジメ チルアミノ-1-ナフチル基、4-ジメチルアミノ-1-ナフチル基、5-ジメチルアミノ -1-ナフチル基、6-ジメチルアミノ-1-ナフチル基、7-ジメチルアミノ-1-ナフチ ル基、8-ジメチルアミノ-1-ナフチル基、1-ジメチルアミノ-2-ナフチル基、3-ジ メチルアミノ-2-ナフチル基、4-ジメチルアミノ-2-ナフチル基、5-ジメチルアミ ノ-2-ナフチル基、6-ジメチルアミノ-2-ナフチル基、7-ジメチルアミノ-2-ナフ チル基、8-ジメチルアミノ-2-ナフチル基、2-メチル-3-ピリジル基、4-メチル-3 -ピリジル基、5-メチル-3-ピリジル基、6-メチル-3-ピリジル基、3-メチル-2-ピ

リジル基、4-メチル-2-ピリジル基、5-メチル-2-ピリジル基、6-メチル-2-ピリ ジル基、2-メチル-4-ピリジル基、3-メチル-4-ピリジル基、5-メチル-4-ピリジ ル基、6-メチル-4-ピリジル基、2-エチル-3-ピリジル基、4-エチル-3-ピリジル 基、5-エチル-3-ピリジル基、6-エチル-3-ピリジル基、3-メチル-2-ピリジル基 、4-メチル-2-ピリジル基、5-メチル-2-ピリジル基、6-エチル-2-ピリジル基、2 -エチル-4-ピリジル基、3-エチル-4-ピリジル基、5-エチル-4-ピリジル基、6-エ チル-4-ピリジル基、2-メトキシ-3-ピリジル基、4-メトキシ-3-ピリジル基、5-メトキシ-3-ピリジル基、6-メトキシ-3-ピリジル基、3-メトキシ-2-ピリジル基 、4-メトキシ-2-ピリジル基、5-メトキシ-2-ピリジル基、6-メトキシ-2-ピリジ ル基、2-メトキシ-4-ピリジル基、3-メトキシ-4-ピリジル基、5-メトキシ-4-ピ リジル基、6-メトキシ-4-ピリジル基、2-エトキシ-3-ピリジル基、4-エトキシ-3 -ピリジル基、5-エトキシ-3-ピリジル基、6-エトキシ-3-ピリジル基、3-エトキ シ-2-ピリジル基、4-エトキシ-2-ピリジル基、5-エトキシ-2-ピリジル基、6-エ トキシ-2-ピリジル基、2-エトキシ-4-ピリジル基、3-エトキシ-4-ピリジル基、5 -エトキシ-4-ピリジル基、6-エトキシ-4-ピリジル基、2-ヒドロキシ-3-ピリジル 基、4-ヒドロキシ-3-ピリジル基、5-ヒドロキシ-3-ピリジル基、6-ヒドロキシ-3 -ピリジル基、3-ヒドロキシ-2-ピリジル基、4-ヒドロキシ-2-ピリジル基、5-ヒ ドロキシ-2-ピリジル基、6-ヒドロキシ-2-ピリジル基、2-ヒドロキシ-4-ピリジ ル基、3-ヒドロキシ-4-ピリジル基、5-ヒドロキシ-4-ピリジル基、6-ヒドロキシ -4-ピリジル基、2-メルカプト-3-ピリジル基、4-メルカプト-3-ピリジル基、5-メルカプト-3-ピリジル基、6-メルカプト-3-ピリジル基、3-メルカプト-2-ピリ ジル基、4-メルカプト-2-ピリジル基、5-メルカプト-2-ピリジル基、6-メルカプ ト-2-ピリジル基、2-メルカプト-4-ピリジル基、3-メルカプト-4-ピリジル基、5 -メルカプト-4-ピリジル基、6-メルカプト-4-ピリジル基、2-メチルチオ-3-ピリ ジル基、4-メチルチオ-3-ピリジル基、5-メチルチオ-3-ピリジル基、6-メチルチ オ-3-ピリジル基、3-メチルチオ-2-ピリジル基、4-メチルチオ-2-ピリジル基、5 -メチルチオ-2-ピリジル基、6-メチルチオ-2-ピリジル基、2-メチルチオ-4-ピリ ジル基、3-メチルチオ-4-ピリジル基、5-メチルチオ-4-ピリジル基、6-メチルチ オ-4-ピリジル基、2-クロロ-3-ピリジル基、4-クロロ-3-ピリジル基、5-クロロ-

3-ピリジル基、6-クロロ-3-ピリジル基、3-クロロ-2-ピリジル基、4-クロロ-2-ピリジル基、5-クロロ-2-ピリジル基、6-クロロ-2-ピリジル基、2-クロロ-4-ピ リジル基、3-クロロ-4-ピリジル基、5-クロロ-4-ピリジル基、6-クロロ-4-ピリ ジル基、2-アミノ-3-ピリジル基、4-アミノ-3-ピリジル基、5-アミノ-3-ピリジ ル基、6-アミノ-3-ピリジル基、3-アミノ-2-ピリジル基、4-アミノ-2-ピリジル 基、5-アミノ-2-ピリジル基、6-アミノ-2-ピリジル基、2-アミノ-4-ピリジル基 、3-アミノ-4-ピリジル基、5-アミノ-4-ピリジル基、6-アミノ-4-ピリジル基、2 -モノメチルアミノ-3-ピリジル基、4-モノメチルアミノ-3-ピリジル基、5-モノ メチルアミノ-3-ピリジル基、6-モノメチルアミノ-3-ピリジル基、3-モノメチル アミノ-2-ピリジル基、4-モノメチルアミノ-2-ピリジル基、5-モノメチルアミノ -2-ピリジル基、6-モノメチルアミノ-2-ピリジル基、2-モノメチルアミノ-4-ピ リジル基、3-モノメチルアミノ-4-ピリジル基、5-モノメチルアミノ-4-ピリジル 基、6-モノメチルアミノ-4-ピリジル基、2-ジメチルアミノ-3-ピリジル基、4-ジ メチルアミノ-3-ピリジル基、5-ジメチルアミノ-3-ピリジル基、6-ジメチルアミ ノ-3-ピリジル基、3-ジメチルアミノ-2-ピリジル基、4-ジメチルアミノ-2-ピリ ジル基、5-ジメチルアミノ-2-ピリジル基、6-ジメチルアミノ-2-ピリジル基、2-ジメチルアミノ-4-ピリジル基、3-ジメチルアミノ-4-ピリジル基、5-ジメチルア ミノ-4-ピリジル基、6-ジメチルアミノ-4-ピリジル基、2-フルオロ-3-ピリジル 基、4-フルオロ-3-ピリジル基、5-フルオロ-3-ピリジル基、6-フルオロ-3-ピリ ジル基、3-フルオロ-2-ピリジル基、4-フルオロ-2-ピリジル基、5-フルオロ-2-ピリジル基、6-フルオロ-2-ピリジル基、2-フルオロ-4-ピリジル基、3-フルオロ -4-ピリジル基、5-フルオロ-4-ピリジル基、6-フルオロ-4-ピリジル基、2,4-ジ メチル-3-ピリジル基、2,6-ジメチル-3-ピリジル基、5,6-ジメチル-3-ピリジル 基、4,6-ジメチル-3-ピリジル基、4,5-ジメチル-2-ピリジル基、5,6-ジメチル-2 -ピリジル基、2,3-ジメチル-4-ピリジル基、2,6-ジメチル-4-ピリジル基、2,4-ジメトキシ-3-ピリジル基、2,6-ジメトキシ-3-ピリジル基、5,6-ジメトキシ-3-ピリジル基、4,6-ジメトキシ-3-ピリジル基、4,5-ジメトキシ-2-ピリジル基、5. 6-ジメトキシ-2-ピリジル基、2,3-ジメトキシ-4-ピリジル基、2,6-ジメトキシ-4 -ピリジル基、2-クロロ-6-メチル-3-ピリジル基、6-クロロ-2-メチル-3-ピリジ

ル、2-クロロ-6-メトキシ-3-ピリジル基、6-クロロ-2-メトキシ-3-ピリジル基、 5-メチル-6-クロロ-3-ピリジル基、5-メトキシ-6-クロロ-3-ピリジル基、5-エト キシ-6-クロロ-3-ピリジル基、5-クロロ-6-メチル-3-ピリジル基、5-メトキシ-6 -メチル-3-ピリジル基、5-エトキシ-6-メチル-3-ピリジル基、5-クロロ-6-メト キシ-3-ピリジル基、5-クロロ-6-エトキシ-3-ピリジル基、2, 5, 6-トリメチル-3-ピリジル基、2-ピラジニル基、5-メチル-2-ピラジニル基、6-メチル-2-ピラジニ ル基、5-メトキシ-2-ピラジニル基、6-メトキシ-2-ピラジニル基、5-エトキシ-2 --ピラジニル基、6-エトキシ-2-ピラジニル基、5-クロロ-2-ピラジニル基、6-ク ロロ-2-ピラジニル基、3-メチル-2-フリル基、4-メチル-2-フリル基、5-メチル-2-フリル基、2-メチル-3-フリル基、4-メチル-3-フリル基、5-メチル-3-フリル 基、3-メトキシ-2-フリル基、4-メトキシ-2-フリル基、5-メトキシ-2-フリル基 、2-メトキシ-3-フリル基、4-メトキシ-3-フリル基、5-メトキシ-3-フリル基、3 -クロロ-2-フリル基、4-クロロ-2-フリル基、5-クロロ-2-フリル基、2-クロロ-3 ... -フリル基、4-クロロ-3-フリル基、5-クロロ-3-フリル基、3-フルオロ-2-フリル 基、4-フルオロ-2-フリル基、5-フルオロ-2-フリル基、2-フルオロ-3-フリル基 、4-フルオロ-3-フリル基、5-フルオロ-3-フリル基、3-メチル-2-チエニル基、4 -メチル-2-チエニル基、5-メチル-2-チエニル基、2-メチル-3-チエニル基、4-メ チル-3-チエニル基、5-メチル-3-チエニル基、3-メトキシ-2-チエニル基、4-メ トキシ-2-チエニル基、5-メトキシ-2-チエニル基、2-メトキシ-3-チエニル基、4 -メトキシ-3-チエニル基、5-メトキシ-3-チエニル基、3-クロロ-2-チエニル基、 4-クロロ-2-チエニル基、5-クロロ-2-チエニル基、2-クロロ-3-チエニル基、4-クロロ-3-チエニル基、5-クロロ-3-チエニル基、3-フルオロ-2-チエニル基、4-フルオロ-2-チエニル基、5-フルオロ-2-チエニル基、2-フルオロ-3-チエニル基 、4-フルオロ-3-チエニル基、5-フルオロ-3-チエニル基などが挙げられる。

一般式(I)において、 R^2 で表される置換基としては水酸基、メルカプト基、 炭素数 $1\sim 8$ のアシルオキシ基、又は炭素数 $2\sim 8$ のアルコキシカルボニルオキシ 基等が挙げられる。

前記炭素数1~8のアシルオキシ基としては、例えばホルミルオキシ基、アセチルオキシ基、プロピオニルオキシ基、ブタノイルオキシ基、ペンタノイルオキ

シ基、ヘキサノイルオキシ基、ヘプタノイルオキシ基、オクタノイルオキシ基、 ベンゾイルオキシ基などが挙げられる。

前記炭素数2~8のアルコキシカルボニルオキシ基としては、例えばメトキシカルボニルオキシ基、エトキシカルボニルオキシ基、プロポキシカルボニルオキシ基、ヘキシ基、プトキシカルボニルオキシ基、ペンチルオキシカルボニルオキシ基、ヘキシルオキシカルボニルオキシ基、イソプロピルオキシカルボニルオキシ基、イソプチルオキシカルボニルオキシ基、t-プチルオキシカルボニルオキシ基、イソペンチルオキシカルボニルオキシ基、ベンジルオキシカルボニルオキシ基などが挙げられる。

一般式(I)において、XとしてはNR³、酸素原子、又は硫黄原子のいずれかであるが、前記R³は水素原子または炭素数1~3のアルキル基で、当該アルキル基としては、メチル基、エチル基、n-プロピル基、イソプロピル基等である。

一般式(I)Yにおける、窒素原子、酸素原子、または硫黄原子から選択され る1もしくは2個のヘテロ原子を含む5または6員単環芳香族ヘテロ環としては 、チオフェン環、フラン環、ピロール環、チアゾール環、イソオキサゾール環、 オキサゾール環、ピラゾール環、イミダゾール環、ピリジン環、ピラジン環、ピ リミジン環、またはビリダジン環などが挙げられ、窒素原子、酸素原子、または 硫黄原子から選択される1もしくは2個のヘテロ原子を含む縮合2環性芳香族ヘテー ロ環としては、ベンゾチオフェン環、ベンゾフラン環、インドール環、ベンゾチ アゾール環、ベンゾオキサゾール環、ベンゾイミダゾール環、キノリン環、イソ キノリン環などが挙げられる。上記のYは、非置換でも、また置換基によってそ の一部が置換されていてもよい。好ましいYとしては、ナフタレン環、チオフェ ン環、ピリジン環及びピラジン環が挙げられ、これらの環は非置換でも、また置 換基によってその一部が置換されていてもよい。例えば当該Yがピリジン環であ る場合は1~4個の置換基によってピリジン環の任意の位置に置換されていてもよ く、当該Yがピラジン環である場合は1~3個の置換基によってピラジン環の任意 … の位置に置換されていてもよい。また、当該Yが2個以上の置換基によって置換さ れている場合は、それぞれの置換基は互いに同一でも異なっていてもよい。

このYの置換基としては炭素数1~4のアルキル基、炭素数1~4のアルコキシ基

、水酸基、メルカプト基、炭素数1~4のアルキルチオ基、ハロゲン原子、アミノ基、炭素数2~8のジアルキルアミノ基、炭素数1~4のモノアルキルアミノ基、1-ピロリジニル、2-ピロリジニル基、3-ピロリジニル基、ピペリジノ基、モルホリノ基、3-モルホリニル基等が挙げられる。前記炭素数1~4のアルキル基及び炭素数1~4のアルコキシ基、さらには前記炭素数2~8のジアルキルアミノ基及び炭素数1~4のアルキルアミノ基中のアルキル基は、先に述べたR¹の場合と同様である。前記炭素数1~4のアルキルチオ基としては、メチルチオ基、エチルチオ基、1-プロピルチオ基、2-プロピルチオ基、1-プチルチオ基、2-プチルチオ基、1-プチルチオ基、1-プチルチオ基、2-プチルチオ基、1-プチルチオ基、5-プチルチオ基、1-プチルチオース・塩素、臭素が挙げられる。前記ハロゲン原子としては、フッ素、塩素、臭素が挙げられる。

置換基を有するYとしては、2-メチル-1-ナフチル基、3-メチル-1-ナフチル基 、4-メチル-1-ナフチル基、5-メチル-1-ナフチル基、6-メチル-1-ナフチル基、7 -メチル-1-ナフチル基、8-メチル-1-ナフチル基、1-メチル-2-ナフチル基、3-メ チル-2-ナフチル基、4-メチル-2-ナフチル基、5-メチル-2-ナフチル基、6-メチ ル-2-ナフチル基、7-メチル-2-ナフチル基、8-メチル-2-ナフチル基、2-メトキ シ-1-ナフチル基、3-メトキシ-1-ナフチル基、4-メトキシ-1-ナフチル基、5-メ トキシ-1-ナフチル基、6-メトキシ-1-ナフチル基、7-メトキシ-1-ナフチル基、8 -メトキシ-1-ナフチル基、1-メトキシ-2-ナフチル基、3-メトキシ-2-ナフチル基 、4-メトキシ-2-ナフチル基、5-メトキシ-2-ナフチル基、6-メトキシ-2-ナフチ ル基、7-メトキシ-2-ナフチル基、8-メトキシ-2-ナフチル基、2-エトキシ-1-ナ フチル基、3-エトキシ-1-ナフチル基、4-エトキシ-1-ナフチル基、5-エトキシ-1 -ナフチル基、6-エトキシ-1-ナフチル基、7-エトキシ-1-ナフチル基、8-エトキ シ-1-ナフチル基、1-エトキシ-2-ナフチル基、3-エトキシ-2-ナフチル基、4-エ トキシ-2-ナフチル基、5-エトキシ-2-ナフチル基、6-エトキシ-2-ナフチル基、7 -エトキシ-2-ナフチル基、8-エトキシ-2-ナフチル基、2-ヒドロキシ-1-ナフチル 基、3-ヒドロキシ-1-ナフチル基、4-ヒドロキシ-1-ナフチル基、5-ヒドロキシ-1 -ナフチル基、6-ヒドロキシ-1-ナフチル基、7-ヒドロキシ-1-ナフチル基、8-ヒ ドロキシ-1-ナフチル基、1-ヒドロキシ-2-ナフチル基、3-ヒドロキシ-2-ナフチ ル基、4-ヒドロキシ-2-ナフチル基、5-ヒドロキシ-2-ナフチル基、6-ヒドロキシー

-2-ナフチル基、7-ヒドロキシ-2-ナフチル基、8-ヒドロキシ-2-ナフチル基、2-クロロ-1-ナフチル基、3-クロロ-1-ナフチル基、4-クロロ-1-ナフチル基、5-ク ロロ-1-ナフチル基、6-クロロ-1-ナフチル基、7-クロロ-1-ナフチル基、8-クロ ロ-1-ナフチル基、1-クロロ-2-ナフチル基、3-クロロ-2-ナフチル基、4-クロロ-2-ナフチル基、5-クロロ-2-ナフチル基、6-クロロ-2-ナフチル基、7-クロロ-2-ナフチル基、8-クロロ-2-ナフチル基、2-フルオロ-1-ナフチル基、3-フルオロ-1 -ナフチル基、4-フルオロ-1-ナフチル基、5-フルオロ-1-ナフチル基、6-フルオ ロ-1-ナフチル基、7-フルオロ-1-ナフチル基、8-フルオロ-1-ナフチル基、1-フ .ルオロ-2-ナフチル基、3-フルオロ-2-ナフチル基、4-フルオロ-2-ナフチル基、5 -フルオロ-2-ナフチル基、6-フルオロ-2-ナフチル基、7-フルオロ-2-ナフチル基 、8-フルオロ-2-ナフチル基、2-アミノ-1-ナフチル基、3-アミノ-1-ナフチル基 、4-アミノ-1-ナフチル基、5-アミノ-1-ナフチル基、6-アミノ-1-ナフチル基、7 -アミノ-1-ナフチル基、8-アミノ-1-ナフチル基、1-アミノ-2-ナフチル基、3-ア ミノ-2-ナフチル基、4-アミノ-2-ナフチル基、5-アミノ-2-ナフチル基、6-アミ / ノ-2-ナフチル基、7-アミノ-2-ナフチル基、8-アミノ-2-ナフチル基、2-メチル・ アミノ-l-ナフチル基、3-メチルアミノ-l-ナフチル基、4-メチルアミノ-l-ナフ チル基、5-メチルアミノ-1-ナフチル基、6-メチルアミノ-1-ナフチル基、7-メチ ルアミノ-1-ナフチル基、8-メチルアミノ-1-ナフチル基、1-メチルアミノ-2-ナ フチル基、3-メチルアミノ-2-ナフチル基、4-メチルアミノ-2-ナフチル基、5-メ テルアミノ-2-ナフチル基、6-メチルアミノ-2-ナフチル基、7-メチルアミノ-2-ナフチル基、8-メチルアミノ-2-ナフチル基、2-ジメチルアミノ-1-ナフチル基、 3-ジメチルアミノ-1-ナフチル基、4-ジメチルアミノ-1-ナフチル基、5-ジメチル アミノ-1-ナフチル基、6-ジメチルアミノ-1-ナフチル基、7-ジメチルアミノ-1-ナフチル基、8-ジメチルアミノ-1-ナフチル基、1-ジメチルアミノ-2-ナフチル基 、3-ジメチルアミノ-2-ナフチル基、4-ジメチルアミノ-2-ナフチル基、5-ジメチ ルアミノ-2-ナフチル基、6-ジメチルアミノ-2-ナフチル基、7-ジメチルアミノ-2 -ナフチル基、8-ジメチルアミノ-2-ナフチル基、3-メチル-2-チエニル基、4-メ チル-2-チエニル基、5-メチル-2-チエニル基、2-メチル-3-チエニル基、4-メチ ル-3-チエニル基、5-メチル-3-チエニル基、3-メトキシ-2-チエニル基、4-メト

キシ-2-チエニル基、5-メトキシ-2-チエニル基、2-メトキシ-3-チエニル基、4-メトキシ-3-チエニル基、5-メトキシ-3-チエニル基、3-クロロ-2-チエニル基、4 -クロロ-2-チエニル基、5-クロロ-2-チエニル基、2-クロロ-3-チエニル基、4-ク ロロ-3-チエニル基、5-クロロ-3-チエニル基、3-フルオロ-2-チエニル基、4-フ ルオロ-2-チエニル基、5-フルオロ-2-チエニル基、2-フルオロ-3-チエニル基、4 -フルオロ-3-チエニル基、5-フルオロ-3-チエニル基、3-メチル-2-フリル基、4-メチル-2-フリル基、5-メチル-2-フリル基、2-メチル-3-フリル基、4-メチル-3-フリル基、5-メチル-3-フリル基、3-メトキシ-2-フリル基、4-メトキシ-2-フリ ル基、5-メトキシ-2-フリル基、2-メトキシ-3-フリル基、4-メトキシ-3-フリル 基、5-メトキシ-3-フリル基、3-クロロ-2-フリル基、4-クロロ-2-フリル基、5-クロロ-2-フリル基、2-クロロ-3-フリル基、4-クロロ-3-フリル基、5-クロロ-3-フリル基、3-フルオロ-2-フリル基、4-フルオロ-2-フリル基、5-フルオロ-2-フ リル基、2-フルオロ-3-フリル基、4-フルオロ-3-フリル基、5-フルオロ-3-フリ ル基、3-メチル-2-ピロリル基、4-メチル-2-ピロリル基、5-メチル-2-ピロリル 基、2-メチル-3-ピロリル基、4-メチル-3-ピロリル基、5-メチル-3-ピロリル基 、3-メトキシ-2-ピロリル基、4-メトキシ-2-ピロリル基、5-メトキシ-2-ピロリ ル基、2-メトキシ-3-ピロリル基、4-メトキシ-3-ピロリル基、5-メトキシ-3-ピ ロリル基、3-クロロ-2-ピロリル基、4-クロロ-2-ピロリル基、5-クロロ-2-ピロ リル基、2-クロロ-3-ピロリル基、4-クロロ-3-ピロリル基、5-クロロ-3-ピロリ ル基、3-フルオロ-2-ピロリル基、4-フルオロ-2-ピロリル基、5-フルオロ-2-ピ ロリル基、2-フルオロ-3-ピロリル基、4-フルオロ-3-ピロリル基、5-フルオロ-3 -ピロリル基、1-メチル-2-イミダゾリル基、4-メチル-2-イミダゾリル基、1-メ チル-4-イミダゾリル基、2-メチル-4-イミダゾリル基、5-メチル-4-イミダゾリ ル基、1-メチル-5-イミダゾリル基、4-メトキシ-2-イミダゾリル基、2-メトキシ -4-イミダゾリル基、5-メトキシ-4-イミダゾリル基、4-クロロ-2-イミダゾリル 基、2-クロロ-4-イミダゾリル基、5-クロロ-4-イミダゾリル基、4-フルオロ-2-イミダゾリル基、2-フルオロ-4-イミダゾリル基、5-フルオロ-4-イミダゾリル基 、2-メチル-3-ピリジル基、4-メチル-3-ピリジル基、5-メチル-3-ピリジル基、6 -メチル-3-ピリジル基、3-メチル-2-ピリジル基、4-メチル-2-ピリジル基、5-メ

チル-2-ピリジル基、6-メチル-2-ピリジル基、2-メチル-4-ピリジル基、3-メチ ル-4-ピリジル基、5-メチル-4-ピリジル基、6-メチル-4-ピリジル基、2-エチル-3-ピリジル基、4-エチル-3-ピリジル基、5-エチル-3-ピリジル基、6-エチル-3-ピリジル基、3-メチル-2-ピリジル基、4-メチル-2-ピリジル基、5-メチル-2-ピ リジル基、6-エチル-2-ピリジル基、2-エチル-4-ピリジル基、3-エチル-4-ピリ ジル基、5-エチル-4-ピリジル基、6-エチル-4-ピリジル基、2-メトキシ-3-ピリ ジル基、4-メトキシ-3-ピリジル基、5-メトキシ-3-ピリジル基、6-メトキシ-3-ピリジル基、3-メトキシ-2-ピリジル基、4-メトキシ-2-ピリジル基、5-メトキシ -2-ピリジル基、6-メトキシ-2-ピリジル基、2-メトキシ-4-ピリジル基、3-メト キシ-4-ピリジル基、5-メトキシ-4-ピリジル基、6-メトキシ-4-ピリジル基、2-エトキシ-3-ピリジル基、4-エトキシ-3-ピリジル基、5-エトキシ-3-ピリジル基 、6-エトキシ-3-ピリジル基、3-エトキシ-2-ピリジル基、4-エトキシ-2-ピリジ ル基、5-エトキシ-2-ピリジル基、6-エトキシ-2-ピリジル基、2-エトキシ-4-ピ リジル基、3-エトキシ-4-ピリジル基、5-エトキシ-4-ピリジル基、6-エトキシ-4 -ピリジル基、2-ヒドロキシ-3-ピリジル基、4-ヒドロキシ-3-ピリジル基、5-ヒ ドロキシ-3-ピリジル基、6-ヒドロキシ-3-ピリジル基、3-ヒドロキシ-2-ピリジ ル基、4-ヒドロキシ-2-ピリジル基、5-ヒドロキシ-2-ピリジル基、5-ヒドロキシ -2-ピリジル基、2-ヒドロキシ-4-ピリジル基、3-ヒドロキシ-4-ピリジル基、5-ヒドロキシ-4-ピリジル基、6-ヒドロキシ-4-ピリジル基、2-メルカプト-3-ピリ ジル基、4-メルカプト-3-ピリジル基、5-メルカプト-3-ピリジル基、6-メルカプ ト-3-ピリジル基、3-メルカプト-2-ピリジル基、4-メルカプト-2-ピリジル基、5 -メルカプト-2-ピリジル基、6-メルカプト-2-ピリジル基、2-メルカプト-4-ピリ ′ジル基、3-メルカプト-4-ピリジル基、5-メルカプト-4-ピリジル基、6-メルカプ ト-4-ピリジル基、2-メチルチオ-3-ピリジル基、4-メチルチオ-3-ピリジル基、5 -メチルチオ-3-ピリジル基、6-メチルチオ-3-ピリジル基、3-メチルチオ-2-ピリ ジル基、4-メチルチオ-2-ピリジル基、5-メチルチオ-2-ピリジル基、6-メチルチ オ-2-ピリジル基、2-メチルチオ-4-ピリジル基、3-メチルチオ-4-ピリジル基、5 -メチルチオ-4-ピリジル基、6-メチルチオ-4-ピリジル基、2-クロロ-3-ピリジル 基、4-クロロ-3-ピリジル基、5-クロロ-3-ピリジル基、6-クロロ-3-ピリジル基

、3-クロロ-2-ピリジル基、4-クロロ-2-ピリジル基、5-クロロ-2-ピリジル基、6 _-クロロ-2-ピリジル基、2-クロロ-4-ピリジル基、3-クロロ-4-ピリジル基、5-ク ロロ-4-ピリジル基、6-クロロ-4-ピリジル基、2-アミノ-3-ピリジル基、4-アミ ノ-3-ピリジル基、5-アミノ-3-ピリジル基、6-アミノ-3-ピリジル基、3-アミノ-2-ピリジル基、4-アミノ-2-ピリジル基、5-アミノ-2-ピリジル基、6-アミノ-2-ピリジル基、2-アミノ-4-ピリジル基、3-アミノ-4-ピリジル基、5-アミノ-4-ピ リジル基、6-アミノ-4-ピリジル基、2-モノメチルアミノ-3-ピリジル基、4-モノ メチルアミノ-3-ピリジル基、5-モノメチルアミノ-3-ピリジル基、6-モノメチル アミノ-3-ピリジル基、3-モノメチルアミノ-2-ピリジル基、4-モノメチルアミノ -2-ピリジル基、5-モノメチルアミノ-2-ピリジル基、6-モノメチルアミノ-2-ピ リジル基、2-モノメチルアミノ-4-ピリジル基、3-モノメチルアミノ-4-ピリジル. 基、5-モノメチルアミノ-4-ピリジル基、6-モノメチルアミノ-4-ピリジル基、2-ジメチルアミノ-3-ピリジル基、4-ジメチルアミノ-3-ピリジル基、5-ジメチルア ミノ-3-ピリジル基、6-ジメチルアミノ-3-ピリジル基、3-ジメチルアミノ-2-ピ リジル基、4-ジメチルアミノ-2-ピリジル基、5-ジメチルアミノ-2-ピリジル基、 6-ジメチルアミノ-2-ピリジル基、2-ジメチルアミノ-4-ピリジル基、3-ジメチル アミノ-4-ピリジル基、5-ジメチルアミノ-4-ピリジル基、6-ジメチルアミノ-4-ピリジル基、2-(1-ピロリジニル)-3-ピリジル基、4-(1-ピロリジニル)-3-ピリジ ル基、5-(1-ピロリジニル)-3-ピリジル基、6-(1-ピロリジニル)-3-ピリジル基、 3-(1-ピロリジニル)-2-ピリジル基、4-(1-ピロリジニル)-2-ピリジル基、5-(1-ピロリジニル)-2-ピリジル基、6-(1-ピロリジニル)-2-ピリジル基、2-(1-ピロリ ジニル)-4-ピリジル基、3-(1-ピロリジニル)-4-ピリジル基、5-(1-ピロリジニル)-4-ピリジル基、6-(1-ピロリジニル)-4-ピリジル基、2-ピペリジノ-3-ピリジル 基、4-ピペリジノ-3-ピリジル基、5-ピペリジノ-3-ピリジル基、6-ピペリジノ-3 -ピリジル基、3-ピペリジノ-2-ピリジル基、4-ピペリジノ-2-ピリジル基、5-ピ ペリジノ-2-ピリジル基、6-ピペリジノ-2-ピリジル基、2-ピペリジノ-4-ピリジ ル基、3-ピペリジノ-4-ピリジル基、5-ピペリジノ-4-ピリジル基、6-ピペリジノ -4-ピリジル基、2-モルホリノ-3-ピリジル基、4-モルホリノ-3-ピリジル基、5-モルホリノ-3-ピリジル基、6-モルホリノ-3-ピリジル基、3-モルホリノ-2-ピリ

ジル基、4-モルホリノ-2-ピリジル基、5-モルホリノ-2-ピリジル基、6-モルホリ ノ-2-ピリジル基、2-モルホリノ-4-ピリジル基、3-モルホリノ-4-ピリジル基、5 -モルホリノ-4-ピリジル基、6-モルホリノ-4-ピリジル基、2-フルオロ-3-ピリジ ル基、4-フルオロ-3-ピリジル基、5-フルオロ-3-ピリジル基、6-フルオロ-3-ピ リジル基、3-フルオロ-2-ピリジル基、4-フルオロ-2-ピリジル基、5-フルオロ-2 -ピリジル基、6-フルオロ-2-ピリジル基、2-フルオロ-4-ピリジル基、3-フルオ ロ-4-ピリジル基、5-フルオロ-4-ピリジル基、6-フルオロ-4-ピリジル基、2.4-ジメチル-3-ピリジル基、2,6-ジメチル-3-ピリジル基、5,6-ジメチル-3-ピリジ ル基、4,6-ジメチル-3-ピリジル基、4,5-ジメチル-2-ピリジル基、5,6-ジメチル -2-ピリジル基、2,3-ジメチル-4-ピリジル基、2,6-ジメチル-4-ピリジル基、2,4 -ジメトキシ-3-ピリジル基、2,6-ジメトキシ-3-ピリジル基、5,6-ジメトキシ-3-ピリジル基、4,6-ジメトキシ-3-ピリジル基、4,5-ジメトキシ-2-ピリジル基、5, 6-ジメトキシ-2-ピリジル基、2,3-ジメトキシ-4-ピリジル基、2,6-ジメトキシ-4 -ピリジル基、2-クロロ-6-メチル-3-ピリジル基、6-クロロ-2-メチル-3-ピリジ ル、2-クロロ-6-メトキシ-3-ピリジル基、6-クロロ-2-メトキシ-3-ピリジル基、 5-メチル-6-クロロ-3-ピリジル基、5-メトキシ-6-クロロ-3-ピリジル基、5-エト キシ-6-クロロ-3-ピリジル基、5-クロロ-6-メチル-3-ピリジル基、5-メトキシ-6 -メチル-3-ピリジル基、5-エトキシ-6-メチル-3-ピリジル基、5-クロロ-6-メト キシ-3-ピリジル基、5-クロロ-6-エトキシ-3-ピリジル基、2,5,6-トリメチル-3-ピリジル基、2-ピラジニル基、5-メチル-2-ピラジニル基、6-メチル-2-ピラジニ ル基、5-メトキシ-2-ピラジニル基、6-メトキシ-2-ピラジニル基、5-エトキシ-2 -ピラジニル基、6-エトキシ-2-ピラジニル基、5-クロロ-2-ピラジニル基、6-ク ロロ-2-ピラジニル基、3-メチル-2-ペンゾチエニル基、4-メチル-2-ペンゾチエ ニル基、5-メチル-2-ペンゾチエニル基、6-メチル-2-ベンゾチエニル基、7-メチ ル-2-ベンゾチエニル基、2-メチル-3-ベンゾチエニル基、4-メチル-3-ベンゾチ エニル基、5-メチル-3-ベンゾチエニル基、6-メチル-3-ベンゾチエニル基、7-メ チルー3-ペンプチエニル基、2-メチル-5-ペンプチエニル基、3-メチル-5-ペンプ チエニル基、4-メチル-5-ベンゾチエニル基、6-メチル-5-ベンゾチエニル基、7-メチル-5-ペンプチエニル基、3-メトキシ-2-ベンプチエニル基、4-メトキシ-2ベンゾチエニル基、5-メトキシ-2-ベンゾチエニル基、6-メトキシ-2-ベンゾチエ ニル基、7-メトキシ-2-ベンゾチエニル基、2-メトキシ-3-ベンゾチエニル基、4-メトキシ-3-ベンゾチエニル基、5-メトキシ-3-ベンゾチエニル基、6-メトキシ-3 -ベンソチエニル基、7-メトキシ-3-ベンゾチエニル基、2-メトキシ-5-ベンゾチ エニル基、3-メトキシ-5-ベンゾチエニル基、4-メトキシ-5-ベンゾチエニル基、 6-メトキシ-5-ペンゾチエニル基、7-メトキシ-5-ペンゾチエニル基、3-クロロ-2 -ペンゾチエニル基、4-クロロ-2-ベンゾチエニル基、5-クロロ-2-ベンゾチエニ ル基、6-クロロ-2-ベンゾチエニル基、7-クロロ-2-ベンゾチエニル基、2-クロロ -3-ペンソチエニル基、4-クロロ-3-ペンゾチエニル基、5-クロロ-3-ペンゾチエ ニル基、6-クロロ-3-ペンゾチエニル基、7-クロロ-3-ベンゾチエニル基、2-クロ ロ-5-ベンゾチエニル基、3-クロロ-5-ベンゾチエニル基、4-クロロ-5-ベンゾチ エニル基、6-クロロ-5-ベンソチエニル基、7-クロロ-5-ベンゾチエニル基、3-フ ルオロ-2-ベンゾチエニル基、4-フルオロ-2-ベンゾチエニル基、5-フルオロ-2-ベンゾチエニル基、6-フルオロ-2-ベンゾチエニル基、7-フルオロ-2-ベンゾチエ ニル基、2-フルオロ-3-ベンゾチエニル基、4-フルオロ-3-ベンゾチエニル基、5-フルオロ-3-ペンゾチエニル基、6-フルオロ-3-ペンゾチエニル基、7-フルオロ-3。 -ベンゾチエニル基、2-フルオロ-5-ベンゾチエニル基、3-フルオロ-5-ベンゾチ エニル基、4-フルオロ-5-ペンゾチエニル基、6-フルオロ-5-ペンゾチエニル基、 7-フルオロ-5-ペンソチエニル基、3-メチル-2-ベンソフリル基、4-メチル-2-ベ ンゾフリル基、5-メチル-2-ベンゾフリル基、6-メチル-2-ベンゾフリル基、7-メ チル-2-ベンゾフリル基、2-メチル-3-ベンゾフリル基、4-メチル-3-ベンゾフリ ル基、5-メチル-3-ベンゾフリル基、6-メチル-3-ベンゾフリル基、7-メチル-3-ベンソフリル基、2-メチル-5-ベンソフリル基、3-メチル-5-ベンソフリル基、4-メチル-5-ベンゾフリル基、6-メチル-5-ベンゾフリル基、7-メチル-5-ベンゾフ リル基、3-メトキシ-2-ベンゾフリル基、4-メトキシ-2-ベンゾフリル基、5-メト キシ-2-ベンゾフリル基、6-メトキシ-2-ベンゾフリル基、7-メトキシ-2-ベンゾ フリル基、2-メトキシ-3-ベンゾフリル基、4-メトキシ-3-ベンゾフリル基、5-メ トキシ-3-ベンゾフリル基、6-メトキシ-3-ベンゾフリル基、7-メトキシ-3-ベン ゾフリル基、2-メトキシ-5-ベンゾフリル基、3-メトキシ-5-ベンゾフリル基、4-

メトキシ-5-ベンゾフリル基、6-メトキシ-5-ペンゾフリル基、7-メトキシ-5-ベ ンゾフリル基、3-クロロ-2-ベンゾフリル基、4-クロロ-2-ベンゾフリル基、5-ク ロロ-2-ベンゾフリル基、6-クロロ-2-ベンゾフリル基、7-クロロ-2-ベンゾフリ ル基、2-クロロ-3-ベンゾフリル基、4-クロロ-3-ベンゾフリル基、5-クロロ-3-ベンゾフリル基、6-クロロ-3-ベンゾフリル基、7-クロロ-3-ベンゾフリル基、2-クロロ-5-ベンゾフリル基、3-クロロ-5-ベンゾフリル基、4-クロロ-5-ペンゾフ リル基、6-クロロ-5-ペンゾフリル基、7-クロロ-5-ベンゾフリル基、3-フルオロ -2-ベンゾフリル基、4-フルオロ-2-ベンゾフリル基、5-フルオロ-2-ペンゾフリ ル基、6-フルオロ-2-ベンゾフリル基、7-フルオロ-2-ペンゾフリル基、2-フルオ ロ-3-ベンゾフリル基、4-フルオロ-3-ベンゾフリル基、5-フルオロ-3-ベンゾフ リル基、6-フルオロ-3-ベンゾフリル基、7-フルオロ-3-ベンゾフリル基、2-フル オロ-5-ベンゾフリル基、3-フルオロ-5-ベンゾフリル基、4-フルオロ-5-ベンゾ フリル基、6-フルオロ-5-ベンゾフリル基、7-フルオロ-5-ベンゾフリル基、1-メ・ チル-2-インドリル基、3-メチル-2-インドリル基、4-メチル-2-インドリル基、5 -メチル-2-インドリル基、6-メチル-2-インドリル基、7-メチル-2-インドリル基 、1-メチル-3-インドリル基、2-メチル-3-インドリル基、4-メチル-3-インドリ ル基、5-メチル-3-インドリル基、6-メチル-3-インドリル基、7-メチル-3-イン ドリル基、1-メチル-5-インドリル基、2-メチル-5-インドリル基、3-メチル-5-インドリル基、4-メチル-5-インドリル基、6-メチル-5-インドリル基、7-メチル -5-インドリル基、3-メトキシ-2-インドリル基、4-メトキシ-2-インドリル基、5 -メトキシ-2-インドリル基、6-メトキシ-2-インドリル基、7-メトキシ-2-インド リル基、2-メトキシ-3-インドリル基、4-メトキシ-3-インドリル基、5-メトキシ -3-インドリル基、6-メトキシ-3-インドリル基、7-メトキシ-3-インドリル基、2 -メトキシ-5-インドリル基、3-メトキシ-5-インドリル基、4-メトキシ-5-インド リル基、6-メトキシ-5-インドリル基、7-メトキシ-5-インドリル基、3-クロロ-2 -インドリル基、4-クロロ-2-インドリル基、5-クロロ-2-インドリル基、6-クロ ロ-2-インドリル基、7-クロロ-2-インドリル基、2-クロロ-3-インドリル基、4-クロロ-3-インドリル基、5-クロロ-3-インドリル基、6-クロロ-3-インドリル基 、7-クロロ-3-インドリル基、2-クロロ-5-インドリル基、3-クロロ-5-インドリ

ル基、4-クロロ-5-インドリル基、6-クロロ-5-インドリル基、7-クロロ-5-イン ドリル基、3-フルオロ-2-インドリル基、4-フルオロ-2-インドリル基、5-フルオ ロ-2-インドリル基、6-フルオロ-2-インドリル基、7-フルオロ-2-インドリル基 、2-フルオロ-3-インドリル基、4-フルオロ-3-インドリル基、5-フルオロ-3-イ ンドリル基、6-フルオロ-3-インドリル基、7-フルオロ-3-インドリル基、2-フル オロ-5-インドリル基、3-フルオロ-5-インドリル基、4-フルオロ-5-インドリル 基、6-フルオロ-5-インドリル基、7-フルオロ-5-インドリル基、3-メチル-2-キ - ノリル基、4-メチル-2-キノリル基、5-メチル-2-キノリル基、6-メチル-2-キノ リル基、7-メチル-2-キノリル基、8-メチル-2-キノリル基、2-メチル-4-キノリ ル基、3-メチル-4-キノリル基、5-メチル-4-キノリル基、6-メチル-4-キノリル 基、7-メチル-4-キノリル基、8-メチル-4-キノリル基、2-メチル-6-キノリル基 、3-メチル-6-キノリル基、4-メチル-6-キノリル基、5-メチル-6-キノリル基、7 -メチル-6-キノリル基、8-メチル-6-キノリル基、3-メトキシ-2-キノリル基、4-メトキシ-2-キノリル基、5-メトキシ-2-キノリル基、6-メトキシ-2-キノリル基 、7-メトキシ-2-キノリル基、8-メトキシ-2-キノリル基、2-メトキシ-4-キノリ ル基、3-メトキシ-4-キノリル基、5-メトキシ-4-キノリル基、6-メトキシ-4-キ ノリル基、7-メトキシ-4-キノリル基、8-メトキシ-4-キノリル基、2-メトキシ-6 -キノリル基、3-メトキシ-6-キノリル基、4-メトキシ-6-キノリル基、5-メトキ シ-6-キノリル基、7-メトキシ-6-キノリル基、8-メトキシ-6-キノリル基、3-ク ロロ-2-キノリル基、4-クロロ-2-キノリル基、5-クロロ-2-キノリル基、6-クロ ロ-2-キノリル基、7-クロロ-2-キノリル基、8-クロロ-2-キノリル基、2-クロロ-4-キノリル基、3-クロロ-4-キノリル基、5-クロロ-4-キノリル基、6-クロロ-4-キノリル基、7-クロロ-4-キノリル基、8-クロロ-4-キノリル基、2-クロロ-6-キ ノリル基、3-クロロ-6-キノリル基、4-クロロ-6-キノリル基、5-クロロ-6-キノ リル基、7-クロロ-6-キノリル基、8-クロロ-6-キノリル基、3-フルオロ-2-キノ リル基、4-フルオロ-2-キノリル基、5-フルオロ-2-キノリル基、6-フルオロ-2-キノリル基、7-フルオロ-2-キノリル基、8-フルオロ-2-キノリル基、2-フルオロ -4-キノリル基、3-フルオロ-4-キノリル基、5-フルオロ-4-キノリル基、6-フル オロ-4-キノリル基、7-フルオロ-4-キノリル基、8-フルオロ-4-キノリル基、2フルオロ-6-キノリル基、3-フルオロ-6-キノリル基、4-フルオロ-6-キノリル基、5-フルオロ-6-キノリル基、7-フルオロ-6-キノリル基、8-フルオロ-6-キノリル基などが挙げられる。

前記一般式(I)において、さらに好ましいXとしてはNHまたは酸素原子が挙 げられる。特にはNHが好ましい。

前記一般式(I)においてさらに好ましいR¹としては炭素数1~6個のアルキル基、炭素数2~6個のアルケニル基、炭素数2~6個のアルキニル基が挙げられ、例えばメチル基、エチル基、プロピル基、イソプロピル基、ブチル基、2-ブチル基、ペンチル基、2-ペンチル基、ヘキシル基、2-ヘキシル基、ビニル基、プロペニル基、ブテニル基、ブチニル基、ペンテニル基などが挙げられる。中でも炭素数3~5個のアルキル基、炭素数3~5個のアルケニル基、炭素数3~5個のアルキニル基、具体的にはプロピル基、イソプロピル基、ブチル基、2-プチル基、ペンチル基、2-ペンチル基、プロペニル基、ブテニル基、ブチニル基、ペンテニル基などが更に好ましく、特にはプロピル基、ブチル基、ペンチル基が好ましい。

前記一般式(I)においてさらに好ましいR²としては例えば水酸基、アセチルオキシ基、プロピオニルオキシ基、メトキシカルボニルオキシ基、エトキシカルボニルオキシ基、プロポキシカルボニルオキシ基、ブトキシカルボニルオキシ基などが挙げられ、特には水酸基、メトキシカルボニルオキシ基、エトキシカルボニルオキシ基が好ましい。

前記一般式(I)においてさらに好ましいYとしては、それぞれ置換もしくは非置換の、ピリジン環(2-ピリジル、3-ピリジル、4-ピリジル)及びピラジン環(2-ピラジニル、3-ピラジニル)が挙げられ、特に3-ピリジル基が好ましい。さらに好ましい置換基を有したYとしては、例えば、2-メチル-3-ピリジル基、6-メチル-3-ピリジル基、2-エチル-3-ピリジル基、2-メトキシ-3-ピリジル基、6-メトキシ-3-ピリジル基、6-メトキシ-3-ピリジル基、2-エトキシ-3-ピリジル基、6-メトキシ-3-ピリジル基、2-ロロー3-ピリジル基、6-クロロー3-ピリジル基、6-ジメチルアミノ-3-ピリジル基、6-(1-ピロリジニル)-3-ピリジル基、6-ピペリジノ-3-ピリジル基、6-モルホリノ-3-ピリジル基、6-メチルチオ-3-ピリジル基、5,6-ジメトキシ-3-ピリジル基、2,6-ジクロロ-3-ピリジル基、5

リジル基、5,6-ジクロロ-3-ピリジル基、5-クロロ-6-メトキシ-3-ピリジル基などが好ましく、中でも特に3-ピリジル基、6-メチル-3-ピリジル基、6-メトキシ-3-ピリジル基、6-エトキシ-3-ピリジル基、6-クロロ-3-ピリジル基、6-(1-ピロリジニル)-3-ピリジル基、6-モルホリノ-3-ピリジル基、2-メチル-3-ピリジル基、2-メチル-3-ピリジル基、2-メトキシ-3-ピリジル基、2-クロロ-3-ピリジル基が好ましい。

本発明に具体的に含まれる化合物としては、例えば以下の化合物が挙げられる

表1

x	\mathbb{R}^1	R ²	Ÿ
NH		OH	2-pyridyl
NH	propyl	OH	3-pyridyl
	propyl	OH.	4-pyridyl
NH	propyl	OH	2-methyl-3-pyridyl
NH	propyl	OH	4-methyl-8-pyridyl
NH	propyl	OH	5-methyl-3-pyridyl
NH	propyl	OH	6-methyl-3-pyridyl
NH	propyl	OH	2-ethyl-3-pyridyl
NH	propyl	OH	4-ethyl-3-pyridyl
NH	propyl		5-ethyl-3-pyridyl
NH	propyl	OH	6-ethyl-3-pyridyl
NH	propyl	OH	2-methoxy-3-pyridyl
NH	propyl	OH	4-methoxy-8-pyridyl
NH	propyl	OH	5-methoxy-3-pyridyl
NH	propyl	OH	6-methoxy-3-pyridyl
NH	propyl	OH	2-ethoxy-3-pyridyl
NH	propyl	OH	4-ethoxy-3-pyridyl
NH	propyl	OH	
NH	propyl	OH	5-ethoxy-3-pyridyl 6-ethoxy-3-pyridyl
NH	propyl	OH	2-chloro-3-pyridyl
NH	propyl	OH	4-chloro-3-pyridyl
NH	propyl	OH	5-chloro-3-pyridyl
NH	propyl	OH	
NH	propyl	OH	6-chloro-3-pyridyl
NH	propyl	OH	2-fluoro-8-pyridyl
NH	propyl	OH	4-fluoro-3-pyridyl 5-fluoro-3-pyridyl
NH	propyl	OH	1
NH	propyl	OH	6-fluoro-3-pyridyl 2-dimethylamino-3-pyridyl
NH	propyl	OH	
NH	propyl	OH	4-dimethylamino-3-pyridyl
NH	propyl	OH	5-dimethylamino-8-pyridyl
NH	propyl	OH	6-dimethylamino-3-pyridyl
NH	propyl	OH	2-(1-pyrrolidinyl)-3-pyridyl
NH	propyl	OH	3-(1-pyrrolidinyl)-3-pyridyl
NH	propyl	OH	5-(1-pyrrolidinyl)-3-pyridyl
NH	propyl	OH	6-(1-pyrrolidinyl)-3-pyridyl
NH	propyl	OH	2-piperidino-3-pyridyl
NH	propyl	OH	4-piperidino-3-pyridyl
NH	propyl	OH	5-piperidino-3-pyridyl
NH	propyl	OH	6-piperidino-3-pyridyl
NH	propyl	OH	2-morpholino-3-pyridyl
NH	propyl	OH	4-morpholino-3-pyridyl
NH	propyl	OH	5-morpholino-3-pyridyl
NH	propyl	OH	6-morpholino-3-pyridyl
NH	propyl	OH	2-hydroxy-3-pyridyl
NH	propyl	OH	4-hydroxy-3-pyridyl
NH	propyl	OH	5-hydroxy-8-pyridyl
NH	propyl	OH	6-hydroxy-3-pyridyl

ИН	propyl	OH	2-mercapto-3-pyridyl
NH	propyl	OH	4-mercapto-3-pyridyl
NH	propyl	OH	5-mercapto-3-pyridyl
NH	propyl	О́Н	6-mercapto-3-pyridyl
NH	propyl	OH	2-methylthio-3-pyridyl
NH	propyl	OH	4-methylthio-8-pyridyl
NH	propyl	OH	5-methylthio-3-pyridyl
NH	propyl	OH	6-methylthio-3-pyridyl
NH	propyl	OH	2,6-dimethyl-3-pyridyl
NH	propyl	OH	5,6-dimethyl-3-pyridyl
NH	propyl	ОН	2,6-diethyl-3-pyridyl
NH	propyl	OH	5,6-diethyl-3-pyridyl
NH	propyl	OH	2,6-dimethoxy-3-pyridyl
NH	propyl	OH	5,6-dimethoxy-3-pyridyl
NH	propyl	OH	2,6-diethoxy-3-pyridyl
NH	propyl	OH	5,6-diethoxy-3-pyridyl
NH	propyl	OH	2,6-dichloro-3-pyridyl
NH	propyl	OH	5,6-dichloro-3-pyridyl
NH	propyl	OH	5-chloro-6-methoxy-3-pyridyl
NH	propyl	OH	5-chloro-6-ethoxy-3-pyridyl
NH	propyl	OH	2-chloro-6-methyl-3-pyridyl
NH	propyl	ОН	6-chloro-2-methyl-3-pyridyl
NH	propyl	OH	2-methyl-4-pyridyl
NH	propyl	OH	2-ethyl-4-pyridyl
NH	propyl	OH	2-methoxy-4-pyridyl
NH	propyl	OH	2-ethoxy-4-pyridyl
NH	propyl	OH	2-chloro-4-pyridyl
NH	propyl	OH	2-dimethylamino-4-pyridyl
NH	propyl	OH	2-(1-pyrrolidinyl)-4-pyridyl
NH	propyl	OH	2-piperidino-4-pyridyl
NH	propyl	OH	2-morpholino-4-pyridyl
NH	propyl	OH	2-methylthio-4-pyridyl
NH	propyl	OH	2-pyrazinyl
NH	propyl	OH	5-methyl-2-pyrazinyl
NH	propyl	OH	5-ethyl-2-pyrazinyl
NH	propyl	ОН	5-methoxy-2-pyrazinyl
NH	propyl	OH	5-ethoxy-2-pyrazinyl
NH	propyl	OH	5-chloro-2-pyrazinyl
NH	propyl	OH	6-methyl-2-pyrazinyl
NH	propyl	OH	6-methoxy-2-pyrazinyl
NH	propyl	OH	6-chloro-2-pyrazinyl
L_1411	Probl.	.J	

Х	R1	R ²	Y
NH	propyl	OCOOMe	2-pyridyl
NH	propyl	OCOOMe	3-pyridyl
NH	propyl	OCOOMe	4-pyridyl
NH	propyl	OCOOMe	2-methyl-3-pyridyl
NH	propyl	OCOOMe .	4-methyl-3-pyridyl
NH	propyl	OCOOMe	5-methyl-3-pyridyl
NH	propyl	OCOOMe	6-methyl-3-pyridyl
NH	propyl	OCOOMe	2-ethyl-3-pyridyl
NH	propyl	OCOOMe	4-ethyl-3-pyridyl
NH	propyl	OCOOMe	5-ethyl-8-pyridyl
NH	propyl	OCOOMe	6-ethyl-8-pyridyl
NH	propyl	OCOOMe	2-methoxy-3-pyridyl
NH	propyl	OCOOMe	4-methoxy-3-pyridyl
NH	propyl	OCOOMe	5-methoxy-3-pyridyl
NH	propyl	OCOOMe	6-methoxy-3-pyridyl
NH	propyl	OCOOMe	2-ethoxy-8-pyridyl
NH	propyl	OCOOMe	4-ethoxy-3-pyridyl
NH	propyl	OCOOMe	5-ethoxy-3-pyridyl
NH	propyl	OCOOMe	6-ethoxy-3-pyridyl
NH	propyl	OCOOMe	2-chloro-3-pyridyl
NH	propyl	OCOOMe	4-chloro-8-pyridyl
NH	propyl	OCOOMe	5-chloro-3-pyridyl
NH	propyl	OCOOMe	6-chloro-3-pyridyl
NH	propyl	OCOOMe	2-fluoro-3-pyridyl
NH	propyl	OCOOMe	4-fluoro-3-pyridyl
NH	propyl	OCOOMe	5-fluoro-3-pyridyl
NH	propyl	OCOOMe	€-fluoro-3-pyridyl
NH	propyl	OCOOMe	2-dimethylamino-3-pyridyl
NH	propyl	OCOOMe	4-dimethylamino-3-pyridyl
NH	propyl	OCOOMe	5-dimethylamino-3-pyridyl
NH	propyl	OCOOMe	6-dimethylamino-3-pyridyl
NH	propyl	OCOOMe	2-(1-pyrrolidinyl)-3-pyridyl
NH	propyl	OCOOMe	3-(1-pyrrolidinyl)-3-pyridyl
NH	propyl	OCOOMe	5-(1-pyrrolidinyl)-3-pyridyl
NH	propyl	OCOOMe	6-(1-pyrrolidinyl)-3-pyridyl
NH	propyl	OCOOMe	2-piperidino-3-pyridyl
NH	propyl	OCOOMe	4-piperidino-3-pyridyl
NH	propyl	OCOOMe	5-piperidino-3-pyridyl
NH	propyl	OCOOMe	6-piperidino-3-pyridyl
NH	propyl	OCOOMe	2-morpholino-3-pyridyl
NH	propyl	OCOOMe	4-morpholino-3-pyridyl
NH	propyl	OCOOMe	5-morpholino-3-pyridyl
NH	propyl	OCOOMe	6-morpholino-3-pyridyl
NH	propyl	OCOOMe	2-hydroxy-3-pyridyl
NH	propyl	OCOOMe	4-hydroxy-3-pyridyl
NH	propyl	OCOOMe	5-hydroxy-3-pyridyl
NH	propyl	OCOOMe	6-hydroxy-3-pyridyl
MII	1 brobar	1 COOMIC	1

NH	propyl	OCOOMe	2-mercapto-8-pyridyl
NH	propyl	OCOOMe	4-mercapto-3-pyridyl
NH	propyl	OCOOMe	5-mercapto-3-pyridyl
NH	propyl	OCOOMe	6-mercapto-3-pyridyl
NH	propyl	OCOOMe	2-methylthio-3-pyridyl
NH	propyl	OCOOMe	4-methylthio-3-pyridyl
NH	propyl	OCOOMe	5-methylthio-8-pyridyl
NH	propyl	OCOOMe	6-methylthio-3-pyridyl
NH	propyl	OCOOMe	2,6-dimethyl-3-pyridyl
NH	propyl	OCOOMe	5,6-dimethyl-3-pyridyl
NH	propyl	OCOOMe	2,6-diethyl-3-pyridyl
NH	propyl	OCOOMe	5,6-diethyl-3-pyridyl
NH	propyl	OCOOMe	2,6-dimethoxy-3-pyridyl
NH	propyl	OCOOMe	5,6-dimethoxy-3-pyridyl
NH	propyl	OCOOMe	2,6-diethoxy-3-pyridyl
NH	propyl	OCOOMe	5,6-diethoxy-3-pyridyl
NH	propyl	OCOOMe	2,6-dichloro-3-pyridyl
NH	propyl	OCOOMe	5,6-dichloro-3-pyridyl
NH	propyl	OCOOMe	5-chloro-6-methoxy-3-pyridyl
NH	propyl	OCOOMe	5-chloro-6-ethoxy-3-pyridyl
NH	propyl	OCOOMe	2-chloro-6-methyl-3-pyridyl
NH	propyl	OCOOMe	6-chloro-2-methyl-3-pyridyl
NH	propyl	OCOOMe	2-methyl-4-pyridyl
NH	propyl	OCOOMe	2-ethyl-4-pyridyl
NH	propyl	OCOOMe	2-methoxy-4-pyridyl
NH	propyl	OCOOMe	2-ethoxy-4-pyridyl
NH	propyl	OCOOMe	2-chloro-4-pyridyl
NH	propyl	OCOOMe	2-dimethylamino-4-pyridyl
NH	propyl	OCOOMe	2-(1-pyrrolidinyl)-4-pyridyl
NH	propyl	OCOOMe	2-piperidino-4-pyridyl
NH	propyl	OCOOMe	2-morpholino-4-pyridyl
NH	propyl	OCOOMe	2-methylthio-4-pyridyl
NH	propyl	OCOOMe	2-pyrazinyl
NH	propyl	OCOOMe	5-methyl-2-pyrazinyl
NH	propyl	OCOOMe	5-ethyl-2-pyrazinyl
NH	propyl	OCOOMe	5-methoxy-2-pyrazinyl
NH	propyl	OCOOMe	5-ethoxy-2-pyrazinyl
NH	propyl	OCOOMe	5-chloro-2-pyrazinyl
NH	propyl	OCOOMe	6-methyl-2-pyrazinyl
NH	propyl	OCCOMe	6-methoxy-2-pyrazinyl
NH	propyl	OCOOMe .	6-chloro-2-pyrazinyl
		-	

X	Ri	R ²	У
		OCOOEt	2-pyridyl
NH	propyl	OCOOEt	3-pyridyl
NH	propyl	OCOOEt	4-pyridyl
NH	propyl	OCOOEt	2-methyl-3-pyridyl
NH	propyl		
NH	propyl	OCOOEt	4-methyl-3-pyridyl
NH	propyl	OCOOEt	5-methyl-3-pyridyl
NH	propyl	OCOOEt	6-methyl-3-pyridyl
NH	propyl	OCOOEt	2-ethyl-8-pyridyl
NH	propyl	OCOORt	4-ethyl-3-pyridyl
NH	propyl	OCOOEt	5-ethyl-3-pyridyl
ИН	propyl	OCOOEt	6-ethyl-3-pyridyl
NH	propyl	OCOOEt	2-methoxy-3-pyridyl
NH	propyl	OCOOEt	4-methoxy-3-pyridyl
NH	propyl	OCOOEt	5-methoxy-3-pyridyl
NH	propyl	OCOOEt	6-methoxy-3-pyridyl
NH	propyl	OCOOEt	2-ethoxy-3-pyridyl
NH	propyl	OCOOEt	4-ethoxy-3-pyridyl
NH	propyl	OCOOEt	5-ethoxy-3-pyridyl
NH	propyl	OCOOEt	6-ethoxy-8-pyridyl
NH	propyl	OCOOEt	2-chloro-3-pyridyl
NH	propyl	OCOOEt	4-chloro-3-pyridyl
NH	propyl	OCOOEt	5-chloro-8-pyridyl
NH	propyl	OCOOEt	6-chloro-3-pyridyl
NH	propyl	OCOOEt	2-fluoro-3-pyridyl
NH	propyl	OCOOEt	4-fluoro-3-pyridyl
NH	propyl	OCOOEt	5-fluoro-3-pyridyl
NH	propyl	OCOOEt	6-fluoro-3-pyridyl
NH	propyl	OCOOEt	2-dimethylamino-3-pyridyl
NH	propyl	OCOOEt	4-dimethylamino-3-pyridyl
NH	propyl	OCOOEt	5-dimethylamino-3-pyridyl
NH	propyl	OCOOEt	6-dimethylamino-3-pyridyl
NH	propyl	OCOOEt	2-(1-pyrrolidinyl)-3-pyridyl
NH	propyl	OCOOEt	3-(1-pyrrolidinyl)-3-pyridyl
NH	propyl	OCOOEt	5-(1-pyrrolidinyl)-3-pyridyl
NH	propyl	OCOOEt	6-(1-pyrrolidinyl)-3-pyridyl
NH	propyl	OCOOEt	2-piperidino-3-pyridyl
NH	propyl	OCOOEt	4-piperidino-3-pyridyl
NH	propyl	OCOOEt	5-piperidino-3-pyridyl
NH	, propyl	OCOOEt	6-piperidino-3-pyridyl
NH	propyl	OCOOEt	2-morpholino-3-pyridyl
NH	propyl	OCOOEt	4-morpholino-3-pyridyl
NH	propyl	OCOOEt	5-morpholino-3-pyridyl
NH	propyl	OCOOEt	6-morpholino-3-pyridyl
		OCOOEt	2-hydroxy-3-pyridyl
NH	propyl	OCOOEt	4-hydroxy-3-pyridyl
NH	propyl	OCOOEt	5-hydroxy-3-pyridyl
NH	propyl		6-hydroxy-3-pyridyl
NH	propyl	OCOOEt	- o-nyuruxy-a-pyrruyr

NH	propyl	OCOOEt	2-mercapto-3-pyridyl
NH	propyl	OCOOEt	4-mercapto-3-pyridyl
NH	propyl	OCOOEt	5-mercapto-3-pyridyl
NH	propyl	OCOOEt	6-mercapto-3-pyridyl
NH	propyl	OCOOEt	2-methylthio-3-pyridyl
NH	propyl	OCOOEt	4-methylthio-3-pyridyl
NH	propyl	OCOOEt	5-methylthio-3-pyridyl
NH	propyl	OCOOEt	6-methylthio-3-pyridyl
NH	propyl	OCOOEt	2,6-dimethyl-3-pyridyl
NH	propyl	OCOOEt	5,6-dimethyl-3-pyridyl
NH	propyl	OCOOEt	2,6-diethyl-3-pyridyl
NH	propyl	OCOOEt	5,6-diethyl-3-pyridyl
NH	propyl	OCOOEt	2,6-dimethoxy-3-pyridyl
NH	propyl	OCOOEt	5,6-dimethoxy-3-pyridyl
NH	propyl	OCOOEt	2,6-diethoxy-3-pyridyl
NH	propyl	OCOOEt	5,6-diethoxy-3-pyridyl
NH	propyl	OCOOEt	2,6-dichloro-3-pyridyl
NH	propyl	OCOOEt	5,6-dichloro-3-pyridyl
NH	propyl	OCOOEt	5-chloro-6-methoxy-3-pyridyl
NH	propyl	OCOOEt	5-chloro-6-ethoxy-3-pyridyl
NH	propyl	OCOOEt	2-chloro-6-methyl-3-pyridyl
NH	propyl	OCOOEt	6-chloro-2-methyl-3-pyridyl
NH	propyl	OCOOEt	2-methyl-4-pyridyl
NH	propyl	OCOOEt	2-ethyl-4-pyridyl
NH	. propyl	OCOOEt	2-methoxy-4-pyridyl
NH	propyl	OCOOEt	2-ethoxy-4-pyridyl
NH	propyl	OCOOEt	2-chloro-4-pyridyl
NH	propyl	OCOOEt	2-dimethylamino-4-pyridyl
NH	propyl	OCOOEt	2-(1-pyrrolidinyl)-4-pyridyl
NH	propyl	OCOOEt	2-piperidino-4-pyridyl
NH	propyl	OCOOEt	2-morpholino-4-pyridyl
NH	propyl	OCOOEt	2-methylthio-4-pyridyl
NH	propyl	OCOOEt	2-pyrazinyl
NH	propyl	OCOOEt.	5-methyl-2-pyrazinyl
NH	propyl	OCOOEt	5-ethyl-2-pyrazinyl
NH	propyl	OCOOEt	5-methoxy-2-pyrazinyl
NH	propyl	OCOOEt	5-ethoxy-2-pyrazinyl
NH	propyl	OCOOEt	5-chloro-2-pyrazinyl
NH	propyl	OCOOEt	6-methyl-2-pyrazinyl
NH	propyl	OCOOEt	6-methoxy-2-pyrazinyl
NH	propyl	OCOCEt	6-chloro-2-pyrazinyl
	1 Frahly	· · · · · · ·	

X	Ri	R ²	Y
NH	n-butyl	OH	2-pyridyl
NH	n-butyl	OH	3-pyridyl
NH	n-butyl	OH	4-pyridyl
	n-butyl	OH	2-methyl-3-pyridyl
NH	n-butyl	OH	4-methyl-3-pyridyl
NH	n-butyl	OH	5-methyl-3-pyridyl
NH		OH	6-methyl-3-pyridyl
NH	n-butyl	OH	2-ethyl-3-pyridyl
NH	n-butyl n-butyl	OH	4-ethyl-3-pyridyl
NH		OH	5-ethyl-3-pyridyl
NH	n-butyl	OH	6-ethyl-3-pyridyl
NH	n-butyl	OH	2-methoxy-3-pyridyl
NH	n-butyl	OH	4-methoxy-8-pyridyl
NH	n-butyl	OH	5-methoxy-3-pyridyl
NH	n-butyl	OH	6-methoxy-3-pyridyl
NH	n-butyl	OH	2-ethoxy-3-pyridyl
NH	n-butyl	OH OH	4-ethoxy-3-pyridyl
NH	n-butyl	OH	5-ethoxy-3-pyridyl
NH	n-butyl	OH .	6-ethoxy-3-pyridyl
NH	n-butyl	OH	2-chloro-3-pyridyl
NH	n-butyl	OH	4-chloro-3-pyridyl
NH	n-hutyl n-butyl	OH	5-chloro-3-pyridyl
NH		OH	6-chloro-3-pyridyl
NH	n-butyl n-butyl	OH	2-fluoro-8-pyridyl
NH	n-butyl n-butyl	OH	4-fluoro-8-pyridyl
	n-butyl	OH	5-fluoro-E-pyridyl
NH	n-butyl	OH	6-fluoro-3-pyridyl
NH	n-butyl	OH	2-dimethylamino-3-pyridyl
NH	n-butyl	ОН	4-dimethylamino-3-pyridyl
NH	n-butyl	OH	5-dimethylamino-3-pyridyl
NH	n-butyl	OH	6-dimethylamino-3-pyridyl
NH	n-butyl	OH	2-(1-pyrrolidinyl)-3-pyridyl
NH	n-butyl	OH	3-(1-pyrrolidinyl)-3-pyridyl
NH	n-butyl	OH	5-(1-pyrrolidinyl)-3-pyridyl
NH	n-butyl	OH	6-(1-pyrrolidinyl)-3-pyridyl
NH	n-butyl	OH	2-piperidino-3-pyridyl
NH	n-butyl	OH	4-piperidino-3-pyridyl
NH	n-butyl	OH	5-piperidino-3-pyridyl
NH	n-butyl	OH	6-piperidino-3-pyridyl
NH	n-butyl	OH	2-morpholino-3-pyridyl
NH	n-butyl	OH	4-morpholino-3-pyridyl
NH	n-butyl	ОН	5-morpholino-3-pyridyl
NH	n-butyl	ОН	6-morpholino-3-pyridyl
NH	n-butyl	OH	2-hydroxy-3-pyridyl
NH	n-butyl	OH	4-hydroxy-3-pyridyl
NH	n-butyl	OH	5-hydroxy-3-pyridyl
NH	n-butyl	OH	6-hydroxy-3-pyridyl
NII	H-Duty1		1 0 = 0 = - 0 = 2 = 2

2-mercapto-3-pyridyl 4-mercapto-3-pyridyl 5-mercapto-3-pyridyl 6-mercapto-3-pyridyl 2-methylthio-3-pyridyl 3-methylthio-3-pyridyl 3-methylthio-3-pyridyl 3-methylthio-3-pyridyl 3-methylthio-3-pyridyl 3-methylthio-3-pyridyl 2-6-dimethyl-3-pyridyl 5-6-diethyl-3-pyridyl 5-6-diethyl-3-pyridyl 5-6-dimethoxy-8-pyridyl 3-6-dimethoxy-8-pyridyl 3-6-dimethoxy-8-pyridyl 5-6-diethoxy-3-pyridyl 5-6-dichloro-3-pyridyl 5-6-dichloro-3-pyridyl 6-6-methoxy-3-pyridyl 6-6-methoxy-3-pyridyl
6-mercapto-3-pyridyl 6-mercapto-3-pyridyl 8-methylthio-3-pyridyl 8-methylthio-3-pyridyl 8-methylthio-3-pyridyl 8-methylthio-3-pyridyl 8-methylthio-3-pyridyl 9-methylthio-3-pyridyl 10-6-dimethyl-3-pyridyl 10-6-diethyl-3-pyridyl 10-6-diethyl-3-pyridyl 10-6-dimethoxy-3-pyridyl 10-6-dimethoxy-3-pyridyl 10-6-diethoxy-3-pyridyl
6-mercapto-3-pyridyl 2-methylthio-3-pyridyl 3-methylthio-3-pyridyl 3-methylthio-3-pyridyl 3-methylthio-3-pyridyl 3-methylthio-3-pyridyl 2,6-dimethyl-3-pyridyl 5,6-diethyl-3-pyridyl 5,6-diethyl-3-pyridyl 6,6-dimethoxy-8-pyridyl 6,6-dimethoxy-8-pyridyl 2,6-diethoxy-3-pyridyl 5,6-diethoxy-3-pyridyl 5,6-diethoxy-3-pyridyl 5,6-dichloro-3-pyridyl 5,6-dichloro-3-pyridyl
a-methylthio-3-pyridyl a-methylthio-3-pyridyl b-methylthio-3-pyridyl b-methylthio-3-pyridyl c-methylthio-3-pyridyl c-c-dimethyl-3-pyridyl c-c-dimethyl-3-pyridyl c-c-diethyl-3-pyridyl c-dimethoxy-8-pyridyl c-dimethoxy-8-pyridyl c-dimethoxy-8-pyridyl c-c-diethoxy-3-pyridyl c-c-diethoxy-3-pyridyl c-c-diethoxy-3-pyridyl c-c-diethoxy-3-pyridyl c-c-diethoxy-3-pyridyl c-c-diethoxy-3-pyridyl c-c-diethoxy-3-pyridyl c-c-diethoxy-3-pyridyl
I-methylthio-3-pyridyl 5-methylthio-3-pyridyl 5-methylthio-3-pyridyl 2,6-dimethyl-3-pyridyl 5,6-dimethyl-3-pyridyl 2,6-diethyl-3-pyridyl 5,6-diethyl-3-pyridyl ,6-dimethoxy-3-pyridyl 2,6-diethoxy-3-pyridyl 5,6-diethoxy-3-pyridyl 5,6-diethoxy-3-pyridyl 5,6-dichloro-3-pyridyl 5,6-dichloro-3-pyridyl
5-methylthio-3-pyridyl 5-methylthio-3-pyridyl 2,6-dimethyl-3-pyridyl 2,6-dimethyl-3-pyridyl 2,6-diethyl-3-pyridyl 5,6-diethyl-3-pyridyl 6-dimethoxy-3-pyridyl 2,6-diethoxy-3-pyridyl 5,6-diethoxy-3-pyridyl 5,6-diethoxy-3-pyridyl 5,6-dichloro-3-pyridyl
3-methylthio-3-pyridyl 2,6-dimethyl-3-pyridyl 5,6-dimethyl-3-pyridyl 5,6-diethyl-3-pyridyl 5,6-diethyl-3-pyridyl 6,6-dimethoxy-3-pyridyl 2,6-diethoxy-3-pyridyl 5,6-diethoxy-3-pyridyl 5,6-diethoxy-3-pyridyl 5,6-dichloro-3-pyridyl 5,6-dichloro-3-pyridyl
2,6-dimethyl-3-pyridyl 5,6-dimethyl-3-pyridyl 2,6-diethyl-3-pyridyl 5,6-diethyl-3-pyridyl ,6-dimethoxy-3-pyridyl 2,6-diethoxy-3-pyridyl 5,6-diethoxy-3-pyridyl 5,6-diethoxy-3-pyridyl 5,6-dichloro-3-pyridyl
5,6-dimethyl-3-pyridyl 2,6-diethyl-3-pyridyl 5,6-diethyl-3-pyridyl 6,6-dimethoxy-3-pyridyl 2,6-dimethoxy-3-pyridyl 5,6-diethoxy-3-pyridyl 5,6-diethoxy-3-pyridyl 5,6-dichloro-3-pyridyl
2,6-diethyl-3-pyridyl 5,6-diethyl-3-pyridyl ,6-dimethoxy-3-pyridyl ,6-dimethoxy-3-pyridyl 2,6-diethoxy-3-pyridyl 5,6-diethoxy-3-pyridyl 2,6-dichloro-3-pyridyl 5,6-dichloro-3-pyridyl
5,6-diethyl-8-pyridyl ,6-dimethoxy-8-pyridyl ,6-dimethoxy-8-pyridyl 2,6-diethoxy-3-pyridyl 5,6-diethoxy-8-pyridyl 2,6-dichloro-3-pyridyl 5,6-dichloro-3-pyridyl
,6-dimethoxy-3-pyridyl ,6-dimethoxy-3-pyridyl 2,6-diethoxy-3-pyridyl 5,6-diethoxy-3-pyridyl 2,6-dichloro-3-pyridyl 5,6-dichloro-3-pyridyl
,6-dimethoxy-3-pyridyl ,6-dimethoxy-3-pyridyl 2,6-diethoxy-3-pyridyl 5,6-diethoxy-3-pyridyl 2,6-dichloro-3-pyridyl 5,6-dichloro-3-pyridyl
2,6-diethoxy-3-pyridyl 5,6-diethoxy-3-pyridyl 2,6-dichloro-3-pyridyl 5,6-dichloro-3-pyridyl
5,6-diethoxy-8-pyridyl 2,6-dichloro-3-pyridyl 5,6-dichloro-3-pyridyl
2,6-dichloro-3-pyridyl 5,6-dichloro-3-pyridyl
2,6-dichloro-3-pyridyl 5,6-dichloro-3-pyridyl
5.6-dichloro-3-pyridyl
blore-6-methoxy-3-pyridyl
chloro-6-ethoxy-3-pyridyl
hloro-6-methyl-3-pyridyl
hloro-2-methyl-3-pyridyl
2-methyl-4-pyridyl
2-ethyl-4-pyridyl
2-methoxy-4-pyridyl
2-ethoxy-4-pyridyl
2-chloro-4-pyridyl
dimethylamino-4-pyridyl
(1-pyrrolidinyl)-4-pyridyl
2-piperidino-4-pyridyl
2-morpholino-4-pyridyl
2-methylthio-4-pyridyl
2-pyrazinyl
5-methyl-2-pyrazinyl
5-ethyl-2-pyrazinyl
5-methoxy-2-pyrazinyl
5-ethoxy-2-pyrazinyl
5-ethoxy-2-pyrazinyl 5-chloro-2-pyrazinyl
5-chloro-2-pyrazinyl

X I	R ¹	R ²	Ÿ
NH	n-butyl	OCOOMe	2-pyridyl
NH	n-butyl	OCOOMe	3-pyridyl
NH	n-butyl	OCOOMe	4-pyridyl
NH	n-butyl	OCOOMe	2-methyl-3-pyridyl
NH	n-butyl	OCOOMe	4-methyl-3-pyridyl
NH	n-butyl	OCOOMe	5-methyl-3-pyridyl
	n-butyl	OCOOMe	6-methyl-3-pyridyl
NH	n-butyl	OCOOMe	2-ethyl-3-pyridyl
NH	n-butyl	OCOOMe	4-ethyl-3-pyridyl
NH	n-butyl	OCOOMe	5-ethyl-3-pyridyl
NH	n-butyl	OCOOMe	6-ethyl-3-pyridyl
NH		OCOOMe	2-methoxy-3-pyridyl
NH	n-butyl	OCOOMe .	4-methoxy-3-pyridyl
NH	n-butyl	OCOOMe	5-methoxy-3-pyridyl
NH	n-butyl	OCOOMe	6-methoxy-8-pyridyl
NII	n-butyl	OCOOMe OCOOMe	2-ethoxy-8-pyridyl
NH	n-butyl	OCOOMe	4-ethoxy-3-pyridyl
NH	n-butyl	OCOOMe	5-ethoxy-3-pyridyl
NH	n-butyl n-butyl	OCOOMe	6-ethoxy-3-pyridyl
NH	n-butyl	OCOOMe	2-chloro-3-pyridyl
NH		OCOOMe	4-chloro-3-pyridyl
NH	n-butyl n-butyl	GCOOMe	5-chloro-8-pyridyl
NH	n-butyl	OCOOMe	6-chloro-3-pyridyl
NH	n-butyl	OCOOMe	2-fluoro-3-pyridyl
	n-butyl	OCOOMe	4-fluoro-3-pyridyl
NH	n-butyl	OCOOMe	5-fluoro-8-pyridyl
NH	n-butyl	OCOOMe	6-fluoro-3-pyridyl
NH	n-butyl	OCOOMe	2-dimethylamino-3-pyridyl
NH	n-butyl	OCOOMe	4-dimethylamino-3-pyridyl
NH	n-butyl	OCOOMe	5-dimethylamino-3-pyridyl
NH	n-butyl	OCOOMe	6-dimethylamino-3-pyridyl
NH	n-butyl	OCOOMe	2-(1-pyrrolidinyl)-3-pyridyl
NH	n-butyl	OCOOMe	8-(1-pyrrolidinyl)-3-pyridyl
NH	n-butyl	OCOOMe	5-(1-pyrrolidinyl)-3-pyridyl
NH	n-butyl	OCOOMe	6-(1-pyrrolidinyl)-3-pyridyl
NH	n-butyl	OCOOMe	2-piperidinu-3-pyridyl
NH	n-butyl	OCOOMe	4-piperidino-3-pyridyl
NH	n-butyl	OCOOMe	5-piperidino-3-pyridyl
NH	n-butyl	OCOOMe	6-piperidino-3-pyridyl
NH	n-butyl	OCOOMe	2-morpholino-3-pyridyl
NH	n-butyl	OCOOMe	4-morpholino-3-pyridyl
	n-butyl	OCOOMe	5-morpholino-3-pyridyl
NH	n-butyl n-butyl	OCOOMe	6-morpholino-3-pyridyl
NH		OCOOMe	2-hydroxy-3-pyridyl
NH	n-butyl n-butyl	OCOOMe	4-hydroxy-3-pyridyl
NH		OCOOMe	5-hydroxy-3-pyridyl
NH	n-butyl	OCOOMe	6-hydroxy-3-pyridyl
NH	n-butyl	T OCOOIME	0-mjaronj o pjiraji

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NH	n-butyl	OCOOMe	2-mercapto-3-pyridyl
NH	n-butyl	OCOOMe	4-mercapto-9-pyridyl
NH	n-butyl	OCOOMe	5-mercapto-3-pyridyl
NH	n-butyl	OCOOMe	6-mercapto-3-pyridyl
NH	n-butyl	OCOOMe	2-methylthio-3-pyridyl
NH	n-butyl	OCOOMe	4-methylthio-3-pyridyl
NH	n-butyl	OCOOMe	5-methylthio-3-pyridyl
NH	n-butyl	OCOOMe	6-methylthio-3-pyridyl
NH	n-butyl	OCOOMe	2,6-dimethyl-3-pyridyl
NH	n-butyl	OCOOMe	5,6-dimethyl-3-pyridyl
NH	n-butyl	OCOOMe	2,6-diethyl-3-pyridyl
NH	n-butyl	OCOOMe	5,6-diethyl-3-pyridyl
NH	n-butyl	OCOOMe	2,6-dimethoxy-3-pyridyl
NH	n-butyl	OCOOMe	5,6-dimethoxy-3-pyridyl
NH	n-butyl	OCOOMe	2,6-diethoxy-8-pyridyl
NH	n-butyl	OCOOMe	5,6-diethoxy-3-pyridyl
NH	n-butyl	OCOOMe	2,6-dichloro-8-pyridyl
NH	n-butyl	OCOOMe	5,6-dichloro-3-pyridyl
NH	n-butyl	OCOOMe	5-chloro-6-methoxy-3-pyridyl
NH	n-butyl	OCOOMe	5-chloro-6-ethoxy-3-pyridyl
NH	n-butyl	OCOOMe	2-chloro-6-methyl-3-pyridyl
NH	n-butyl	OCOOMe	6-chloro-2-methyl-3-pyridyl
NH	n-butyl	OCOOMe	2-methyl-4-pyridyl
ИН	n-butyl	OCOOMe	2-ethyl-4-pyridyl
ИН	n-butyl	OCOOMe	2-methoxy-4-pyridyl
NH	n-butyl	OCOOMe	2-ethoxy-4-pyridyl
NH	n-butyl	OCOOMe	2-chloro-4-pyridyl
NH	n-butyl	OCOOMe	2-dimethylamino-4-pyridyl
NH	n-butyl	OCOOMe	2-(1-pyrrolidinyl)-4-pyridyl
NH	n-butyl	OCOOMe	2-piperidino-4-pyridyl
NH	n-butyl	OCOOMe	2-morpholino-4-pyridyl
NH	n-butyl	OCOOMe	2-methylthio-4-pyridyl
NH	n-butyl	OCOOMe	2-pyrazinyl
NH	n-butyl	OCOOMe	5-methyl-2-pyrazinyl
NH	n-butyl	OCOOMe	5-ethyl-2-pyrazinyl
NH	n-butyl	OCOOMe	5-methoxy-2-pyrazinyl
NH	n-butyl	OCOOMe	5-ethoxy-2-pyrazinyl
NH	n-butyl	OCOOMe	5-chloro-2-pyrazinyl
NH	n-butyl	OCOOMe	6-methyl-2-pyrazinyl
NH	n-butyl	OCOOMe	6-methoxy-2-pyrazinyl
NH	n-butyl	OCOOMe	6-chloro-2-pyrazinyl

WO 02/085905 PCT/JP02/03727

x	R1	R ³	Y
NH	n-butyl	OCOOEt	2-pyridyl
NH	n-butyl	OCOOEt	3-pyridyl
NH	n-butyl	OCOOEt	4-pyridyl
NH	n-butyl	OCOOEt	2-methyl-3-pyridyl
NH	n-butyl	OCOOEt	4-methyl-3-pyridyl
NH	n-butyl	OCOOEt	5-methyl-3-pyridyl
		OCOOEt	6-methyl-3-pyridyl
NH	n-butyl	OCOOEt	2-ethyl-3-pyridyl
NH	n-butyl	OCOOEt	
NH	n-butyl		4-ethyl-8-pyridyl
NH	n-butyl	OCOOEt	5-ethyl-3-pyridyl
NH	n-butyl	OCOOEt	6-ethyl-3-pyridyl
NH	n-butyl	OCOOEt	2-methoxy-3-pyridyl
NH	n-butyl	OCOOBt	4-methoxy-3-pyridyl
NH	n-butyl	OCOOEt	5-methoxy-3-pyridyl
NH	n-butyl	OCOOEt	6-methoxy-3-pyridyl
NH	n-butyl	OCOORt	2-ethoxy-3-pyridyl
NH	n-butyl	OCOOEt	4-ethoxy-3-pyridyl
NH	n-butyl	OCOOEt	5-ethoxy-3-pyridyl
NH	n-butyl	OCOOEt	6-ethoxy-3-pyridyl
NH	n-butyl	OCOOEt	2-chloro-3-pyridyl
NH	n-butyl	OCOOEt	4-chloro-3-pyridyl
NH	n-bu ty l	OCOOEt	5-chloro-3-pyridyl
NH	n-butyl	OCOOEt	6-chloro-3-pyridyl
NH	n-butyl	OCOOEt	2-fluoro-3-pyridyl
NH	n-butyl	OCOOEt	4-fluoro-3-pyridyl
NH	n-butyl	OCOOEt	5-fluoro-8-pyridyl
NH	n-butyl	OCOOEt	6-fluoro-3-pyridyl
NH	n-butyl	OCOOEt	2-dimethylamino-3-pyridyl
NH	n-butyl	OCOOEt	4-dimethylamino-3-pyridyl
NH	n-butyl	OCOOEt	5-dimethylamino-3-pyridyl
NH	n-butyl	OCOOEt	6-dimethylamino-3-pyridyl
NH	n-butyl	OCOOEt	2-(1-pyrrolidinyl)-3-pyridyl
NH	n-butyl	OCOOEt	3-(1-pyrrolidinyl)-3-pyridyl
NH	n-butyl	OCOOEt	5-(1-pyrrolidinyl)-3-pyridyl
NH	n-butyl	OCOOEt	6-(1-pyrrolidinyl)-3-pyridyl
NH	n-butyl	OCOOEt	2-piperidino-3-pyridyl
NH	n-butyl	OCOOEt	4-piperidino-3-pyridyl
NH	n-butyl	OCOOEt	5-piperidino-3-pyridyl
NH	n-butyl	OCOOEt	6-piperidino-3-pyridyl
NH	n-butyl	OCOOEt	2-morpholino-3-pyridyl
NH	n-butyl	OCOOEt	4-morpholino-3-pyridyl
NH	n-butyl	OCOOEt	5-morpholino-3-pyridyl
NH	n-butyl	OCOOEt	6-morpholino-3-pyridyl
NH	n-butyl	OCOOEt	2-hydroxy-3-pyridyl
NH	n-butyl	OCOOEt	4-hydroxy-3-pyridyl
NH	n-butyl	OCOOEt	5-hydroxy-3-pyridyl
NH	n-butyl	OCOOEt	6-hydroxy-3-pyridyl
TALL	TI-DITEÀI	T OCOOP!	n-nyuruxy-a-pyriuyi

		·	
NH	n-butyl	OCOOEt	2-mercapto-3-pyridyl
NH	n-butyl	OCOOEt	4-mercapto-3-pyridyl
NH	n-butyl	OCOOEt	5-mercapto-3-pyridyl
NH	n-butyl	OCOOEt	6-mercapto-3-pyridyl
NH	n-butyl	OCOOEt	2-methylthio-3-pyridyl
NH	n-butyl	OCOOEt	4-methylthio-3-pyridyl
NH	n-butyl	OCOOEt	5-methylthio-3-pyridyl
NH	n-butyl	OCOOEt	6-methylthio-3-pyridyl
NH	n-butyl	OCOOEt	2,6-dimethyl-3-pyridyl
NH	n-butyl	OCOOEt	5,6-dimethyl-8-pyridyl
NH	n-butyl	OCOOEt	2,6-diethyl-3-pyridyl
NH	n-butyl	OCOOEt	5,6-diethyl-8-pyridyl
NH	n-butyl	OCOOEt	2,6-dimethoxy-3-pyridyl
NH	n-butyl	OCOOEt	5,6-dimethoxy-8-pyridyl
NH	n-butyl	OCOOEt	2,6-diethoxy-3-pyridyl
NH	n-butyl	OCOOEt	5,6-diethoxy-8-pyridyl
NH	n-butyl	OCOOEt	2,6-dichloro-3-pyridyl
NH	n-butyl	OCOOEt	5,6-dichloro-8-pyridyl
NH	n-butyl	OCOOEt	5-chloro-6-methoxy-3-pyridyl
NH	n-butyl	OCOOEt	5-chloro-6-ethoxy-3-pyridyl
NH	n-butyl	OCOOEt	2-chloro-6-methyl-8-pyridyl
NH	n-butyl	OCOOEt	6-chloro-2-methyl-3-pyridyl
NH	n-butyl	OCOOEt	2-methyl-4-pyridyl
NH	n-butyl	OCOOEt	2-ethyl-4-pyridyl
NH	n-butyl	OCCOEt	2-methoxy-4-pyridyl
NH	n-butyl	OCOOEt	2-ethoxy-4-pyridyl
NH	n-butyl	OCOOEt	2-chloro-4-pyridyl
NH	n-butyl	OCOOEt	2-dimethylamino-4-pyridyl
NH	n-butyl	OCOOEt	2-(1-pyrrolidinyl)-4-pyridyl
NH	n-butyl	OCOOEt	2-piperidino-4-pyridyl
NH	n-butyl	OCOOEt	2-morpholino-4-pyridyl
NH	n-butyl	OCOOEt	2-methylthio-4-pyridyl
NH	n-butyl	OCOOEt	2-pyrazinyl
NH	n-butyl	OCOOEt	5-methyl-2-pyrazinyl
NH	n-butyl	OCOOEt	5-ethyl-2-pyrazinyl
NH	n-butyl	OCOOEt	5-methoxy-2-pyrazinyl
NH	n-butyl	OCOOEt	5-ethoxy-2-pyrazinyl
NH	n-butyl	OCOOEt	5-chloro-2-pyrazinyl
NH	n-butyl	OCOOEt	6-methyl-2-pyrazinyl
NH	n-butyl	OCOOEt	6-methoxy-2-pyrazinyl
NH	n-butyl	OCOOEt	6-chloro-2-pyrazinyl

	R ¹	R ²	Y
X		OH	2-pyridyl
NH	n-pentyl	OH	3-pyridyl
NH	n-pentyl		4-pyridyl
NH	n-pentyl	OH	2-methyl-3-pyridyl
NH	n-pentyl	OH	4-methyl-3-pyridyl
NH	n-pentyl	OH	
NH	n-pentyl	OH	5-methyl-3-pyridyl
NH	n-pentyl	OH	6-methyl-8-pyridyl
NH	n-pentyl	OH	2-ethyl-8-pyridyl
NH	n-pentyl	OH	4-ethyl-3-pyridyl
NH	n-pentyl	OH	5-ethyl-3-pyridyl
NH	n-pentyl	OH	6-ethyl-3-pyridyl
NH	n-pentyl	OH	2-methoxy-3-pyridyl
NH	n-pentyl	OH	4-methoxy-3-pyridyl
NH	n-pentyl	OH	5-methoxy-3-pyridyl
NH	n-pentyl	OH	6-methoxy-8-pyridyl
NH	n-pentyl	OH	2-ethoxy-3-pyridyl
NH	n-pentyl	OH	4-ethoxy-3-pyridyl
NH	n-pentyl	OH	5-ethoxy-3-pyridyl
NH	n-pentyl	OH	6-ethoxy-3-pyridyl
NH	n-pentyl	OH	2-chloro-3-pyridyl
NH	n-pentyl	OH	4-chloro-3-pyridyl
NH	n-pentyl	OH	5-chloro-3-pyridyl
NH	n-perityl	ОН	6-chloro-3-pyridyl
NH	n-pentyl	ОН	2-fluoro-3-pyridyl
NH	n-pentyl	OH	4-fluoro-3-pyridyl
NH	n-pentyl	OH	5-fluoro-3-pyridyl
NH	n-pentyl	OH	6-fluoro-3-pyridyl
NH	n-pentyl	OH	2-dimethylamino-3-pyridyl
NH	n-pentyl	ОН	4-dimethylamino-3-pyridyl
NH	n-pentyl	OH	5-dimethylamino-3-pyridyl
NH	n-pentyl	OH	6-dimethylamino-3-pyridyl
NH	n-pentyl	OH	2-(1-pyrrolidinyl)-3-pyridyl
NH	n-pentyl	OH	3-(1-pyrrolidinyl)-3-pyridyl
NH	n-pentyl	OH	5-(1-pyrrolidinyl)-3-pyridyl
NH	n-pentyl	OH	6-(1-pyrrolidinyl)-3-pyridyl
NH	n-pentyl	OH	2-piperidino-3-pyridyl
NH	n-pentyl	OH	4-piperidino-8-pyridyl
NH	n-pentyl	OH	5-piperidino-3-pyridyl
NH	n-pentyl	OH	6-piperidino-3-pyridyl
NH	n-pentyl	OH	2-morpholino-3-pyridyl
NH	n-pentyl	ОН	4-morpholino-8-pyridyl
NH	n-pentyl	OH	5-morpholino-3-pyridyl
NH	n-pentyl	OH	6-morpholino-3-pyridyl
NH	n-pentyl	OH	2-hydroxy-3-pyridyl
NH	n-pentyl	OH	4-hydroxy-3-pyridyl
NH	n-pentyl	OH	5-hydroxy-3-pyridyl
NH	n-pentyl	OH	6-hydroxy-3-pyridyl
NH	п-реподт	1 011	

NH	n-pentyl	OH	2-mercapto-3-pyridyl
NH	n-pentyl	OH	4-mercapto-3-pyridyl
NH	n-pentyl	OH	5-mercapto-8-pyridyl
NH	n-pentyl	OH	6-mercapto-3-pyridyl
NH	n-pentyl	OH	2-methylthio-3-pyridyl
NH	n-pentyl	OH	4-methylthio-3-pyridyl
NH	n-pentyl	OН	5-methylthio-3-pyridyl
NH	n-pentyl	HO	6-methylthio-3-pyridyl
NH	n-pentyl	OH	2,6-dimethyl-3-pyridyl
NH	n-pentyl	OH	5,6-dimethyl-3-pyridyl
NH	n-pentyl	OH	2,6-diethyl-3-pyridyl
NH	n-pentyl	OH	5,6-diethyl-3-pyridyl
	n-pentyl	OH	2,6-dimethoxy-3-pyridyl
NH	n-pentyl	OH	5.6-dimethoxy-3-pyridyl
NH		OH	2,6-diethoxy-3-pyridyl
NH	n-pentyl	OH	5,6-diethoxy-3-pyridyl
NH	n-pentyl	OH	2,6-dichloro-3-pyridyl
NH	n-pentyl	OH	5,6-dichloro-3-pyridyl
NH	n-pentyl	· OH	5-chloro-6-methoxy-3-pyridyl
NH	n-pentyl	OH	5-chloro-6-ethoxy-3-pyridyl
NH	n-pentyl	OH	2-chloro-6-methyl-3-pyridyl
NH	n-pentyl	OH	6-chloro-2-methyl-3-pyridyl
NH	n-pentyl	OH	2-methyl-4-pyridyl
NH	n-pentyl	OH	2-ethyl-4-pyridyl
NH	n-pentyl	OH	2-methoxy-4-pyridyl
NH	n-pentyl	OH	2-ethoxy-4-pyridyl
NH	n-pentyl	OH	2-chloro-4-pyridyl
NH	n-pentyl	OH	2-dimethylamino-4-pyridyl
NH	n-pentyl	OH	2-(1-pyrrolidinyl)-4-pyridyl
NH	n-pentyl	OH	2-piperidino-4-pyridyl
NH	n-pentyl	OH	2-morpholino-4-pyridyl
NH	n-pentyl	OH	2-methylthio-4-pyridyl
NH	n-pentyl	OH	2-pyrazinyl
NH	n-pentyl	OH	5-methyl-2-pyrazinyl
NH	n-pentyl	OH	5-ethyl-2-pyrazinyl
NH	n-pentyl	OH	5-methoxy-2-pyrazinyl
NH	n-pentyl	OH	5-ethoxy-2-pyrazinyl
NH	n-pentyl	OH	5-chloro-2-pyrazinyl
NH	n-pentyl	OH	6-methyl-2-pyrazinyl
NH	n-pentyl	OH	6-methoxy-2-pyrazinyl
NH	n-pentyl	OH	6-chloro-2-pyrazinyl
NH	n-pentyl	l On	G-cittoro-n-pj.com.

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X	R¹	R²	Y
NH	n-pentyl	OCOOMe	2-pyridyl
ИИ	n-pentyl	OCOOMe	3-pyridyl
NH	n-pentyl	OCOOMe	4-pyridyl
NH	n-pentyl	OCOOMe	2-methyl-8-pyridyl
NH	n-pentyl	OCOOMe	4-methyl-3-pyridyl
NH	n-pentyl	OCOOMe	5-methyl-3-pyridyl
NH	n-pentyl	OCOOMe	6-methyl-3-pyridyl
NH	n-pentyl	OCOOMe	2-ethyl-3-pyridyl
NH	n-pentyl	OCOOMe	4-ethyl-3-pyridyl
NH	n-pentyl	OCOOMe	5-ethyl-3-pyridyl
NH	n-pentyl	OCOOMe	6-ethyl-3-pyridyl
NH	n-pentyl	OCOOMe	2-methoxy-3-pyridyl
NH	n-pentyl	OCOOMe	4-methoxy-3-pyridyl
NH	n-pentyl	OCOOMe	· 5-methoxy-3-pyridyl
NH	n-pentyl	OCOOMe	6-methoxy-3-pyridyl
NH	n-pentyl	OCOOMe	2-ethoxy-3-pyridyl
NH	n-pentyl	OCOOMe	4-ethoxy-3-pyridyl
NH	n-pentyl	OCOOMe .	5-ethoxy-3-pyridyl
NH	n-pentyl	OCOOMe	6-ethoxy-3-pyridyl
NH	n-pentyl	OCOOMe	2-chloro-3-pyridyl
NH	n-pentyl	OCOOMe	4-chlero-3-pyridyl
NH	n-pentyl	OCOOMe	5-chloro-3-pyridyl
NH	n-rentyl	OCOOMe	6-chloro-3-pyridyl
NH	n-pentyl	OCOOMe	2-fluoro-3-pyridyl
NH	n-pentyl	OCOOMe	4-fluoro-3-pyridyl
NH	n-pentyl	OCOOMe	5-fluoro-3-pyridyl
NH	n-pentyl	OCOOMe	6-fluoro-3-pyridyl
NH	n-pentyl	OCOOMe	2-dimethylamino-3-pyridyl
NH	n-pentyl	OCOOMe	4-dimethylamino-3-pyridyl
NH	n-pentyl	OCOOMe	5-dimethylamino-3-pyridyl
NH	n-pentyl	OCOOMe	6-dimethylamino-3-pyridyl
NH	n-pentyl	OCOOMe	2-(1-pyrrolidinyl)-8-pyridyl
NH	n-pentyl	OCOOMe	3-(1-pyrrolidinyl)-3-pyridyl
NH	n-pentyl	OCOOMe	5-(1-pyrrolidinyl)-8-pyridyl
NH	n-pentyl	OCOOMe	6-(1-pyrrolidinyl)-3-pyridyl
ИН	n-pentyl	OCOOMe	2-piperidino-8-pyridyl
NH	n-pentyl	OCOOMe	4-piperidino-3-pyridyl
NH	n-pentyl	OCOOMe	5-piperidino-3-pyridyl
NH	n-pentyl	OCOOMe	6-piperidino-3-pyridyl
NH	n-pentyl	OCOOMe	2-morpholino-3-pyridyl
NH	n-pentyl	OCOOMe	4-morpholino-3-pyridyl
NH	n-pentyl	OCOOMe	5-morpholino-3-pyridyl
NH	n-pentyl	OCOOMe	6-morpholino-3-pyridyl
NH	n-pentyl	OCOOMe	2-hydroxy-3-pyridyl
NH	n-pentyl	OCOOMe	4-hydroxy-3-pyridyl
NH	n-pentyl	OCOOMe	5-hydroxy-3-pyridyl
NH	n-pentyl	OCOOMe	6-hydroxy-3-pyridyl

NH n-pentyl OCOOMe 4-mer NH n-pentyl OCOOMe 5-mer NH n-pentyl OCOOMe 6-mer NH n-pentyl OCOOMe 2-meth	capto-3-pyridyl
NH n-pentyl OCOOMe 5-mer NH n-pentyl OCOOMe 6-mer NH n-pentyl OCOOMe 2-meth	
NH n-pentyl OCOOMe 6-mer NH n-pentyl OCOOMe 2-meth	
NH n-pentyl OCOOMe 2-meth	capto-3-pyridyl
THE POSSIT	capto-3-pyridyl
	ylthio-3-pyridyl
NH n-pentyl OCOOMe 4-meth	ylthio-3-pyridyl
MI	ylthio-3-pyridyl
NH n-pentyl OCOOMe 6-meth	ylthio-3-pyridyl
NH n-pentyl OCOOMe 2,6-dir	nethyl-3-pyridyl
NH n-pentyl OCOOMe 5,6-dir	nethyl-3-pyridyl
NH n-pentyl OCOOMe 2,6-di	iethyl-3-pyridyl
NH n-pentyl OCOOMe 5,6-di	iethyl-3-pyridyl
NH n-pentyl OCOOMe 2,6-dim	ethoxy-3-pyridyl
NH n-pentyl OCOOMe 5,6-dim	ethoxy-3-pyridyl
1411 22 22 2 2 2 2 2 2 2	ethoxy-3-pyridyl
NH n-pentyl OCOOMe 5,6-die	ethoxy-3-pyridyl
NH n-pentyl OCOOMe 2,6-di	chloro-3-pyridyl
NH n-pentyl OCOOMe 5,6-di	chloro-3-pyridyl
NH n-pentyl OCOOMe 5-chloro-	6-methoxy-3-pyridyl
	-6-ethoxy-3-pyridyl
NH n-pentyl OCOOMe 2-chloro-	6-methyl-8-pyridyl
1 1111	2-methyl-3-pyridyl
	ethyl-4-pyridyl
	thyl-4-pyridyl
	thoxy-4-pyridyl
) 11/A A PUD-U-	hoxy-4-pyridyl
	aloro-4-pyridyl
	hylamino-4-pyridyl
	rolidinyl)-4-pyridyl
1 11AA	eridino-4-pyridyl
	pholino-4-pyridyl
* * * * * * * * * * * * * * * * * * *	hylthio-4-pyridyl
	2-pyrazinyl
1 1122 (punty = 1	thyl-2-pyrazinyl
1 112A	hyl-2-pyrazinyl
1 112	hoxy-2-pyrazinyl
	oxy-2-pyrazinyl
	loro-2-pyrazinyl
	thyl-2-pyrazinyl
	hoxy-2-pyrazinyl
NH n-pentyl OCOOMe 6-ch	loro-2-pyrazinyl

X	R ¹	R ²	Y
NH	n-pentyl	OCOOEt	2-pyridyl
NH	n-pentyl	OCOOEt	3-pyridyl
NH	n-pentyl	OCOOEt	4-pyridyl
	n-pentyl	OCOOEt	2-methyl-3-pyridyl
NH			
NH	n-pentyl	OCOOEt	4-methyl-3-pyridyl
NH	n-pentyl	OCOOEt	5-methyl-3-pyridyl
NH	n-pentyl	OCOOEt	6-methyl-8-pyridyl
NH	n-pentyl	OCOOEt	2-ethyl-8-pyridyl
NH	n-pentyl	OCOOEt	4-ethyl-8-pyridyl
NH	n-pentyl	OCOOEt	5-ethyl-3-pyridyl
NH	n-pentyl	OCOOEt	6-ethyl-3-pyridyl
ИН	n-pentyl	OCOOEt	2-methoxy-3-pyridyl
NH	n-pentyl	OCOOEt	4-methoxy-3-pyridyl
NH	n-pentyl	OCOOEt	5-methoxy-3-pyridyl
NH	n-pentyl	OCOOEt	6-methoxy-3-pyridyl
NH	n-pentyl	OCOOEt	2-ethoxy-8-pyridyl
NH	n-pentyl	OCOOEt	4-ethoxy-8-pyridyl
NH	n-pentyl	OCOOEt	5-ethoxy-3-pyridyl
NH	n-pentyl	OCOOEt	6-ethoxy-8-pyridyl
NH	n-pentyl	OCOOEt	2-chloro-8-pyridyl
NH	n-penty!	OCOOEt	4-chloro-3-pyridyl
NH	n-pentyl	OCOOEt	5-chloro-8-pyridyl
NH	n-pentyl	OCOOEt	6-chloro-3-pyridyl
ИН	n-pentyl	OCOOEt	2-fluoro-3-pyridyl
NH	n-pentyl	OCOOEt	4-fluoro-8-pyridyl
NH	n-pentyl	OCOOEt	5-fluoro-3-pyridyl
NH	n-pentyl	OCOOEt	6-fluoro-3-pyridyl
NH	n-pentyl	OCOOEt	2-dimethylamino-3-pyridyl
NH	n-pentyl	OCOOEt	4-dimethylamino-3-pyridyl
NH	n-pentyl	OCOOEt	5-dimethylamino-3-pyridyl
NH	n-pentyl	OCOOEt	6-dimethylamino-3-pyridyl
NH	n-pentyl	OCOOEt.	2-(1-pyrrolidinyl)-3-pyridyl
NH	n-pentyl	OCOOEt	3-(1-pyrrolidinyl)-3-pyridyl
NH	n-pentyl	OCOOEt	5-(1-pyrrolidinyl)-3-pyridyl
NH	n-pentyl	OCOOEt	6-(1-pyrrolidinyl)-3-pyridyl
NH	n-pentyl	OCOOEt	2-piperidino-3-pyridyl
NH	n-pentyl	OCOOEt	4-piperidino-3-pyridyl
NH	n-pentyl	OCOOEt	5-piperidino-3-pyridyl
· NH	n-pentyl	OCOOEt	6-piperidino-3-pyridyl
NH	n-pentyl	OCOOEt	2-morpholino-3-pyridyl
NH	n-pentyl	OCOOEt	4-morpholino-3-pyridyl
NH		· OCOOEt	5-morpholino-3-pyridyl
NH	n-pentyl		
	n-pentyl	OCOOEt	6-morpholino-3-pyridyl
NH	n-pentyl	OCOOEt	2-hydroxy-3-pyridyl
NH	n-pentyl	OCOOEt	4-hydroxy-3-pyridyl
NH	n-pentyl	OCOOEt	5-hydroxy-3-pyridyl
NH	n-pentyl	OCOOEt	6-hydroxy-8-pyridyl

NH n-pentyl OCOOEt 2-mercapto-3-pyridyl NH n-pentyl OCOOEt 4-mercapto-3-pyridyl NH n-pentyl OCOOEt 6-mercapto-3-pyridyl NH n-pentyl OCOOEt 2-methylthio-3-pyridyl NH n-pentyl OCOOEt 4-methylthio-3-pyridyl NH n-pentyl OCOOEt 6-methylthio-3-pyridyl NH n-pentyl OCOOEt 6-methylthio-3-pyridyl NH n-pentyl OCOOEt 6-dimethyl-3-pyridyl NH n-pentyl OCOOEt 2,6-diethyl-3-pyridyl NH n-pentyl OCOOEt 5,6-diethyl-3-pyridyl NH n-pentyl OCOOEt 2,6-diethoxy-3-pyridyl NH n-pentyl OCOOEt 2,6-diethoxy-3-pyridyl NH n-pentyl OCOOEt 2,6-diethoxy-3-pyridyl NH n-pentyl OCOOEt 2,6-diethoro-3-pyridyl NH n-pentyl OCOOEt 2,6-diethoro-3-pyridyl NH n-pentyl OCOOEt 2,6-diethoro-3-pyridyl			0000774	2-mercapto-3-pyridyl
NH n-pentyl OCOOEt 6-mercapto-8-pyridyl NH n-pentyl OCOOEt 6-mercapto-8-pyridyl NH n-pentyl OCOOEt 2-methylthio-3-pyridyl NH n-pentyl OCOOEt 4-methylthio-3-pyridyl NH n-pentyl OCOOEt 6-methylthio-3-pyridyl NH n-pentyl OCOOEt 2,6-dimethyl-3-pyridyl NH n-pentyl OCOOEt 2,6-dimethyl-3-pyridyl NH n-pentyl OCOOEt 2,6-dimethoxy-3-pyridyl NH n-pentyl OCOOEt 2,6-dimethoxy-3-pyridyl NH n-pentyl OCOOEt 2,6-diethoxy-3-pyridyl NH n-pentyl OCOOEt 2,6-diethoxy-3-pyrid				
NH				
NH	NH			
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NH n-pentyl OCOOEt 2-ethyl-4-pyridyl NH n-pentyl OCOOEt 2-methoxy-4-pyridyl NH n-pentyl OCOOEt 2-ethoxy-4-pyridyl NH n-pentyl OCOOEt 2-ethoxy-4-pyridyl NH n-pentyl OCOOEt 2-dimethylamino-4-pyridyl NH n-pentyl OCOOEt 2-(1-pyrrolidinyl)-4-pyridyl NH n-pentyl OCOOEt 2-piperidino-4-pyridyl NH n-pentyl OCOOEt 2-morpholino-4-pyridyl NH n-pentyl OCOOEt 2-morpholino-4-pyridyl NH n-pentyl OCOOEt 2-methylthio-4-pyridyl NH n-pentyl OCOOEt 2-pyrazinyl NH n-pentyl OCOOEt 5-methyl-2-pyrazinyl NH n-pentyl OCOOEt 5-methyl-2-pyrazinyl NH n-pentyl OCOOEt 5-methoxy-2-pyrazinyl NH n-pentyl OCOOEt 5-ethoxy-2-pyrazinyl NH n-pentyl OCOOEt 5-ethoxy-2-pyrazinyl NH n-pentyl OCOOEt 5-chloro-2-pyrazinyl NH n-pentyl OCOOEt 6-methyl-2-pyrazinyl NH n-pentyl OCOOEt 6-methyl-2-pyrazinyl	NH	n-pentyl	OCOOEt	6-chloro-2-methyl-3-pyridyl
NH n-pentyl OCOOEt 2-methoxy-4-pyridyl NH n-pentyl OCOOEt 2-ethoxy-4-pyridyl NH n-pentyl OCOOEt 2-dimethylamino-4-pyridyl NH n-pentyl OCOOEt 2-dimethylamino-4-pyridyl NH n-pentyl OCOOEt 2-(1-pyrrolidinyl)-4-pyridyl NH n-pentyl OCOOEt 2-piperidino-4-pyridyl NH n-pentyl OCOOEt 2-morpholino-4-pyridyl NH n-pentyl OCOOEt 2-methylthio-4-pyridyl NH n-pentyl OCOOEt 2-methylthio-4-pyridyl NH n-pentyl OCOOEt 2-pyrazinyl NH n-pentyl OCOOEt 5-methyl-2-pyrazinyl NH n-pentyl OCOOEt 5-methoxy-2-pyrazinyl NH n-pentyl OCOOEt 5-ethoxy-2-pyrazinyl NH n-pentyl OCOOEt 5-ethoxy-2-pyrazinyl NH n-pentyl OCOOEt 5-chloro-2-pyrazinyl NH n-pentyl OCOOEt 6-methyl-2-pyrazinyl NH n-pentyl OCOOEt 6-methoxy-2-pyrazinyl NH n-pentyl OCOOEt 6-methoxy-2-pyrazinyl	NH	n-pentyl	OCOOEt	2-methyl-4-pyridyl
NH n-pentyl OCOOEt 2-ethoxy-4-pyridyl NH n-pentyl OCOOEt 2-chloro-4-pyridyl NH n-pentyl OCOOEt 2-dimethylamino-4-pyridyl NH n-pentyl OCOOEt 2-(1-pyrrolidinyl)-4-pyridyl NH n-pentyl OCOOEt 2-piperidino-4-pyridyl NH n-pentyl OCOOEt 2-morpholino-4-pyridyl NH n-pentyl OCOOEt 2-morpholino-4-pyridyl NH n-pentyl OCOOEt 2-methylthio-4-pyridyl NH n-pentyl OCOOEt 2-pyrazinyl NH n-pentyl OCOOEt 5-methyl-2-pyrazinyl NH n-pentyl OCOOEt 5-ethoxy-2-pyrazinyl NH n-pentyl OCOOEt 5-ethoxy-2-pyrazinyl NH n-pentyl OCOOEt 5-ethoxy-2-pyrazinyl NH n-pentyl OCOOEt 5-chloro-2-pyrazinyl NH n-pentyl OCOOEt 6-methyl-2-pyrazinyl NH n-pentyl OCOOEt 6-methyl-2-pyrazinyl NH n-pentyl OCOOEt 6-methyl-2-pyrazinyl	NH	n-pentyl	OCOOEt	
NH n-pentyl OCOOEt 2-chloro-4-pyridyl NH n-pentyl OCOOEt 2-dimethylamino-4-pyridyl NH n-pentyl OCOOEt 2-(1-pyrrolidinyl)-4-pyridyl NH n-pentyl OCOOEt 2-piperidino-4-pyridyl NH n-pentyl OCOOEt 2-morpholino-4-pyridyl NH n-pentyl OCOOEt 2-methylthio-4-pyridyl NH n-pentyl OCOOEt 2-pyrazinyl NH n-pentyl OCOOEt 5-methyl-2-pyrazinyl NH n-pentyl OCOOEt 5-ethyl-2-pyrazinyl NH n-pentyl OCOOEt 5-methoxy-2-pyrazinyl NH n-pentyl OCOOEt 5-ethoxy-2-pyrazinyl NH n-pentyl OCOOEt 5-chloro-2-pyrazinyl NH n-pentyl OCOOEt 6-methyl-2-pyrazinyl NH n-pentyl OCOOEt 6-methyl-2-pyrazinyl NH n-pentyl OCOOEt 6-methyl-2-pyrazinyl	NH	n-pentyl	OCOOEt	2-methoxy-4-pyridyl
NH n-pentyl OCOOEt 2-dimethylamino-4-pyridyl NH n-pentyl OCOOEt 2-(1-pyrrolidinyl)-4-pyridyl NH n-pentyl OCOOEt 2-piperidino-4-pyridyl NH n-pentyl OCOOEt 2-morpholino-4-pyridyl NH n-pentyl OCOOEt 2-methylthio-4-pyridyl NH n-pentyl OCOOEt 2-pyrazinyl NH n-pentyl OCOOEt 5-methyl-2-pyrazinyl NH n-pentyl OCOOEt 5-ethyl-2-pyrazinyl NH n-pentyl OCOOEt 5-methoxy-2-pyrazinyl NH n-pentyl OCOOEt 5-ethoxy-2-pyrazinyl NH n-pentyl OCOOEt 5-ethoxy-2-pyrazinyl NH n-pentyl OCOOEt 5-chloro-2-pyrazinyl NH n-pentyl OCOOEt 6-methyl-2-pyrazinyl NH n-pentyl OCOOEt 6-methyl-2-pyrazinyl	NH	n-pentyl	OCOOEt	2-ethoxy-4-pyridyl
NH n-pentyl OCOOEt 2-(1-pyrrolidinyl)-4-pyridyl NH n-pentyl OCOOEt 2-piperidino-4-pyridyl NH n-pentyl OCOOEt 2-morpholino-4-pyridyl NH n-pentyl OCOOEt 2-methylthio-4-pyridyl NH n-pentyl OCOOEt 2-methylthio-4-pyridyl NH n-pentyl OCOOEt 5-methyl-2-pyrazinyl NH n-pentyl OCOOEt 5-methyl-2-pyrazinyl NH n-pentyl OCOOEt 5-methoxy-2-pyrazinyl NH n-pentyl OCOOEt 5-methoxy-2-pyrazinyl NH n-pentyl OCOOEt 5-ethoxy-2-pyrazinyl NH n-pentyl OCOOEt 5-chloro-2-pyrazinyl NH n-pentyl OCOOEt 6-methyl-2-pyrazinyl NH n-pentyl OCOOEt 6-methyl-2-pyrazinyl	NH	n-pentyl	OCOOEt	2-chloro-4-pyridyl
NH n-pentyl OCOOEt 2-piperidino-4-pyridyl NH n-pentyl OCOOEt 2-morpholino-4-pyridyl NH n-pentyl OCOOEt 2-methylthio-4-pyridyl NH n-pentyl OCOOEt 2-methylthio-4-pyridyl NH n-pentyl OCOOEt 5-methyl-2-pyrazinyl NH n-pentyl OCOOEt 5-methyl-2-pyrazinyl NH n-pentyl OCOOEt 5-methoxy-2-pyrazinyl NH n-pentyl OCOOEt 5-methoxy-2-pyrazinyl NH n-pentyl OCOOEt 5-ethoxy-2-pyrazinyl NH n-pentyl OCOOEt 6-methyl-2-pyrazinyl NH n-pentyl OCOOEt 6-methyl-2-pyrazinyl NH n-pentyl OCOOEt 6-methoxy-2-pyrazinyl	NH	n-pentyl	OCOOEt	2-dimethylamino-4-pyridyl
NH n-pentyl OCOOEt 2-morpholino-4-pyridyl NH n-pentyl OCOOEt 2-methylthio-4-pyridyl NH n-pentyl OCOOEt 2-pyrazinyl NH n-pentyl OCOOEt 5-methyl-2-pyrazinyl NH n-pentyl OCOOEt 5-ethyl-2-pyrazinyl NH n-pentyl OCOOEt 5-methoxy-2-pyrazinyl NH n-pentyl OCOOEt 5-ethoxy-2-pyrazinyl NH n-pentyl OCOOEt 5-ethoxy-2-pyrazinyl NH n-pentyl OCOOEt 5-chloro-2-pyrazinyl NH n-pentyl OCOOEt 6-methyl-2-pyrazinyl NH n-pentyl OCOOEt 6-methyl-2-pyrazinyl	NH	n-pentyl	OCOOEt	2-(1-pyrrolidinyl)-4-pyridyl
NH n-pentyl OCOOEt 2-methylthio-4-pyridyl NH n-pentyl OCOOEt 2-pyrazinyl NH n-pentyl OCOOEt 5-methyl-2-pyrazinyl NH n-pentyl OCOOEt 5-ethyl-2-pyrazinyl NH n-pentyl OCOOEt 5-methoxy-2-pyrazinyl NH n-pentyl OCOOEt 5-ethoxy-2-pyrazinyl NH n-pentyl OCOOEt 5-ethoxy-2-pyrazinyl NH n-pentyl OCOOEt 6-methyl-2-pyrazinyl NH n-pentyl OCOOEt 6-methyl-2-pyrazinyl NH n-pentyl OCOOEt 6-methyl-2-pyrazinyl	NH	n-pentyl	OCOOEt	2-piperidino-4-pyridyl
NH n-pentyl OCOOEt 2-pyrazinyl NH n-pentyl OCOOEt 5-methyl-2-pyrazinyl NH n-pentyl OCOOEt 5-ethyl-2-pyrazinyl NH n-pentyl OCOOEt 5-methoxy-2-pyrazinyl NH n-pentyl OCOOEt 5-ethoxy-2-pyrazinyl NH n-pentyl OCOOEt 5-chloro-2-pyrazinyl NH n-pentyl OCOOEt 6-methyl-2-pyrazinyl NH n-pentyl OCOOEt 6-methyl-2-pyrazinyl NH n-pentyl OCOOEt 6-methoxy-2-pyrazinyl	NH	n-pentyl	OCOOEt	2-morpholino-4-pyridyl
NH n-pentyl OCOOEt 5-methyl-2-pyrazinyl NH n-pentyl OCOOEt 5-ethyl-2-pyrazinyl NH n-pentyl OCOOEt 5-methoxy-2-pyrazinyl NH n-pentyl OCOOEt 5-ethoxy-2-pyrazinyl NH n-pentyl OCOOEt 5-chloro-2-pyrazinyl NH n-pentyl OCOOEt 6-methyl-2-pyrazinyl NH n-pentyl OCOOEt 6-methyl-2-pyrazinyl	NH	n-pentyl	OCOOEt	2-methylthio-4-pyridyl
NH n-pentyl OCOOEt 5-ethyl-2-pyrazinyl NH n-pentyl OCOOEt 5-methoxy-2-pyrazinyl NH n-pentyl OCOOEt 5-ethoxy-2-pyrazinyl NH n-pentyl OCOOEt 5-chloro-2-pyrazinyl NH n-pentyl OCOOEt 6-methyl-2-pyrazinyl NH n-pentyl OCOOEt 6-methyl-2-pyrazinyl	NH	n-pentyl	OCOOEt	2-pyrazinyl
NH n-pentyl OCOOEt 5-methoxy-2-pyrazinyl NH n-pentyl OCOOEt 5-ethoxy-2-pyrazinyl NH n-pentyl OCOOEt 5-chloro-2-pyrazinyl NH n-pentyl OCOOEt 6-methyl-2-pyrazinyl NH n-pentyl OCOOEt 6-methoxy-2-pyrazinyl	NH		OCOOEt	5-methyl-2-pyrazinyl
NH n-pentyl OCOOEt 5-ethoxy-2-pyrazinyl NH n-pentyl OCOOEt 5-chloro-2-pyrazinyl NH n-pentyl OCOOEt 6-methyl-2-pyrazinyl NH n-pentyl OCOOEt 6-methoxy-2-pyrazinyl	NH	n-pentyl	OCOOEt	5-ethyl-2-pyrazinyl
NH n-pentyl OCOOEt 5-ethoxy-2-pyrazinyl NH n-pentyl OCOOEt 5-chloro-2-pyrazinyl NH n-pentyl OCOOEt 6-methyl-2-pyrazinyl NH n-pentyl OCOOEt 6-methoxy-2-pyrazinyl	NH	n-pentyl	OCOOEt	5-methoxy-2-pyrazinyl
NH n-pentyl OCOOEt 5-chloro-2-pyrazinyl NH n-pentyl OCOOEt 6-methyl-2-pyrazinyl NH n-pentyl OCOOEt 6-methoxy-2-pyrazinyl	NH	n-pentyl	OCOOEt	5-ethoxy-2-pyrazinyl
NH n-pentyl OCOOEt 6-methyl-2-pyrazinyl NH n-pentyl OCOOEt 6-methoxy-2-pyrazinyl	NH		OCOOEt	5-chloro-2-pyrazinyl
	NH		OCOOEt	6-methyl-2-pyrazinyl
	NH	n-pentyl	OCOOEt	
	NH		OCOOEt	6-chloro-2-pyrazinyl

	7)	\mathbb{R}^2	Y
Х	R ¹		2-pyridyl
0	propyl	OH	3-pyridyl
0	propyl	HO	
0	propyl	OH	4-pyridyl
0	propyl	OH	2-methyl-3-pyridyl
0	propyl	OH	4-methyl-3-pyridyl
0	propyl	OH	5-methyl-3-pyridyl
0	propyl	OH	6-methyl-3-pyridyl
0	propyl	OH	2-ethyl-3-pyridyl
0	propyl	OH	4-ethyl-3-pyridyl
0	propyl	OH	5-ethyl-3-pyridyl
0	propyl	OH	6-ethyl-3-pyridyl
0	propyl	OH	2-methoxy-3-pyridyl
0	propyl	OH	4-methoxy-3-pyridyl
0	propyl	OH	5-methoxy-3-pyridyl
0	propyl	HO	6-methoxy-3-pyridyl
0	propyl	OH	2-ethoxy-8-pyridyl
0	propyl	OH	4-ethoxy-3-pyridyl
0	propyl	OH	5-ethoxy-3-pyridyl
0	propyl	OH	6-ethoxy-3-pyridyl
0	propyl	OH	2-chloro-3-pyridyl
0	propyl	OH	4-chloro-3-pyridyl
0	propyl	OH	5-chloro-3-pyridyl
0	propyl	OH	6-chloro-3-pyridyl
0	propyl	OH	2-fluoro-3-pyridyl
0	propyl	OH	4-fluoro-8-pyridyl
0	propyl	OH	5-fluoro-3-pyridyl
0	propyl	OH	6-fluoro-3-pyridyl
0	propyl	OH	2-dimethylamino-3-pyridyl
0	propyl ·	OH	4-dimethylamino-3-pyridyl
0	propyl	OH	5-dimethylamino-3-pyridyl
0 .	propyl	OH	6-dimethylamino-3-pyridyl
0	propyl	OH	2-(1-pyrrolidinyl)-8-pyridyl
0	propyl	OH	3-(1-pyrrolidinyl)-3-pyridyl
0	propyl	ОН	5-(1-pyrrolidinyl)-3-pyridyl
0	propyl	OH	6-(1-pyrrolidinyl)-3-pyridyl
0	propyl	OH	2-piperidino-3-pyridyl
0	propyl	OH	4-piperidino-3-pyridyl
0	propyl	OH	5-piperidino-3-pyridyl
0	propyl	OH	6-piperidino-3-pyridyl
0	propyl	OH	2-morpholino-3-pyridyl
0	propyl	OH	4-morpholino-3-pyridyl
0	propyl	ОН	5-morpholino-3-pyridyl
0	propyl	OH	6-morpholino-3-pyridyl
0	propyl	OH	2-hydroxy-3-pyridyl
0	propyl	ОН	4-hydroxy-3-pyridyl
0	propyl	OH	5-hydroxy-3-pyridyl
0	propyl	OH	6-hydroxy-3-pyridyl
	l hrohly	<u> </u>	

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0	propyl	OH	2-mercapto-3-pyridyl
0	propyl	OH	4-mercapto-8-pyridyl
0	propyl	OH	5-mercapto-3-pyridyl
0	propyl	OH	6-mercapto-3-pyridyl
0	propyl	OH	2-methylthio-3-pyridyl
0	propyl	OH	4-methylthio-3-pyridyl
0	propyl	OH	5-methylthio-3-pyridyl
0	propyl	OH	6-methylthio-3-pyridyl
0	propyl	OH	2,6-dimethyl-3-pyridyl
0	propyl	OH	5,6-dimethyl-3-pyridyl
0	propyl	OH	2,6-diethyl-3-pyridyl
0	propyl	OH	5,6-diethyl-3-pyridyl
0	propyl	OH	2,6-dimethoxy-3-pyridyl
0	propyl	OH	5,6-dimethoxy-3-pyridyl
0	propyl	OH	2,6-diethoxy-3-pyridyl
0	propyl	OH ·	5,6-diethoxy-3-pyridyl
0	propyl	OH	2,6-dichloro-3-pyridyl
0	propyl	ОН	5,6-dichloro-3-pyridyl
0	propyl	ОН	5-chloro-6-methoxy-3-pyridyl
0	propyl	OH	5-chloro-6-ethoxy-3-pyridyl
0	propyl	OH	2-chloro-6-methyl-3-pyridyl
0	propyl	OH	6-chloro-2-methyl-3-pyridyl
0	propyl	OH	2-methyl-4-pyridyl
0	propyl	OH	2-ethyl-4-pyridyl
0	propyl	OH	2-methoxy-4-pyridyl
0	propyl	OH	2-ethoxy-4-pyridyl
0	propyl	OH	2-chloro-4-pyridyl
0	propyl	OH	2-dimethylamino-4-pyridyl
0	propyl	OH	2-(1-pyrrolidinyl)-4-pyridyl
0	propyl	OH	2-piperidino-4-pyridyl
0	propyl	OH	2-morpholino-4-pyridyl
0	propyl	OH	2-methylthio-4-pyridyl
0	propyl	OH	2-pyrazinyl
0	propyl	OH	5-methyl-2-pyrazinyl
0	propyl	OH	5-ethyl-2-pyrazinyl
0	propyl	OH	5-methoxy-2-pyrazinyl
0	propyl	HO	5-ethoxy-2-pyrazinyl
0	propyl	OH	5-chloro-2-pyrazinyl
0	propyl	OH	6-methyl-2-pyrazinyl
0	propyl	OH	6-methoxy-2-pyrazinyl
0	propyl	OH	6-chloro-2-pyrazinyl
			

X	R ¹	R ²	Y
- ô	propyl	OCOOMe	2-pyridyl
0	propyl	OCOOMe	3-pyridyl
0	propyl	OCOOMe	4-pyridyl
0	propyl	OCOOMe	2-methyl-3-pyridyl
-	propyl	OCOOMe	4-methyl-3-pyridyl
		OCOOMe	5-methyl-3-pyridyl
0	propyl	OCOOMe	6-methyl-3-pyridyl
	propyl	OCOOMe	2-ethyl-8-pyridyl
0	propyl	OCOOMe	4-ethyl-3-pyridyl
0	propyl	OCOOMe	5-ethyl-3-pyridyl
0	propyl	OCOOMe	6-ethyl-3-pyridyl
0	propyl	OCOOMe	2-methoxy-3-pyridyl
0	propyl	OCOOMe	4-methoxy-3-pyridyl
0	propyl	OCOOMe	5-methoxy-3-pyridyl
0	propyl	OCOOMe	6-methoxy-3-pyridyl
0	propyl	OCOOMe	2-ethoxy-3-pyridyl
0	propyl propyl	OCOOMe	4-ethoxy-3-pyridyl
0		OCOOMe	5-ethoxy-3-pyridyl
0	propyl	OCOOMe	6-ethoxy-3-pyridyl
0	propyl propyl	OCOOMe	2-chloro-3-pyridyl
0	propyl	OCOOMe	4-chloro-3-pyridyl
10	propyl	OCOOMe	5-chloro-3-pyridyl
10	propyl	OCOOMe	6-chloro-3-pyridyl
 0	propyl	OCOOMe	2-fluoro-3-pyridyl
0	propyl	OCOOMe	4-fluoro-3-pyridyl
0	propyl	OCOOMe	5-fluoro-3-pyridyl
10	propyl	OCOOMe	6-fluoro-3-pyridyl
0	propyl	OCOOMe	2-dimethylamino-3-pyridyl
0	propyl	OCOOMe	4-dimethylamino-3-pyridyl
0	propyl	OCOOMe	5-dimethylamino-3-pyridyl
10	propyl	OCOOMe	6-dimethylamino-3-pyridyl
0	propyl	OCOOMe	2-(1-pyrrolidinyl)-3-pyridyl
0	propyl	OCOOMe	3-(1-pyrrolidinyl)-3-pyridyl
10	propyl	OCOOMe	5-(1-pyrrolidinyl)-3-pyridyl
0	propyl	OCOOMe	6-(1-pyrrolidinyl)-3-pyridyl
0	propyl	OCOOMe ·	2-piperidino-3-pyridyl
0	propyl	OCOOMe _	4-piperidino-3-pyridyl
0	propyl	OCOOMe	5-piperidino-3-pyridyl
0	propyl	OCOOMe	6-piperidino-3-pyridyl
0	propyl	OCOOMe	2-morpholino-3-pyridyl
0	propyl	OCOOMe	4-morpholino-3-pyridyl
0	propyl	OCOOMe	5-morpholino-3-pyridyl
0	propyl	OCOOMe	6-morpholino-3-pyridyl
0	propyl	OCOOMe :	2-hydroxy-3-pyridyl
0	propyl	OCOOMe	4-hydroxy-3-pyridyl
0	propyl	OCOOMe	5-hydroxy-3-pyridyl
0	propyl	OCOOMe	6-hydroxy-8-pyridyl

0	propyl	OCOOMe	2-mercapto-3-pyridyl
0	propyl	OCOOMe	4-mercapto-3-pyridyl
0	propyl	OCOOMe	5-mercapto-3-pyridyl
0	propyl	OCOOMe	6-mercapto-3-pyridyl
0	propyl	OCOOMe	2-methylthio-3-pyridyl
0	propyl	OCOOMe	4-methylthio-3-pyridyl
0	propyl	OCOOMe	5-methylthio-3-pyridyl
0	propyl	OCOOMe	6-methylthio-3-pyridyl
0	propyl	OCOOMe	2,6-dimethyl-3-pyridyl
0	propyl	OCOOMe	5,6-dimethyl-3-pyridyl
$\frac{6}{6}$	propyl	OCOOMe	2,6-diethyl-3-pyridyl
- 6 -	ргору1	OCOOMe	5.6-diethyl-3-pyridyl
0	propyl	OCOOMe	2,6-dimethoxy-3-pyridyl
0	propyl	OCOOMe	5,6-dimethoxy-3-pyridyl
Ö	propyl	OCOOMe	2,6-diethoxy-3-pyridyl
0	propyl	OCOOMe	5,6-diethoxy-3-pyridyl
0	propyl	OCOOMe	2,6-dichloro-3-pyridyl
0	propyl	OCOOMe	5,6-dichloro-3-pyridyl
0	propyl	OCOOMe	5-chloro-6-methoxy-3-pyridyl
0	propyl	OCOOMe	5-chloro-6-ethoxy-3-pyridyl
0	propyl	OCOOMe	2-chloro-6-methyl-3-pyridyl
0	propyl	OCOOMe	6-chloro-2-methyl-3-pyridyl
0	propyl	OCOOMe	2-methyl-4-pyridyl
0	propyl	OCOOMe	2-ethyl-4-pyridyl
0	· propyl	OCOOMe	2-methoxy-4-pyridyl
0	propyl	OCOOMe	2-ethoxy-4-pyridyl
0	propyl	OCOOMe	2-chloro-4-pyridyl
10	propyl	OCOOMe	2-dimethylamino-4-pyridyl
0	propyl	OCOOMe	2-(1-pyrrolidinyl)-4-pyridyl
0	propyl	OCOOMe	2-piperidino-4-pyridyl
0	propyl	OCOOMe	2-morpholino-4-pyridyl
0	propyl	OCOOMe	2-methylthio-4-pyridyl
0	propyl	OCOOMe	2-pyrazinyl
0	propyl	OCOOMe	5-methyl-2-pyrazinyl
0	propyl	OCOOMe	5-ethyl-2-pyrazinyl
0	propyl	OCOOMe	5-methoxy-2-pyrazinyl
0	propyl	OCOOMe	5-ethoxy-2-pyrazinyl
0	propyl	OCOOMe	5-chloro-2-pyrazinyl
0	propyl	OCOOMe	6-methyl-2-pyrazinyl
0	propyl	OCOOMe	6-methoxy-2-pyrazinyl
10	propyl	OCOOMe	6-chloro-2-pyrazinyl
<u> </u>	T L-ALI		

WO 02/085905 PCT/JP02/03727

X	\mathbb{R}^1	R ²	Y
0	propyl	OCOOEt	2-pyridyl
0	propyl	OCOOEt	3-pyridyl
0	propyl	OCOOEt	4-pyridyl
Ö	propyl	OCOOEt	2-methyl-9-pyridyl
0	propyl	OCOOEt	4-methyl-3-pyridyl
0	propyl	OCOOEt	5-methyl-3-pyridyl
0	propyl	OCOOEt	6-methyl-3-pyridyl
0	propyl	OCOOEt	2-ethyl-3-pyridyl
0	propyl	OCOOEt	4-ethyl-3-pyridyl
-		OCOOEt	5-ethyl-3-pyridyl
0	propyl	OCOOEt	6-ethyl-3-pyridyl
0	propyl	OCOOEt	
0	propyl	OCOOEt	2-methoxy-3-pyridyl 4-methoxy-3-pyridyl
	propyl	OCOOEt	
0	· propyl	OCOOEt	5-methoxy-3-pyridyl
0	propyl	OCOOEt	6-methoxy-3-pyridyl
0	propyl	OCOOEt	2-ethoxy-3-pyridyl 4-ethoxy-3-pyridyl
0	propyl	OCOOEt	
	propyl	OCOOEt	5-ethoxy-3-pyridyl
0	propyl		6-ethoxy-3-pyridyl
0	propyl	OCOOEt OCOOEt	2-chloro-3-pyridyl
0	propyl	OCOOEt	4-chloro-3-pyridyl
0	propyl	OCOOEt	5-chloro-8-pyridyl
	propyl	<u> </u>	6-chloro-3-pyridyl
0	propyl	OCOOEt OCOOEt	2-fluoro-3-pycidyl
0	propyl		4-fluoro-3-pyridyl
0	propyl	OCOOEt	5-fluoro-3-pyridyl
0	propyl	OCOOEt	6-fluoro-3-pyridyl
0	propyl		2-dimethylamino-3-pyridyl
	propyl	OCOOEt	4-dimethylamino-3-pyridyl
0	propyl	OCOOEt	5-dimethylamino-8-pyridyl
	propyl	OCOOEt	6-dimethylamino-3-pyridyl
0	propyl	OCOOEt	2-(1-pyrrolidinyl)-3-pyridyl
0	propyl	OCOOEt	3-(1-pyrrolidinyl)-3-pyridyl
	propyl	OCOOEt	5-(1-pyrrolidinyl)-3-pyridyl
0	propyl	OCOOEt	6-(1-pyrrolidinyl)-8-pyridyl
0	propyl	OCOOEt	2-piperidino-3-pyridyl
	propyl	OCOOEt	4-piperidino-3-pyridyl
0	propyl	OCOOEt	5-piperidino-8-pyridyl
0	propyl	OCOOEt	6-piperidino-3-pyridyl
0	propyl	OCOOEt	2-morpholino-3-pyridyl
0	propyl	OCOOEt	4-morpholino-3-pyridyl
0	propyl	OCOOEt	5-morpholino-3-pyridyl
0	propyl	OCOOEt	6-morpholino-3-pyridyl
0	propyl	OCOOEt	2-hydroxy-3-pyridyl
0	propyl	OCOOEt	4-hydroxy-3-pyridyl
0	propyl	OCOOEt	5-hydroxy-3-pyridyl
0	propyl	OCOOEt	6-hydroxy-3-pyridyl

WO 02/085905 PCT/JP02/03727

		OCOOEt	9
0	propyl		2-mercapto-3-pyridyl
0	propyl	OCOOEt	4-mercapto-3-pyridyl
0	propyl	OCOOEt	5-mercapto-3-pyridyl
0	propyl	OCOOEt	6-mercapto-3-pyridyl
0	propyl	OCOOEt	2-methylthio-3-pyridyl
0	propyl	OCOOEt	4-methylthio-3-pyridyl
0	propyl	OCOOEt	5-methylthio-3-pyridyl
0	propyl	OCOOEt	6-methylthio-3-pyridyl
0	propyl	OCOOEt	2,6-dimethyl-8-pyridyl
0	propyl	OCOOEt	5,6-dimethyl-8-pyridyl
0	propyl	OCOOEt	2,6-diethyl-3-pyridyl
0	propyl	OCOOEt	5,6-diethyl-3-pyridyl
0	. propyl	OCOOEt	2,6-dimethoxy-3-pyridyl
0	propyl	OCOOEt	5,6-dimethoxy-3-pyridyl
0	propyl	OCOOEt	2,6-diethoxy-3-pyridyl
0	propyl	OCOOEt	5,6-diethoxy-3-pyridyl
- 0	propyl	OCOOEt	2,6-dichloro-3-pyridyl
0	propyl	OCOOEt	5,6-dichloro-3-pyridyl
0	propyl	OCOOEt	5-chloro-6-methoxy-3-pyridyl
0	propyl	OCOOEt	5-chloro-6-ethoxy-3-pyridyl
0	propyl	OCOOEt	2-chloro-6-methyl-3-pyridyl
0	propyl	OCOOEt	6-chloro-2-methyl-3-pyridyl
0	propyl	OCOOEt	2-methyl-4-pyridyl
0	propyl	OCOOEt	2-ethyl-4-pyridyl
0	propyl	OCOOEt	2-methoxy-4-pyridyl
0	propyl	OCOOEt	2-ethoxy-4-pyridyl
0	propyl	OCOOEt	2-chloro-4-pyridyl
0	propyl	OCOOEt	2-dimethylamino-4-pyridyl
0	propyl	OCOOEt	2-(1-pyrrolidinyl)-4-pyridyl
0	propyl	OCOOEt	2-piperidino-4-pyridyl
0	propyl	OCOOEt	2-morpholino-4-pyridyl
0	propyl	OCOOEt	2-methylthio-4-pyridyl
0	propyl	OCOOEt	2-pyrazinyl
0	propyl	OCOOEt	5-methyl-2-pyrazinyl
0	propyl	OCOOEt	5-ethyl-2-pyrazinyl
0	propyl	OCOOEt	5-methoxy-2-pyrazinyl
0	propyl	OCOOEt	5-ethoxy-2-pyrazinyl
0	propyl	OCOOEt	5-chloro-2-pyrazinyl
0	propyl	OCOOEt	6-methyl-2-pyrazinyl
		0.000	
0	propyl	OCOOEt	6-methoxy-2-pyrazinyl

X	R ^j	R ²	Y
		OH	2-pyridyl
0	n-butyl	OH	3-pyridyl
0	n-butyl		4-pyridyl
0	n-butyl	OH	2-methyl-3-pyridyl
0	n-butyl	OH	
0	n-butyl	OH	4-methyl-3-pyridyl
0	n-butyl	HO	5-methyl-3-pyridyl
0	n-hutyl	OH	6-methyl-3-pyridyl
0	n-butyl	OH	2-ethyl-3-pyridyl
0	n-butyl_	OH	4-ethyl-3-pyridyl
0	n-butyl	OH	5-ethyl-3-pyridyl
0	n-butyl	OH	6-ethyl-3-pyridyl
0	n-butyl	OH	2-methoxy-3-pyridyl
0	n-butyl	OH	4-methoxy-3-pyridyl
0	n-butyl	OH	5-methoxy-3-pyridyl
0	n-butyl	OH	6-methoxy-8-pyridyl
0	n-butyl	OH	2-ethoxy-3-pyridyl
0	n-butyl	OH	4-ethoxy-3-pyridyl
0	n-butyl	OH	5-ethoxy-8-pyridyl
0	n-butyl	OH	6-ethoxy-8-pyridyl
0	n-butyl	OH	2-chloro-3-pyridyl
0	n-butyl	OH	4-chloro-3-pyridyl
0	n-butyl	OH	5-chloro-3-pyridyl
0	n-butyl	OH	6-chloro-3-pyridyl
0	n-butyl	OH	2-fluoro-3-pyridyl
0	n-butyl	OH	4-fluoro-8-pyridyl
0	n-butyl	OH	5-fluoro-3-pyridyl
0	n-butyl .	OH	6-fluoro-3-pyridyl
0	n-butyl	OH	2-dimethylamino-8-pyridyl
0	n-butyl	OH	4-dimethylamino-8-pyridyl
0	n-butyl	OH	5-dimethylamino-3-pyridyl
0	n-butyl	OH	6-dimethylamino-3-pyridyl
0	n-butyl	OH	2-(1-pyrrolidinyl)-3-pyridyl
0	n-butyl	OH	3-(1-pyrrolidinyl)-3-pyridyl
0	n-butyl	OH	5-(1-pyrrolidinyl)-3-pyridyl
10	n-butyl	OH	6-(1-pyrrolidinyl)-3-pyridyl
0	n-butyl	OH	2-piperidino-3-pyridyl
0	n-butyl	OH	4-piperidino-3-pyridyl
0	n-butyl	OH	5-piperidino-3-pyridyl
0	n-butyl	OH	6-piperidino-3-pyridyl
0	n-butyl	ОН	2-morpholino-3-pyridyl
10	n-butyl	OH	4-morpholino-3-pyridyl
0	n-butyl	OH	5-morpholino-8-pyridyl
10	n-butyl	OH	6-morpholino-3-pyridyl
0	n-butyl	OH	2-hydroxy-3-pyridyl
		OH	4-hydroxy-3-pyridyl
0	n-butyl	OH	5-hydroxy-3-pyridyl
0	n-butyl		6-hydroxy-3-pyridyl
0	n-butyl	ОН	0-nyurozy-a-pyriuyi

. 0	n-butyl	OH	2-mercapto-3-pyridyl
0	n-butyl	OH	4-mercapto-3-pyridyl
0	n-butyl	OH	5-mercapto-3-pyridyl
0	n-butyl	OH	6-mercapto-3-pyridyl
0	n-butyl	OH	2-methylthio-3-pyridyl
0	n-butyl	OH	4-methylthio-3-pyridyl
0	n-butyl	OH	5-methylthio-8-pyridyl
0	n-butyl	OH	6-methylthio-3-pyridyl
0	n-butyl	OH	2,6-dimethyl-3-pyridyl
0	n-butyl	OH	5,6-dimethyl-3-pyridyl
0	n-butyl	OH	2,6-diethyl-3-pyridyl
0	n-butyl	OH	5,6-diethyl-3-pyridyl
0	n-butyl	OH	2,6-dimethoxy-3-pyridyl
0	n-butyl	OH	5,6-dimethoxy-3-pyridyl
0	n-butyl	OH	2,6-diethoxy-3-pyridyl
0	n-butyl	· OH	5,6-diethoxy-3-pyridyl
0	n-butyl	OH	2,6-dichloro-3-pyridyl
0	n-butyl	OH	5,6-dichloro-3-pyridyl
0	n-butyl	OH	5-chloro-6-methoxy-3-pyridyl
0	n-butyl	OH	5-chloro-6-ethoxy-3-pyridyl
0	n-butyl	OH	2-chloro-6-methyl-3-pyridyl
Ο.	n-butyl	OH	6-chloro-2-methyl-3-pyridyl
0	n-butyl	OH	2-methyl-4-pyridyl
0	n-butyl	OH	2-ethyl-4-pyridyl
0	n-butyl	HO	2-methoxy-4-pyridyl
0	n-butyl	OH	2-ethoxy-4-pyridyl
0	n-butyl	HO	2-chloro-4-pyridyl
0	n-butyl	HO	2-dimethylamino-4-pyridyl
0	n-butyl	OH	2-(1-pyrrolidinyl)-4-pyridyl
0	n-butyl	OH	2-piperidino-4-pyridyl
0	n-butyl	OH	2-morpholino-4-pyridyl
O	n-butyl	OH	2-methylthio-4-pyridyl
0	n-butyl	OH	2-pyrazinyl
0	n-butyl	OH	5-methyl-2-pyrazinyl
0	n-butyl	ОН	5-ethyl-2-pyrazinyl
0	n-butyl	OH	5-methoxy-2-pyrazinyl
0	n-butyl	OH	5-ethoxy-2-pyrazinyl
0	n-butyl	OH	5-chloro-2-pyrazinyl
0	n-butyl	OH	6-methyl-2-pyrazinyl
0	n-butyl	ОН	6-methoxy-2-pyrazinyl
0	n-butyl	OH	6-chloro-2-pyrazinyl

	R1	R ²	у у
X		OCOOMe	2-pyridyl
0	n-butyl	OCOOMe	3-pyridyl
0	n-butyl		
0	n-butyl	OCOOMe	4-pyridyl
0	n-butyl	OCOOMe	2-methyl-3-pyridyl
0	n-butyl	OCOOMe	4-methyl-8-pyridyl
0	n-butyl	OCOOMe	5-methyl-3-pyridyl
0	n-butyl	OCOOMe	6-methyl-3-pyridyl
0	n-butyl	OCOOMe	2-ethyl-3-pyridyl
0	n-butyl	OCOOMe	4-ethyl-3-pyridyl
0	n-butyl	OCOOMe	5-ethyl-3-pyridyl
0	n-butyl	OCOOMe	6-ethyl-3-pyridyl
0	n-butyl	OCOOMe	2-methoxy-3-pyridyl
0	n-butyl	OCOOMe	4-methoxy-3-pyridyl
0	n-butyl	OCOOMe	5-methoxy-3-pyridyl
0	n-butyl	OCOOMe	6-methoxy-3-pyridyl
0	n-butyl	OCOOMe	2-ethoxy-3-pyridyl
0	n-butyl	OCOOMe	4-ethoxy-3-pyridyl
0	n-butyl	OCOOMe	5-ethoxy-3-pyridyl
0	n-butyl	OCOOMe	6-ethoxy-3-pyridyl
0	n-butyl	OCOOMe	2-chloro-3-pyridyl
0	n-butyl	OCOOMe	4-chloro-3-pyridyl
0	n-butyl	OCOOMe	5-chloro-3-pyridyl
0	n-butyl	OCOOMe	6-chloro-3-pyridyl
0	n-butyl	OCOOMe	2-fluoro-3-pyridyl
0	n-butyl	OCOOM ₂	4-fluoro-8-pyridyl
ō	n-butyl	OCOOMe	5-fluoro-3-pyridyl
0	n-butyl	OCOOMe	6-fluoro-3-pyridyl
0	n-butyl	OCOOMe	2-dimethylamino-3-pyridyl
0	n-butyl	OCCOMe	4-dimethylamino-3-pyridyl
0	n-butyl	OCOOMe	5-dimethylamino-8-pyridyl
0	n-butyl	OCOOMe	6-dimethylamino-3-pyridyl
0	n-butyl	OCOOMe	2-(1-pyrrolidinyl)-3-pyridyl
0	n-butyl	OCOOMe	3-(1-pyrrolidinyl)-3-pyridyl
0	n-butyl	OCOOMe	5-(1-pyrrolidinyl)-8-pyridyl
0	n-butyl	OCOOMe	6-(1-pyrrolidinyl)-3-pyridyl
0	n-butyl	OCOOMe	2-piperidino-3-pyridyl
0	n-butyl	OCOOMe	4-piperidino-8-pyridyl
0	n-butyl	OCOOMe	5-piperidino-3-pyridyl
0	n-butyl	OCOOMe	6-piperidino-3-pyridyl
0	n-butyl	OCOOMe	2-morpholino-3-pyridyl
0	n-butyl	OCOOMe	4-morpholino-3-pyridyl
10	n-butyl	OCOOMe	5-morpholino-3-pyridyl
10	n-butyl	OCOOMe	6-morpholino-3-pyridyl
	n-butyl n-butyl	OCOOMe	2-hydroxy-3-pyridyl
<u> </u>		OCOOMe	4-hydroxy-3-pyridyl
0	n-butyl		5-hydroxy-3-pyridyl
0	n-butyl	OCOOMe	6-hydroxy-3-pyridyl
0	n-butyl	OCOOMe	о-пушгоху-о-ругиут

0	n-butyl	OCOOMe	2-mercapto-3-pyridyl
0	n-butyl	OCOOMe	4-mercapto-3-pyridyl
0	n-butyl	OCOOMe	5-mercapto-3-pyridyl
0	n-butyl	OCOOMe	6-mercapto-3-pyridyl
0	n-butyl	OCOOMe	2-methylthio-3-pyridyl
0	n-butyl	OCOOMe	4-methylthio-3-pyridyl
0	n-butyl	OCOOMe	5-methylthio-3-pyridyl
0	n-butyl	OCOOMe	6-methylthio-3-pyridyl
0	n-butyl	OCOOMe	2,6-dimethyl-8-pyridyl
ŏ	n-butyl	OCOOMe	5,6-dimethyl-3-pyridyl
ŏ	n-butyl	OCOOMe	2,6-diethyl-3-pyridyl
ŏ	n-butyl	OCOOMe	5,6-diethyl-3-pyridyl
Ö	n-butyl	OCOOMe	2,6-dimethoxy-3-pyridyl
Ö	n-butyl	OCOOMe	5,6-dimethoxy-3-pyridyl
0	n-butyl	OCOOMe	2,6-diethoxy-3-pyridyl
Ö	n-butyl	OCOOMe	5,6-diethoxy-3-pyridyl
0	n-butyl	OCOOMe	2,6-dichloro-3-pyridyl
0	n-butyl	OCOOMe	5,6-dichloro-3-pyridyl
10	n-butyl	OCOOMe	5-chloro-6-methoxy-3-pyridyl
0	n-butyl	OCOOMe	5-chloro-6-ethoxy-3-pyridyl
ŏ	n-butyl	OCOOMe	2-chloro-6-methyl-8-pyridyl
1- 0	n-butyl	OCOOMe	6-chloro-2-methyl-3-pyridyl
0	n-butyl	OCOOMe	2-methyl-4-pyridyl
0	n-butyl	OCOOMe	2-ethyl-4-pyridyl
0	n-butyl	OCOOMe	2-methoxy-4-pyridyl
0	n-butyl	OCOOMe	2-ethoxy-4-pyridyl
0	n-butyl	OCOOMe	2-chloro-4-pyridyl
0	n-butyl	OCOOMe	2-dimethylamino-4-pyridyl
0	n-butyl	OCOOMe	2-(1-pyrrolidinyl)-4-pyridyl
0	n-butyl	OCOOMe	2-piperidino-4-pyridyl
0	n-butyl	OCOOMe	2-morpholino-4-pyridyl
0	n-butyl	OCOOMe	2-methylthio-4-pyridyl
0	n-butyl	OCOOMe	2-pyrazinyl
0	n-butyl	OCOOMe	5-methyl-2-pyrazinyl
0	n-butyl	OCOOMe	5-ethyl-2-pyrazinyl
0	n-butyl	OCOOMe	5-methoxy-2-pyrazinyl
0	n-butyl	OCOOMe	5-ethoxy-2-pyrazinyl
0	n-butyl	OCOOMe	5-chloro-2-pyrazinyl
0	n-butyl	OCOOMe	6-methyl-2-pyrazinyl
0	n-butyl	OCOOMe	6-methoxy-2-pyrazinyl
, ,			6-chloro-2-pyrazinyl

₹ 1	· R1	R¹	Y
X		OCOOEt	2-pyridyl
0	n-butyl	OCOOEt	3-pyridyl
0	n-butyl	OCOOEt	4-pyridyl
0	n-butyl		2-methyl-3-pyridyl
0	n-butyl	OCOOEt	
0	n-butyl	OCOOEt	4-methyl-3-pyridyl
0	n-butyl	OCOOEt	5-methyl-3-pyridyl
0	n-butyl	OCOOEt	6-methyl-3-pyridyl
0	n-butyl	OCOOEt	2-ethyl-3-pyridyl
0	n-butyl	OCOOEt	4-ethyl-3-pyridyl
0	n-butyl	OCOOEt	5-ethyl-3-pyridyl
0	n-butyl	OCOOEt	6-ethyl-3-pyridyl
0	n-butyl	OCOOEt	2-methoxy-3-pyridyl
0	n-butyl	OCOOEt	4-methoxy-3-pyridyl
0	n-butyl	OCOOEt ·	5-methoxy-3-pyridyl
0	n-butyl	OCOOEt	6-methoxy-3-pyridyl
0	n-butyl	OCOOEt	2-ethoxy-3-pyridyl
0	n-butyl	OCOOEt	4-ethoxy-3-pyridyl
0	n-butyl	OCOOEt	5-ethoxy-8-pyridyl
0	n-butyl	OCOOEt	6-ethoxy-3-pyridyl
0	n-butyl	OCOOEt	2-chloro-3-pyridyl
0	n-butyl	OCOOEt	4-chloro-3-pyridyl
0	n-butyl	OCOOEt	5-chloro-3-pyridyl
0	n-butyl	OCOOEt	6-chloro-8-pyridyl
0	n-butyl	OCOOEt	2-fluoro-3-pyridyl
0	n-butyl	OCOOEt	4-fluoro-3-pyridyl
0	n-butyl	OCOOEt	5-fluoro-3-pyridyl
0	n-butyl	OCOOEt	6-fluoro-3-pyridyl
0	n-butyl	OCOOEt	2-dimethylamino-3-pyridyl
0	n-butyl	OCOOEt	4-dimethylamino-3-pyridyl
0	n-butyl	OCOOEt	5-dimethylamino-3-pyridyl
ŏ	n-butyl	OCOOEt	6-dimethylamino-3-pyridyl
0	n-butyl	OCOOEt	2-(1-pyrrolidinyl)-3-pyridyl
0	n-butyl	OCOOEt	3-(1-pyrrolidinyl)-3-pyridyl
0	n-butyl	OCOOEt	5-(1-pyrrolidinyl)-3-pyridyl
0	n-butyl	OCOOEt	6-(1-pyrrolidinyl)-3-pyridyl
0	n-butyl	OCOOEt	2-piperidino-3-pyridyl
0	n-butyl	OCOOEt	4-piperidinc-8-pyridyl
10	n-butyl	OCOOEt	5-piperidino-3-pyridyl
10	n-butyl	OCOOEt	6-piperidino-3-pyridyl
10	n-butyl	OCOOEt	2-morpholino-3-pyridyl
0	n-butyl	OCOOEt	4-morpholino-3-pyridyl
0	n-butyl	OCOOEt	5-morpholino-3-pyridyl
	n-butyl	OCOOEt	6-morpholino-3-pyridyl
0		OCOOEt	2-hydroxy-8-pyridyl
0	n-butyl	OCOOEt	4-hydroxy-3-pyridyl
0	n-butyl		5-hydroxy-3-pyridyl
0	n-butyl	OCOOEt	6-hydroxy-3-pyridyl
0	n-butyl	OCOOEt	6-nyaroxy-3-pyriayi

Ť			
0	n-butyl	OCOOEt	2-mercapto-3-pyridyl
0	n-butyl	OCOOEt	4-mercapto-3-pyridyl
0	n-butyl	OCOOEt	5-mercapto-3-pyridyl
0	n-butyl	OCOOEt	6-mercapto-3-pyridyl
0	n-butyl	OCOOEt	2-methylthio-3-pyridyl
0	n-butyl	OCOOEt	4-methylthio-3-pyridyl
0	n-butyl	OCOOEt	5-methylthio-3-pyridyl
0	n-butyl	OCOOEt	6-methylthio-3-pyridyl
0	n-butyl	OCOOEt	2,6-dimethyl-3-pyridyl
ō	n-butyl	OCOOEt	5,6-dimethyl-3-pyridyl
0	n-butyl	OCOOEt	2,6-diethyl-3-pyridyl
0	n-butyl	OCOOEt	5,6-diethyl-3-pyridyl
ō	n-butyl	OCOOEt	2,6-dimethoxy-3-pyridyl
ō	n-butyl	OCOOEt	5,6-dimethoxy-3-pyridyl
ŏ	n-butyl	OCOOEt	2,6-diethoxy-3-pyridyl
0	n-butyl	OCOOEt	5,6-diethoxy-3-pyridyl
0	n-butyl	OCOOEt	2,6-dichloro-8-pyridyl
0	n-butyl	OCOOEt	5,6-dichloro-3-pyridyl
0	n-butyl	OCOOEt	5-chloro-6-methoxy-3-pyridyl
0	n-butyl	OCOOEt	5-chloro-6-ethoxy-3-pyridyl
0	n-butyl	OCOOEt	2-chloro-6-methyl-3-pyridyl
0	n-butyl	OCOOEt	6-chloro-2-methyl-3-pyridyl
0	n-butyl	OCOOEt	2-methyl-4-pyridyl
0	n-butyl	OCOOEt	2-ethyl-4-pyridyl
0	n-butyl	OCOOEt	2-methoxy-4-pyridyl
0	n-butyl	OCOOEt.	2-ethoxy-4-pyridyl
0	n-butyl	OCOOEt	2-chloro-4-pyridyl
0	n-butyl	OCOOEt	2-dimethylamiro-4-pyridyl
0	n-butyl	OCOOEt	2-(1-pyrrolidinyl)-4-pyridyl
0	n-butyl	OCOOEt	2-piperidino-4-pyridyl
0	n-butyl	OCOOEt	2-morpholino-4-pyridyl
0	n-butyl	OCOOEt	2-methylthio-4-pyridyl
0	n-butyl	OCOOEt	2-pyrazinyl
0	n-butyl	OCOOEt	5-methyl-2-pyrazinyl
0	n-butyl	OCOOEt.	5-ethyl-2-pyrazinyl
0	n-butyl	OCOOEt	5-methoxy-2-pyrazinyl
0	n-butyl	OCOOEt	5-ethoxy-2-pyrazinyl
0	n-butyl	OCOOEt	5-chloro-2-pyrazinyl
0	n-butyl	OCOOEt	6-methyl-2-pyrazinyl
0	n-butyl	OCOOEt	6-methoxy-2-pyrazinyl
0	n-butyl	OCOOEt	6-chloro-2-pyrazinyl
	<u> </u>		

 1	Ri	R ²	Y
X		OH OH	2-pyridyl
0	n-pentyl	OH	3-pyridyl
0	n-pentyl		4-pyridyl
0	n-pentyl	OH	2-methyl-3-pyridyl
Ο.	n-pentyl	OH	
0	n-pentyl	OH	4-methyl-3-pyridyl
0	n-pentyl	OH	5-methyl-3-pyridyl
0	n-pentyl	ОН	6-methyl-3-pyridyl
0	n-pentyl	ОН	2-ethyl-3-pyridyl
0	n-pentyl	HO	4-ethyl-3-pyridyl
0	n-pentyl	OH	5-ethyl-3-pyridyl
0	n-pentyl	OH	6-ethyl-3-pyridyl
0	n-pentyl	OH	2-methoxy-3-pyridyl
0	n-pentyl	OH	4-methoxy-3-pyridyl
0	n-pentyl	OH	5-methoxy-3-pyridyl
0	n-pentyl	OH	6-methoxy-3-pyridyl
0	n-pentyl	OH	2-ethoxy-3-pyridyl
0	n-pentyl	OH	4-ethoxy-3-pyridyl
0	n-pentyl	OH	5-ethoxy-3-pyridyl
0	n-pentyl	OH	6-ethoxy-3-pyridyl
0	n-pentyl	OH	2-chloro-8-pyridyl
0	n-pentyl	OH	4-chloro-3-pyridyl
0	n-pentyl	OH	5-chloro-3-pyridyl
0	n-pentyl	OH	6-chloro-8-pyridyl
0	n-pentyl	OH	2-fluoro-3-pyridyl
0	n-pentyl	OH	4-fluoro-3-pyridyl
0	n-pentyl	OH	5-fluoro-3-pyridyl
0	n-pentyl	OH	6-fluoro-3-pyridyl
0	n-pentyl	OH	2-dimethylamino-3-pyridyl
0	n-pentyl	OH	4-dimethylamino-3-pyridyl
0	n-pentyl	HO	5-dimethylamino-3-pyridyl
0	n-pentyl	OH	6-dimethylamino-3-pyridyl
0	n-pentyl	ОН	2-(1-pyrrolidinyl)-3-pyridyl
0	n-pentyl	OH	8-(1-pyrrolidinyl)-3-pyridyl
0	n-pentyl	OH	5-(1-pyrrolidinyl)-3-pyridyl
0	n-pentyl	OH	6-(1-pyrrolidinyl)-3-pyridyl
0	n-pentyl	ОН	2-piperidino-3-pyridyl
0	n-pentyl	ОН	4-piperidino-3-pyridyl
0	n-pentyl	ОН	5-piperidino-3-pyridyl
0	n-pentyl	OH	6-piperidino-3-pyridyl
0	n-pentyl	OH .	2-morpholino-3-pyridyl
0	n-pentyl	OH	4-morpholino-3-pyridyl
0	n-pentyl	OH	5-morpholino-3-pyridyl
0	n-pentyl	OH	6-morpholino-3-pyridyl
0	n-pentyl	OH	2-hydroxy-3-pyridyl
0	n-pentyl	OH	4-hydroxy-3-pyridyl
0	n-pentyl	ОН	5-hydroxy-3-pyridyl
0	n-pentyl	ОН	6-hydroxy-3-pyridyl

	,		
0	n-pentyl	OH	2-mercapto-3-pyridyl
0	n-pentyl	OH	4-mercapto-3-pyridyl
0	n-pentyl	OH	5-mercapto-3-pyridyl
0	n-pentyl	OH	6-mercapto-3-pyridyl
0	n-pentyl	OH	2-methylthio-3-pyridyl
0	n-pentyl	OH	4-methylthio-3-pyridyl
0	n-pentyl	OH	5-methylthio-3-pyridyl
ō	n-pentyl	OH	6-methylthio-3-pyridyl
0	n-pentyl	OH	2,6-dimethyl-3-pyridyl
0	n-pentyl	OH	5,6-dimethyl-3-pyridyl
ō	n-pentyl	OH	2,6-diethyl-3-pyridyl
0	n-pentyl	OH	5,6-diethyl-3-pyridyl
0	n-pentyl	OH	2,6-dimethoxy-3-pyridyl
0	n-pentyl	OH	5,6-dimethoxy-3-pyridyl
-	n-pentyl	OH	2,6-diethoxy-3-pyridyl
0	n-pentyl	OH	5,6-diethoxy-3-pyridyl
0	n-pentyl	OH	2,6-dichloro-3-pyridyl
- 6	n-pentyl	OH	5,6-dichloro-3-pyridyl
0	n-pentyl	OH	5-chloro-6-methoxy-3-pyridyl
 0	n-pentyl	OH	5-chloro-6-ethoxy-3-pyridyl
0	n-pentyl	OH	2-chloro-6-methyl-3-pyridyl
H-	n-pentyl	OH	6-chloro-2-methyl-3-pyridyl
1 0	n-pentyl	OH	2-methyl-4-pyridyl
0	n-pentyl	OH	2-ethyl-4-pyridyl
0	n-pentyl	OH	2-methoxy-4-pyridyl
0	n-pentyl	OH	2-ethoxy-4-pyridyl
0	n-pentyl	OH	2-chloro-4-pyridyl
0	n-pentyl	OH	2-dimethylamino-4-pyridyl
0	n-pentyl	OH	2-(1-pyrrolidinyl)-4-pyridyl
0	n-pentyl	OH	2-piperidino-4-pyridyl
0	n-pentyl	OH	2-morpholino-4-pyridyl
10	n-pentyl	OH	2-methylthio-4-pyridyl
0	n-pentyl	OH	2-pyrazinyl
0	n-pentyl	OH	5-methyl-2-pyrazinyl
0	n-pentyl	ОН	5-ethyl-2-pyrazinyl
0	n-pentyl	OH	5-methoxy-2-pyrazinyl
0	n-pentyl	ОН	5-ethoxy-2-pyrazinyl
10	n-pentyl	OH	5-chloro-2-pyrazinyl
10	n-pentyl	ОН	6-methyl-2-pyrazinyl
10	n-pentyl	ОН	6-methoxy-2-pyrazinyl
0	n-pentyl	OH	6-chloro-2-pyrazinyl
	II pointy		

х	Ri	R ²	Y
0	n-pentyl	OCOOMe	2-pyridyl
- 6 - 1	n-pentyl	OCOOMe	3-pyridyl
	n-pentyl	OCOOMe	4-pyridyl
0	n-pentyl	OCOOMe	2-methyl-3-pyridyl
0	n-pentyl	OCOOMe	4-methyl-3-pyridyl
0		OCOOMe	5-methyl-3-pyridyl
0	n-pentyl	OCOOMe	6-methyl-3-pyridyl
0	n-pentyl	OCOOMe	2-ethyl-3-pyridyl
0	n-pentyl	OCOOMe	4-ethyl-3-pyridyl
0	n-pentyl	OCOOMe	5-ethyl-3-pyridyl
0	n-pentyl	OCOOMe	6-ethyl-3-pyridyl
.0	n-pentyl	OCOOMe	2-methoxy-3-pyridyl
0	n-pentyl	OCOOMe	4-methoxy-3-pyridyl
0	n-pentyl	OCOOMe	5-methoxy-3-pyridyl
0	n-pentyl	OCOOMe	6-methoxy-3-pyridyl
0_	n-pentyl	OCOOMe	2-ethoxy-3-pyridyl
0	n-pentyl		4-ethoxy-3-pyridyl
0	n-pentyl	OCOOMe	5-ethoxy-3-pyridyl
0	n-pentyl	OCOOMe	6-ethoxy-3-pyridyl
0	n-pentyl	OCOOMe OCOOMe	2-chloro-3-pyridyl
0	n-pentyl		4-chloro-3-pyridyl
0	n-pentyl	OCOOMe OCOOMe	5-chloro-3-pyridyl
0	n-pentyl		6-chloro-3-pyridyl
0	n-pentyl	OCOOMe	2-fluoro-3-pyridyl
0	n-pentyl	OCOOMe	4-fluoro-3-pyridyl
0	n-pentyl	OCOOMe	5-fluoro-8-pyridyl
0	n-pentyl	OCOOMe	6-fluoro-3-pyridyl
0	n-pentyl	OCOOMe	2-dimethylamino-3-pyridyl
0	n-pentyl	OCOOMe	4-dimethylamino-3-pyridyl
0	n-pentyl	OCOOMe	5-dimethylamino-3-pyridyl
0	n-pentyl	OCOOMa	6-dimethylamino-3-pyridyl
0	n-pentyl	OCOOMe	2-(1-pyrrolidinyl)-3-pyridyl
0	n-pentyl	OCOOMe	3-(1-pyrrolidinyl)-3-pyridyl
0	n-pentyl	OCOOMe	5-(1-pyrrolidinyl)-3-pyridyl
0	n-pentyl	OCOOMe	6-(1-pyrrolidinyl)-3-pyridyl
0	n-pentyl	OCOOMe	2-piperidino-3-pyridyl
0	n-pentyl	OCOOMe	2-piperidino-3-pyridyl
0	n-pentyl	OCOOMe	4-piperidino-3-pyridyl
0	n-pentyl	OCOOMe	5-piperidino-3-pyridyl
0	n-pentyl	OCOOMe	6-piperidino-3-pyridyl
10	n-pentyl	OCOOMe	2-morpholino-8-pyridyl
10	n-pentyl	OCOOMe	4-morpholino-3-pyridyl
10	n-pentyl	OCOOMe	5-morpholino-3-pyridyl
10	n-pentyl	OCOOMe	6-morpholino-3-pyridyl
10	n-pentyl	OCOOMe	2-hydroxy-3-pyridyl
10	n-pentyl	OCOOMe	4-hydroxy-3-pyridyl
0	n-pentyl	OCOOMe	5-hydroxy-3-pyridyl
i U	і п.Беподт	OCOOMe	6-hydroxy-3-pyridyl

			0 11-1
0 1	n-pentyl	OCOOMe	2-mercapto-3-pyridyl
0	n-pentyl	OCOOMe	4-mercapto-3-pyridyl
0	n-pentyl	OCOOMe	5-mercapto-3-pyridyl
0	n-pentyl	OCOOMe	6-mercapto-3-pyridyl
0	n-pentyl	OCOOMe	2-methylthio-3-pyridyl
ö	n-pentyl	OCOOMe	4-methylthio-3-pyridyl
0	n-pentyl	OCOOMe	5-methylthio-3-pyridyl
0	n-pentyl	OCOOMe	6-methylthio-3-pyridyl
0	n-pentyl	OCOOMe	2,6-dimethyl-3-pyridyl
	n-pentyl	OCOOMe	5,6-dimethyl-3-pyridyl
0	n-pentyl	OCOOMe	2,6-diethyl-3-pyridyl
0	n-pentyl	OCOOMe	5,6-diethyl-3-pyridyl
0		OCOOMe	2,6-dimethoxy-8-pyridyl
0	n-pentyl	OCOOMe	5,6-dimethoxy-3-pyridyl
0	n-pentyl	OCOOMe	2,6-diethoxy-3-pyridyl
0	n-pentyl	OCOOMe	5,6-diethoxy-3-pyridyl
0	n-pentyl	OCOOMe	2,6-dichloro-8-pyridyl
0	n-pentyl	OCOOMe	5,6-dichloro-3-pyridyl
0	n-pentyl	OCOOMe	5-chloro-6-methoxy-3-pyridyl
0	n-pentyl	OCOOMe OCOOMe	5-chloro-6-ethoxy-3-pyridyl
0	n-pentyl	OCOOMe	2-chloro-6-methyl-3-pyridyl
0	n-pentyl	OCOOMe	6-chloro-2-methyl-3-pyridyl
0	n-pentyl	OCOOMe	2-methyl-4-pyridyl
0	n-pentyl	OCOOMe	2-ethyl-4-pyridyl
0	n-pentyl	OCOOMe	2-methoxy-4-pyridyl
0	n-pentyl	OCOOMe	2-ethoxy-4-pyridyl
0	n-pentyl	OCOOMe	2-chloro-4-pyridyl
0	n-pentyl	OCOOMe	2-dimethylamino-4-pyridyl
0	n-pentyl	OCOOMe	2-(1-pyrrolidinyl)-4-pyridyl
0	n-pentyl	OCOOMe	2-piperidino-4-pyridyl
0	n-pentyl	OCOOMe	2-morpholino-4-pyridyl
0	n-pentyl	OCOOMe	2-methylthio-4-pyridyl
0	n-pentyl	OCOOMe	2-pyrazinyl
0	n-pentyl		5-methyl-2-pyrazinyl
0	n-pentyl	OCOOMe	5-ethyl-2-pyrazinyl
0	n-pentyl	OCOOMe	5-methoxy-2-pyrazinyl
0	n-pentyl	OCOOMe	5-ethoxy-2-pyrazinyl
0	n-pentyl	OCOOMe	5-chloro-2-pyrazinyl
0	n-pentyl	OCOOMe	6-methyl-2-pyrazinyl
0	n-pentyl	OCOOMe	6-methoxy-2-pyrazinyl
0	n-pentyl	OCOOMe	6-methoxy-z-pyrazinyl 6-chloro-2-pyrazinyl
0	n-pentyl	OCOOMe	6-cmoro-z-pyrazmyi

				Y
X	F	3,	R ²	2-pyridyl
0	n-pe	entyl	OCOOEt ·	2-pyridyl 3-pyridyl
0	n-pe	entyl	OCOOEt	
- 0		entyl	OCOOEt	4-pyridyl
-ŏ -		entyl	OCOOEt	2-methyl-3-pyridyl
0	n-p	entyl	OCOOEt	4-methyl-3-pyridyl
0		entyl	OCOOEt	5-methyl-3-pyridyl
0		entyl	OCOOEt	6-methyl-3-pyridyl
0		entyl	OCOOEt	2-ethyl-3-pyridyl
10		entyl	OCOOEt	4-ethyl-3-pyridyl
10		entyl	OCOOEt	5-ethyl-3-pyridyl
		pentyl	OCOOEt	6-ethyl-3-pyridyl
0		pentyl	OCOOEt	2-methoxy-3-pyridyl
0		pentyl	OCOOEt	4-methoxy-3-pyridyl
0		pentyl	OCOOEt	5-methoxy-3-pyridyl
0		pentyl	OCOOEt	6-methoxy-3-pyridyl
0		pentyl pentyl	OCOOEt	2-ethoxy-3-pyridyl
0		pentyl	OCOOEt	4-ethoxy-3-pyridyl
0		pentyl	OCOOEt	5-ethoxy-3-pyridyl
0			OCOOEt	6-ethoxy-3-pyridyl
0		pentyl	OCOOEt	2-chloro-3-pyridyl
.0		-pentyl	OCOOEt	4-chloro-3-pyridyl
0		-pentyl	OCOOEt	5-chloro-3-pyridyl
0		-pentyl	OCOOEt	6-chloro-3-pyridyl
0		-pentyl	OCOOEt	2-fluoro-3-pyridyl
0		-pentyl -pentyl	OCOOEt	4-fluoro-3-pyridyl
0			OCOOEt	5-fluoro-8-pyridyl
0		-pentyl	OCOOEt	6-fluoro-3-pyridyl
0		n-pentyl	OCOOEt	2-dimethylamino-3-pyridyl
0		1-pentyl	OCOOEt	4-dimethylamino-3-pyridyl
0		n-pentyl	OCOOEt	5-dimethylamino-3-pyridyl
0		n-pentyl	OCOOEt	6-dimethylamino-3-pyridyl
0		n-pentyl	OCOOEt	2-(1-pyrrolidinyl)-3-pyridyl
0		n-pentyl	OCOOEt	3-(1-pyrrolidinyl)-3-pyridyl
		n-pentyl	OCOOEt	5-(1-pyrrulidinyl)-3-pyridyl
(n-pentyl	OCOOEt	6-(1-pyrrolidinyl)-3-pyridyl
(n-pentyl	OCOOEt	2-piperidino-3-pyridyl
		n-pentyl	OCOOEt	4-piperidino-3-pyridyl
		n-pentyl	OCOOEt	5-piperidino-3-pyridyl
		n-pentyl	OCOOEt	6-piperidino-3-pyridyl
		n-pentyl	OCOOEt	2-morpholino-3-pyridyl
	0	n-pentyl	OCOOEt	4-morpholino-3-pyridyl
	0	n-pentyl		5-morpholino-3-pyridyl
	0	n-pentyl	OCOOEt	6-morpholino-3-pyridyl
	0	n-pentyl	OCOOEt	2-hydroxy-3-pyridyl
	0	n-pentyl	OCOOEt	4-hydroxy-3-pyridyl
-	0	n-pentyl	OCOORt	5-hydroxy-3-pyridyl
1.	0	n-pentyl	OCOOEt	6-hydroxy-3-pyridyl
	0	n-pentyl	OCOOEt	0-Hydroxy-a-pyrrayr

		_	
0 1	n-pentyl	OCOOEt	2-mercapto-8-pyridyl
- ö - 	n-pentyl	OCOOEt	4-mercapto-3-pyridyl
0	n-pentyl	OCOOEt	5-mercapto-3-pyridyl
0	n-pentyl	OCOOEt	6-mercapto-3-pyridyl
0	n-pentyl	OCOOEt	2-methylthio-3-pyridyl
0	n-pentyl	OCOOEt	4-methylthio-8-pyridyl
0	n-pentyl	OCOOEt	5-methylthio-3-pyridyl
	n-pentyl	OCOOEt	6-methylthio-3-pyridyl
0	n-pentyl	OCOOEt	2,6-dimethyl-3-pyridyl
	n-pentyl	OCOOEt	5,6-dimethyl-3-pyridyl
0	n-pentyl	OCOOEt	2,6-diethyl-3-pyridyl
-	n-pentyl	OCOOEt	5,6-diethyl-8-pyridyl
	n-pentyl	OCOOEt	2,6-dimethoxy-3-pyridyl
0	n-pentyl	OCOOEt	5,6-dimethoxy-3-pyridyl
	n-pentyl	OCOOEt	2,6-diethoxy-8-pyridyl
0	n-pentyl	OCOOEt	5,6-diethoxy-3-pyridyl
0	n-pentyl	OCOOEt	2,6-dichloro-3-pyridyl
0	n-pentyl	OCOOEt	5,6-dichloro-3-pyridyl
0	n-pentyl	OCOOEt	5-chloro-6-methoxy-3-pyridyl
0	n-pentyl	OCOOEt	5-chloro-6-ethoxy-3-pyridyl
0	n-pentyl	OCOOEt	2-chloro-6-methyl-3-pyridyl
10	n-pentyl	OCOOEt	6-chloro-2-methyl-3-pyridyl
1-6	n-pentyl	OCOOEt	2-methyl-4-pyridyl
0	n-pentyl	OCOOEt	2-ethyl-4-pyridyl
10	n-pentyl	OCOOEt	2-methoxy-4-pyridyl
1-0	n-pentyl	OCOOEt	2-ethoxy-4-pyridyl
10	n-pentyl	OCOOEt	2-chloro-4-pyridyl
10	n-pentyl	OCOOEt	2-dimethylamino-4-pyridyl
10	n-pentyl	OCOOEt	2-(1-pyrrolidinyl)-4-pyridyl
10	n-pentyl	OCOOEt	2-piperidino-4-pyridyl
10	n-pentyl	OCOOEt	2-morpholino-4-pyridyl
10	n-pentyl	OCOOEt	2-methylthio-4-pyridyl
10	n-pentyl	OCOOEt	2-pyrazinyl
10	n-pentyl	OCOOEt	5-methyl-2-pyrazinyl
10	n-pentyl	OCOOEt	5-ethyl-2-pyrazinyl
0	n-pentyl	OCOOEt	5-methoxy-2-pyrazinyl
100	n-pentyl	OCOOEt	5-ethoxy-2-pyrazinyl
10	n-pentyl	OCOOEt	5-chloro-2-pyrazinyl
10	n-pentyl	OCOOEt	6-methyl-2-pyrazinyl
10	n-pentyl	OCOOEt	6-methoxy-2-pyrazinyl
0	n-pentyl	OCOOEt	6-chloro-2-pyrazinyl

		- B	Y
X	R _i	R ₂	2-pyridyl
S	propyl	OH	3-pyridyl
S	propyl	OH	4-pyridyl
S	propyl	OH	2-methyl-3-pyridyl
S	propyl	OH	4-methyl-3-pyridyl
S	propyl	OH	
S	propyl	OH	5-methyl-3-pyridyl
S	propyl	OH	6-methyl-3-pyridyl
S	propyl	OH	2-ethyl-3-pyridyl
S	propyl	OH	4-ethyl-3-pyridyl
S	propyl	OH	5-ethyl-3-pyridyl
S	propyl	OH	6-ethyl-3-pyridyl
S	propyl	OH	2-methoxy-3-pyridyl
S	propyl	OH	4-methoxy-3-pyridyl
8	propyl	OH	. 5-methoxy-3-pyridyl
S	propyl	OH	6-methoxy-3-pyridyl
<u>s</u>	propyl	OH	2-ethoxy-3-pyridyl
S	propyl	OH	4-ethoxy-3-pyridyl
S	propyl	OH	5-ethoxy-3-pyridyl
- S	propyl	OH	6-ethoxy-3-pyridyl
S	propyl	OH	2-chloro-3-pyridyl
S	propyl.	OH	4-chloro-3-pyridyl
S	propyl	OH	5-chloro-3-pyridyl
S	propyl	OH	6-chloro-3-pyridyl
8	propyl	OH	2-fluoro-3-pyridyl
S	propyl	OH	4-fluoro-3-pyridyl
S	propyl	OH	5-fluoro-3-pyridyl
S	propyl	OH	6-fluoro-3-pyridyl
S	propyl	OH	2-dimethylamino-3-pyridyl
S	propyl	OH	4-dimethylamino-3-pyridyl
S	propyl	OH	5-dimethylamino-3-pyridyl
S	propyl	OH	6-dimethylamine-3-pyridyl
S	propyl	OH	2-(1-pyrrolidinyl)-3-pyridyl
S	propyl	ОН	3-(1-pyrrolidinyl)-3-pyridyl
s	propyl	OH	5-(1-pyrrolidinyl)-3-pyridyl
s	propyl	OH	6-(1-pyrrolidinyl)-3-pyridyl
S	propyl	OH	2-piperidino-8-pyridyl
S	propyl	OH	4-piperidino-3-pyridyl
S	propyl	OH	5-piperidino-9-pyridyl
S	propyl	OH	6-piperidino-3-pyridyl
8	propyl	OH	2-morpholino-3-pyridyl
	propyl	ОН	4-morpholino-8-pyridyl
S	propyl	on	5-morpholino-8-pyridyl
8	propyl	OH	6-morpholino-3-pyridyl
S		OH	2-hydroxy-3-pyridyl
S	propyl	OH	4-hydroxy-3-pyridyl
S	propyl	OH	5-hydroxy-3-pyridyl
S	propyl propyl	OH	6-hydroxy-3-pyridyl

S S S	propyl	OH	2-mercapto-3-pyridyl
S			
	propyl	OH	4-mercapto-3-pyridyl
ו מ	propyl	OH	5-mercapto-3-pyridyl
8	propyl	OH	6-mercapto-3-pyridyl
	propyl	OH	2-methylthio-8-pyridyl
S	propyl	OH	4-methylthio-3-pyridyl
S		OH	5-methylthio-8-pyridyl
S	propyl	OH	6-methylthio-3-pyridyl
S	propyl	OH	2,6-dimethyl-3-pyridyl
S	propyl	OH	5,6-dimethyl-3-pyridyl
S	propyl	OH	2,6-diethyl-3-pyridyl
S	propyl	OH	5,6-diethyl-3-pyridyl
S	propyl	OH	2,6-dimethoxy-3-pyridyl
S	propyl	OH	5,6-dimethoxy-3-pyridyl
S	propyl	OH	2,6-diethoxy-3-pyridyl
S	propyl	OH	5,6-diethoxy-3-pyridyl
S	propyl	OH	2,6-dichloro-3-pyridyl
S	propyl	OH	5,6-dichloro-3-pyridyl
S	propyl	OH	5-chloro-6-methoxy-3-pyridyl
S	propyl		5-chloro-6-ethoxy-3-pyridyl
S	propyl	OH	2-chloro-6-methyl-3-pyridyl
S	propyl		6-chloro-2-methyl-3-pyridyl
S	propyl	OH	2-methyl-4-pyridyl
8	propyl	OH	2-ethyl-4-pyridyl
S	propyl	OH	2-methoxy-4-pyridyl
8	propyl	OH	2-ethoxy-4-pyridyl
S	propyl	OH	2-chloro-4-pyridyl
S	propyl	OH	2-dimethylamino-4-pyridyl
S	. propyl	OH	2-(1-pyrrolidinyl)-4-pyridyl
S	propyl	OH	2-piperidino-4-pyridyl
S	propyl	OH	2-morpholino-4-pyridyl
S	propyl	OH	2-morpholino-4-pyridyl 2-methylthio-4-pyridyl
S	propyl	OH	2-methylthio-4-pylldyl 2-pyrazinyl
S	propyl	OH	2-pyrazinyi
S	propyl	OH	5-methyl-2-pyrazinyl
S	propyl	OH	5-ethyl-2-pyrazinyl
S	propyl	OH	5-methoxy-2-pyrazinyl
S	propyl	OH	5-ethoxy-2-pyrazinyl
s	propyl	OH	5-chloro-2-pyrazinyl
S	propyl	OH	6-methyl-2-pyrazinyl
S	propyl	OH	6-methoxy-2-pyrazinyl
S	propyl	OH	6-chloro-2-pyrazinyl

		R ₂	Y
· X	R ₁	OCOOMe	2-pyridyl
S	propyl	OCOOMe OCOOMe	8-pyridyl
S	propyl	OCOOMe OCOOMe	4-pyridyl
S	propyl		2-methyl-3-pyridyl
S	propyl	OCOOMe	4-methyl-3-pyridyl
S	propyl	OCOOMe	5-methyl-3-pyridyl
S	propyl	OCOOMe	6-methyl-3-pyridyl
S	propyl	OCOOMe	2-ethyl-3-pyridyl
S	propyl	OCOOMe	4-ethyl-3-pyridyl
S	propyl	OCOOMe	5-ethyl-3-pyridyl
S	propyl	OCOOMe	6-ethyl-8-pyridyl
S	propyl	OCOOMe	2-methoxy-3-pyridyl
_ <u>s</u>	propyl	OCOOMe	4-methoxy-3-pyridyl
s	propyl	OCOOMe	4-methoxy-3-pyridyl
S	propyl	OCOOMe	5-methoxy-3-pyridyl 6-methoxy-3-pyridyl
S	propyl	OCOOMe	
s	propyl	OCOOMe	2-ethoxy-3-pyridyl
s	propyl	OCOOMe	4-ethoxy-3-pyridyl
s	propyl	OCOOMe	5-ethoxy-3-pyridyl
s	propyl	OCOOMe	6-ethoxy-3-pyridyl
<u>s</u>	propyl	OCOOMe	2-chloro-3-pyridyl
B	propyl	OCOOMe	4-chloro-8-pyridyl
S	propyl	OCOOMe	5-chloro-3-pyridyl
5	propyl	OCOOMe	6-chloro-3-pyridyl
S	propyl	OCOOMe	2-fluoro-3-pyridyl
5	propyl	OCOOMe	4-fluoro-3-pyridyl
8	propyl	OCOOMe	5-fluoro-3-pyridyl
8	propyl	OCOOMe	6-fluoro-3-pyridyl
s	propyl	OCOOMe	2-dimethylamino-3-pyridyl
8	propyl	OCOOMe	4-dimethylamino-3-pyridyl
	propyl	OCOOMe	5-dimethylamino-3-pyridyl
S	propyl	OCOOMe	6-dimethylamino-3-pyridyl
L	propyl	OCOOMe	2-(1-pyrrolidinyl)-3-pyridyl
S	propyl	OCOOMe	3-(1-pyrrolidinyl)-3-pyridyl
S	propyl	OCOOMe	6-(1-pyrrolidinyl)-3-pyridyl
S	propyl	OCOOMe	6-(1-pyrrolidinyl)-3-pyridyl
B	propyl	OCOOMe	2-piperidino-3-pyridyl
S	propyl	OCOOMe	4-piperidino-3-pyridyl
S	propyl	OCOOMe	5-piperidino-3-pyridyl
8		OCOOMe	6-piperidino-3-pyridyl
S	propyl	OCOOMe	2-morpholino-3-pyridyl
S	propyl	OCOOMe	4-morphclino-3-pyridyl
S	propyl	OCOOMe	5-morpholino-3-pyridyl
S	propyl	OCOOMe	6-morpholino-3-pyridyl
S	propyl	OCOOMe	2-hydroxy-3-pyridyl
S		OCOOMe	
S	propyl	OCOOMe	5-hydroxy-3-pyridyl
S		OCOOMe	
S	propyl	OCOUNT	

			1 0
S	propyl	OCOOMe	2-mercapto-3-pyridyl
<u>s</u>	propyl	OCOOMe	4-mercapto-3-pyridyl
S	propyl	OCOOMe	5-mercapto-8-pyridyl
<u>S</u>	propyl	OCOOMe	6-mercapto-3-pyridyl
S	propyl	OCOOMe	2-methylthio-3-pyridyl
S	propyl	OCOOMe	4-methylthio-8-pyridyl
S	propyl	OCOOMe	5-methylthio-8-pyridyl
S	propyl	OCOOMe	6-methylthio-3-pyridyl
S	propyl	OCOOMe	2,6-dimethyl-3-pyridyl
<u>s</u>	propyl	OCOOMe	5,6-dimethyl-3-pyridyl
	propyl	OCOOMe	2,6-diethyl-3-pyridyl
S		OCOOMe	5,6-diethyl-3-pyridyl
S	propyl	OCOOMe	2,6-dimethoxy-3-pyridyl
S	propyl	OCOOMe	5,6-dimethoxy-3-pyridyl
S	propyl	OCOOMe	2,6-diethoxy-3-pyridyl
S	propyl	OCOOMe	5,6-diethoxy-3-pyridyl
S	propyl	OCOOMe	2,6-dichloro-3-pyridyl
S	propyl	OCOOMe	5,6-dichloro-3-pyridyl
S	propyl	OCOOMe	5-chloro-6-methoxy-3-pyridyl
S	propyl	OCOOMe	5-chloro-6-ethoxy-3-pyridyl
S	propyl	OCOOMe	2-chloro-6-methyl-3-pyridyl
S	propyl	OCOOMe	6-chloro-2-methyl-3-pyridyl
S	propyl	OCOOMe	2-methyl-4-pyridyl
S	propyl	OCOOMe	2-ethyl-4-pyridyl
S	propyl	OCOOMe	2-methoxy-4-pyridyl
S	propyl	OCOOMe	2-ethoxy-4-pyridyl
S	propyl	OCOOMe	2-chloro-4-pyridyl
S	propyl	OCOOMe	2-dimethylamino-4-pyridyl
S	propyl	OCOOMe	2-(1-pyrrolidinyl)-4-pyridyl
S	propyl	OCOOMe	2-piperidino-4-pyridyl
S	propyl	OCOOMe	2-morpholino-4-pyridyl
S	propyl	OCOOMe	2-methylthio-4-pyridyl
S	propyl	OCOOMe	2-pyrazinyl
S	propyl	OCOOMe	5-methyl-2-pyrazinyl
S	propyl	OCOOMe	5-ethyl-2-pyrazinyl
S	propyl	OCOOMe	5-methoxy-2-pyrazinyl
S	propyl	OCOOMe	5-ethoxy-2-pyrazinyl
S		OCOOMe	5-chloro-2-pyrazinyl
S		OCOOMe	6-methyl-2-pyrazinyl
S		OCOOMe	6-methoxy-2-pyrazinyl
S		OCOOMe	6-chloro-2-pyrazinyl
S	propyl	OCOOIME	U-Chioro B PJ2

		B	Y ·
X	R ₁	R ₂	2-pyridyl
S	propyl	OCOOEt	3-pyridyl
S	propyl	OCOOEt	4-pyridyl
S	propyl	OCOOEt	2-methyl-3-pyridyl
8	propyl	OCOOEt	4-methyl-3-pyridyl
S	propyl	OCOOEt	
S	propyl	OCOOEt	5-methyl-8-pyridyl
S	propyl	OCOOEt	6-methyl-3-pyridyl
S	propyl	OCOOEt	2-ethyl-3-pyridyl
S	propyl	OCOOEt	4-ethyl-3-pyridyl
S	propyl	OCOOEt	5-ethyl-3-pyridyl
S	propyl	OCOOEt	6-ethyl-3-pyridyl
<u>s</u>	propyl	OCOOEt	2-methoxy-3-pyridyl
S	propyl	OCOOEt	4-methoxy-3-pyridyl
<u>S</u>	propyl	OCOOEt	5-methoxy-3-pyridyl
S	propyl	OCOOEt	6-methoxy-3-pyridyl
S	propyl	OCOOEt	2-ethoxy-3-pyridyl
5	propyl	OCOOE t	4-ethoxy-3-pyridyl
S	propyl	OCOOEt	5-ethoxy-3-pyridyl
<u> </u>	propyl	OCOOEt	6-ethoxy-3-pyridyl
s	propyl	OCOOEt	2-chloro-3-pyridyl
S	propyl	OCOOEt	4-chloro-3-pyridyl
S	propyl	OCOOEt	5-chloro-3-pyridyl
8	propyl	OCOOEt	6-chloro-8-pyridyl
S	propyl	OCOOEt	2-fluoro-3-pyridyl
S	propyl	OCOOEt	4-fluoro-3-pyridyl
S	propyl	OCOOEt	5-fluoro-3-pyridyl
S	propyl	OCOOEt	6-fluoro-8-pyridyl
S	propyl	OCOOEt	2-dimethylamino-3-pyridyl
8	propyl	OCOOEt	4-dimethylamino-3-pyridyl
S	propyl	OCOOEt	5-dimethylamino-3-pyridyl
8	propyl	OCOOEt	6-dimethylamino-8-pyridyl
· 8	propyl	OCOOEt	2-(1-pyrrolidinyl)-3-pyridyl
S	propyl	OCOOEt	3-(1-pyrrolidinyl)-3-pyridyl
8	propyl	OCOOEt	5-(1-pyrrolidinyl)-3-pyridyl
S	propyl	OCOOEt	6-(1-pyrrolidinyl)-3-pyridyl
S	propyl	OCOOEt	2-piperidino-8-pyridyl
S	propyl	OCOOEt	4-piperidino-3-pyridyl
8	propyl	OCOOEt	5-piperidino-3-pyridyl
	propyl	OCOOEt	6-piperidino-3-pyridyl
S	propyl	OCOOEt	2-morpholine-3-pyridyl
S	propyl	OCOOEt	4-morpholino-3-pyridyl
8		OCOOEt	5-morpholino-3-pyridyl
S	propyl	OCOOEt	6-morpholino-3-pyridyl
S	propyl	OCOOEt	2-hydroxy-3-pyridyl
S	propyl	OCOOEt	4-hydroxy-3-pyridyl
S	propyl	OCOOEt	5-hydroxy-3-pyridyl
8	propyl	OCOOEt	6-hydroxy-3-pyridyl
S	propyl		1 0 22)

			1 0
S	propyl	OCOOEt	2-mercapto-3-pyridyl
S	propyl	OCOOEt	4-mercapto-3-pyridyl
$\frac{s}{s}$	propyl	OCOOEt	5-mercapto-3-pyridyl
s	propyl	OCOOEt	6-mercapto-3-pyridyl
S	propyl	OCOOEt	2-methylthio-3-pyridyl
S	propyl	OCOOEt	4-methylthio-3-pyridyl
	propyl	OCOOEt	5-methylthio-3-pyridyl
S		OCOOEt	6-methylthio-3-pyridyl
S	propyl	OCOOEt	2,6-dimethyl-3-pyridyl
S	propyl	OCOOEt	5,6-dimethyl-3-pyridyl
S	propyl	OCOOEt	2,6-diethyl-3-pyridyl
S	propyl	OCOOEt	5,6-diethyl-3-pyridyl
S	propyl	OCOOEt	2,6-dimethoxy-3-pyridyl
S	propyl	OCOOEt	5,6-dimethoxy-3-pyridyl
S	propyl	OCOOEt	2,6-diethoxy-3-pyridyl
S	propyl	OCOOEt	5,6-diethoxy-3-pyridyl
S	propyl	OCOOEt	2,6-dichloro-3-pyridyl
S	propyl	OCOOEt	5,6-dichloro-3-pyridyl
S	propyl	OCOOEt	5-chloro-6-methoxy-3-pyridyl
S	propyl	OCOOEt	5-chloro-6-ethoxy-3-pyridyl
. S	propyl	OCOOEt	2-chloro-6-methyl-3-pyridyl
S	propyl	OCOOEt	6-chloro-2-methyl-3-pyridyl
S	propyl		2-methyl-4-pyridyl
S	propyl	OCOOEt	2-ethyl-4-pyridyl
S	propyl	OCOOEt	2-methoxy-4-pyridyl
S	propyl	OCOOEt	2-ethoxy-4-pyridyl
S	propyl	OCOOEt	2-chloro-4-pyridyl
S	propyl	OCOOEt	2-dimethylamino-4-pyridyl
S	propyl	OCOOEt	2-dimethylamino 1 pyridyl 2-(1-pyrrolidinyl)-4-pyridyl
S	propyl	OCOOEt	2-piperidino-4-pyridyl
S	propyl	OCOOEt	2-morpholino-4-pyridyl
S	propyl	OCOOEt	2-morpholmo-1-pyridyl
S	propyl	OCOOEt	2-methylthio-1-pyridyl 2-pyrazinyl
S	propyl	OCOOEt	5-methyl-2-pyrazinyl
S	propyl	OCOOEt	5-methyl-2-pyrazinyl
S	propyl	OCOOEt	5-ethyl-2-pyrazinyl 5-methoxy-2-pyrazinyl
S	propyl	OCOOEt	5-mernoxy-z-pyrazinyi
S	propyl	OCOOEt	5-ethoxy-2-pyrazinyl
S	propyl	OCOOEt	5-chloro-2-pyrazinyl
8	propyl	OCOOEt	6-methyl-2-pyrazinyl
S	propyl	OCOOEt	6-methoxy-2-pyrazinyl
, ~	propyl	OCOOEt	6-chloro-2-pyrazinyl

		R ²	У
X	R ¹	OH	2-pyridyl
S	n-butyl	OH	3-pyridyl
S	n-butyl	OH	4-pyridyl
S	n-butyl		2-methyl-3-pyridyl
S	n-butyl	OH	4-methyl-3-pyridyl
S	n-butyl	OH	5-methyl-3-pyridyl
S	n-butyl	OH	6-methyl-3-pyridyl
S	n-butyl	OH	2-ethyl-3-pyridyl
S	n-butyl	OH	4-ethyl-3-pyridyl
S	n-butyl	OH	5-ethyl-3-pyridyl
s	n-butyl	OH	6-ethyl-3-pyridyl
S	n-butyl	OH	2-methoxy-3-pyridyl
	n-butyl	OH	4-methoxy-3-pyridyl
<u>s</u>	n-butyl	OH	5-methoxy-3-pyridyl
<u>s</u>	n-butyl	OH ·	6-methoxy-3-pyridyl
_ S	n-butyl	OH	2-ethoxy-3-pyridyl
<u> </u>	n-butyl	OH	4-ethoxy-3-pyridyl
<u>s</u>	n-butyl	OH	5-ethoxy-3-pyridyl
<u>s</u>	n-butyl	OH	6-ethoxy-3-pyridyl
S	n-butyl	OH	2-chlore-8-pyridyl
s	n-butyl	OH	4-chlore-3-pyridyl
8	n-butyl	OH	5-chloro-3-pyridyl
- s	n-butyl	OH	6-chloro-9-pyridyl
S	n-butyl	OH	2-fluoro-3-pyridyl
S	n-butyl	OH	4-fluoro-3-pyridyl
S	n-butyl	OH	5-fluoro-3-pyridyl
S	n-butyl	OH	6-fluoro-3-pyridyl
S	n-butyl	OH	2-dimethylamino-3-pyridyl
S	n-butyl	OH	2-dimethylamino-3-pyridyl 4-dimethylamino-3-pyridyl
S	n-butyl	OH	5-dimethylamino-3-pyridyl
S	n-butyl	OH	6-dimethylamino-3-pyridyl
S	n-butyl	OH	6-dimethylamino-b-pyridyl
$\frac{3}{8}$	n-butyl	OH	2-(1-pyrrolidinyl)-3-pyridyl
S	n-butyl	OH	3-(1-pyrrolidinyl)-3-pyridyl
S	n-butyl	OH	5-(1-pyrrolidinyl)-3-pyridyl
S	n-butyl	OH	6-(1-pyrrolidinyl)-3-pyridyl
S	n-butyl	ОН	2-piperidino-3-pyridyl
S	n-butyl	OH	4-piperidino-3-pyridyl
S	n-butyl	OH	5-piperidino-3-pyridyl
S		OH	6-piperidino-3-pyridyl
S		OH	2-morpholino-3-pyridyl
S		OH	4-morpholino-3-pyridyl
S		OH	5-morpholino-3-pyridyl
		OH	6-morpholino-3-pyridyl
8		OH	2-hydroxy-3-pyridyl
8		OH	4-hydroxy-3-pyridyl
- 5		OH	5-hydroxy-3-pyridyl
- 5	n-butyl n-butyl	OH	6-hydroxy-3-pyridyl

			2-mercapto-3-pyridyl
SI	n-butyl	OH	Z-mercapto-s-pyridyl
$\frac{3}{s}$	n-butyl	OH	4-mercapto-3-pyridyl
<u>s</u>	n-butyl	OH	5-mercapto-3-pyridyl
S	n-butyl	OH	6-mercapto-3-pyridyl
S	n-butyl	OH	2-methylthio-3-pyridyl
	n-butyl	OH	4-methylthio-3-pyridyl
S	n-butyl	OH	5-methylthio-3-pyridyl
S	n-butyl	OH	6-methylthio-3-pyridyl
S	n-butyl	OH	2,6-dimethyl-3-pyridyl
S		OH	5,6-dimethyl-3-pyridyl
S	n-butyl	OH	2.6-diethyl-3-pyridyl
S	n-butyl	OH	5,6-diethyl-8-pyridyl
S	n-butyl	OH	2.6-dimethoxy-3-pyridyl
S	n-butyl	OH	5.6-dimethoxy-3-pyridyl
S	n-butyl	OH	2.6-diethoxy-3-pyridyl
S	n-butyl	OH	5.6-diethoxy-3-pyridyl
S	n-butyl	OH	2.6-dichloro-3-pyridyl
S	n-butyl	OH	5.6-dichloro-3-pyridyl
S	n-butyl	OH	5-chloro-6-methoxy-3-pyridyl
S	n-butyl	OH	5-chloro-6-ethoxy-3-pyridyl
S	n-butyl	OH	2-chloro-6-methyl-3-pyridyl
S	n-butyl	OH	6-chloro-2-methyl-3-pyridyl
S	n-butyl	OH	2-methyl-4-pyridyl
S	n-butyl	OH	2-ethyl-4-pyridyl
S	n-butyl	OH	2-methoxy-4-pyridyl
S	n-butyl	OH	2-ethoxy-4-pyridyl
S	n-butyl	OH	2-chloro-4-pyridyl
S	n-butyl	OH	2-dimethylamino-4-pyridyl
S	n-butyl		2-(1-pyrrolidinyl)-4-pyridyl
S	n-butyl	OH	2-piperidino-4-pyridyl
S	n-butyl	OH	2-morpholino-4-pyridyl
S	n-butyl	OH	2-methylthio-4-pyridyl
S	n-butyl	OH	2-pyrazinyl
S	n-butyl	OH	5-methyl-2-pyrazinyl
S	n-butyl	OH	5-ethyl-2-pyrazinyl
S	n-butyl	OH	5-methoxy-2-pyrazinyl
S	n-butyl	OH	5-methoxy-2-pyrazinyl
S	n-butyl	OH	5-ethoxy-2-pyrazinyl
S	n-butyl	OH	6-methyl-2-pyrazinyl
S	n-butyl	OH	6-methyy-2-pyrazinyl
S	n-butyl	OH	6-metnoxy-2-pyrazinyi
S		OH	6-chloro-2-pyrazinyl

				- V
X	T	R ¹	R ²	Y
S	+	n-butyl	OCOOMe	2-pyridyl
<u>s</u>	+-	n-butyl	OCOOMe_	3-pyridyl
S	+-	n-butyl	OCOOMe	4-pyridyl
S	-	n-butyl	OCOOMe	2-methyl-3-pyridyl
s	+-	n-butyl	OCOOMe	4-methyl-8-pyridyl
<u>s</u>	+-	n-butyl	OCOOMe	5-methyl-3-pyridyl
s	+-	n-butyl	OCOOMe	6-methyl-3-pyridyl
S		n-butyl	OCOOMe	2-ethyl-3-pyridyl
s		n-butyl	OCOOMe	4-ethyl-3-pyridyl
S	+	n-butyl	OCOOMe	5-ethyl-3-pyridyl
8		n-butyl	OCOOMe	6-ethyl-3-pyridyl
5		n-butyl	OCOOMe	2-methoxy-8-pyridyl
		n-butyl	OCOOMe	4-methoxy-3-pyridyl
8		n-butyl	OCOOMe	5-methoxy-3-pyridyl
S		n-butyl	OCOOMe	6-methoxy-3-pyridyl
S		n-butyl	OCOOMe	2-ethoxy-3-pyridyl
S		n-butyl	OCOOMe	4-ethoxy-3-pyridyl
S		n-butyl	OCOOMe	5-ethoxy-9-pyridyl
S	-+-	n-butyl	OCOOMe	6-ethoxy-3-pyridyl
S		n-butyl	OCOOMe	2-chloro-3-pyridyl
S		n-butyl	OCOOMe	4-chloro-3-pyridyl
S		n-butyl	OCOOMe	5-chloro-3-pyridyl
S		n-butyl	OCOOMe	6-chloro-3-pyridyl
S		n-butyl	OCOOMe	2-fluoro-3-pyridyl
S		n-butyl	OCOOMe	4-fluoro-3-pyridyl
S		n-butyl	OCOOMe	5-fluoro-3-pyridyl
1 5		n-butyl	OCOOMe	6-fluoro-3-pyridyl
		n-butyl	OCOOMe	2-dimethylamino-3-pyridyl
1		n-butyl	OCOOMe	4-dimethylamino-3-pyridyl
- <u>*</u>		n-butyl	OCOOMe	5-dimethylamino-3-pyridyl
	3	n-butyl	OCOOMe	6-dimethylamino-3-pyridyl
	3 +	n-butyl	OCOOMe	2-(1-pyrrolidinyl)-3-pyridyl
	5	n-butyl	OCOOMe	3-(1-pyrrolidinyl)-3-pyridyl
	s	n-butyl	OCOOMe	5-(1-pyrrolidinyl)-3-pyridyl
	s	n-butyl	OCOOMe	6-(1-pyrrolidinyl)-3-pyridyl
	S	n-butyl	OCOOMe	2-piperidino-3-pyridyl
	s s	n-butyl	OCOOMe	4-piperidino-3-pyridyl
	S	n-butyl	OCOOMe	5-piperidino-3-pyridyl
·	S	n-butyl	OCOOMe	6-piperidino-3-pyridyl
	S	n-butyl	OCOOMe	2-morpholimo-3-pyridyl
	ร ร	n-butyl	OCOOMe	4-morpholino-3-pyridyl
-	<u>s</u>	n-butyl	OCOOMe	5-morpholino-3-pyridyl
-	<u>s</u>	n-butyl	OCOOMe	6-morpholino-3-pyridyl
-		n-butyl	OCOOMe	2-hydroxy-3-pyridyl
<u> </u>	8	n-butyl	OCOOMe	4-hydroxy-3-pyridyl
-	S	n-butyl	OCOOMe	5-hydroxy-3-pyridyl
. -	S	n-butyl	OCOOMe	
L.	S	n-buty1		

	S	n-butyl	OCOOMe	2-mercapto-3-pyridyl
	S	n-butyl	OCOOMe	4-mercapto-3-pyridyl
	s	n-butyl	OCOOMe	5-mercapto-3-pyridyl
	S	n-butyl	OCOOMe	6-mercapto-3-pyridyl
	S	n-butyl	OCOOMe	2-methylthio-3-pyridyl
	S	n-butyl	OCOOMe	4-methylthio-3-pyridyl
┝	S	n-butyl	OCOOMe	5-methylthio-3-pyridyl ,
Ŀ	S	n-butyl	OCOOMe	6-methylthio-8-pyridyl
<u> </u>		n-butyl	OCOOMe	2,6-dimethyl-3-pyridyl
<u> </u>	8	n-butyl	OCOOMe	5,6-dimethyl-3-pyridyl
<u> </u>	S	n-butyl	OCOOMe	2,6-diethyl-3-pyridyl
<u></u>	S	n-butyl	OCOOMe	5,6-diethyl-3-pyridyl
L	S		OCOOMe	2,6-dimethoxy-3-pyridyl
L	S	n-butyl	OCOOMe	5,6-dimethoxy-3-pyridyl
L	S	n-butyl	OCOOMe	2,6-diethoxy-3-pyridyl
L	S	n-butyl	OCOOMe	5,6-diethoxy-3-pyridyl
L	S	n-butyl	OCOOMe	2,6-dichloro-3-pyridyl
L	S	n-butyl	OCOOMe	5,6-dichloro-3-pyridyl
L	S	n-butyl	OCOOMe	5-chloro-6-methoxy-3-pyridyl
L	S	n-butyl	OCOOMe	5-chloro-6-ethoxy-3-pyridyl
L	S	n-butyl	OCOOMe	2-chloro-6-methyl-3-pyridyl
L	S	n-butyl	OCOOMe	6-chloro-2-methyl-3-pyridyl
L	S	n-butyl	OCOOMe	2-methyl-4-pyridyl
L	ន	n-butyl	OCOOMe	2-ethyl-4-pyridyl
L	S	n-butyl	OCOOMe	2-methoxy-4-pyridyl
1	S	n-butyl	OCOOMe OCOOMe	2-ethoxy-4-pyridyl
L	S	n-butyl	OCOOMe OCOOMe	2-chloro-4-pyridyl
L	S	n-butyl	OCOOMe	2-dimethylamino-4-pyridyl
1	S	n-butyl	OCOOMe	2-(1-pyrrolidinyl)-4-pyridyl
Ļ	S	n-butyl	OCOOMe	2-piperidino-4-pyridyl
ļ	S	n-butyl	OCOOMe	2-morpholino-4-pyridyl
·	S	n-butyl	OCOOMe	2-methylthio-4-pyridyl
	S	n-butyl	OCOOMe OCOOMe	2-pyrazinyl
	S	n-butyl	OCOOMe	5-methyl-2-pyrazinyl
	S	n-butyl	OCOOMe	5-ethyl-2-pyrazinyl
	S	n-butyl	OCOOMe	5-methoxy-2-pyrazinyl
- 1	S	n-butyl	OCOOMe	5-ethoxy-2-pyrazinyl
	S	n-butyl	OCOOMe	5-chloro-2-pyrazinyl
•	S	n-butyl	OCOOMe	6-methyl-2-pyrazinyl
	S	n-butyl	OCOOMe	6-methoxy-2-pyrazinyl
	S	n-butyl		6-chloro-2-pyrazinyl
	S	n-butyl	OCOOMe	O-CHIOLO A PJ-

	R'	R ^g	Y
X		OCOOEt	2-pyridyl
S	n-butyl	OCOOEt	3-pyridyl
S	n-butyl	OCOOEt	4-pyridyl
S	n-butyl	OCOOEt	2-methyl-3-pyridyl
S	n-butyl	OCOOEt	4-methyl-3-pyridyl
S	n-butyl	OCOOEt	5-methyl-8-pyridyl
S	n-butyl		6-methyl-3-pyridyl
S	n-butyl	OCOOEt OCOOEt	2-ethyl-3-pyridyl
S	n-butyl ·		4-ethyl-3-pyridyl
S	n-butyl	OCOOEt	5-ethyl-3-pyridyl
S	n-butyl	OCOOEt	6-ethyl-8-pyridyl
S	n-butyl	OCOOEt	2-methoxy-3-pyridyl
S	n-butyl	OCOOEt	4-methoxy-8-pyridyl
S	n-butyl	OCOOEt	5-methoxy-3-pyridyl
S	n-butyl	OCOOEt	6-methoxy-3-pyridyl
S	n-butyl	OCOOEt	2-ethoxy-3-pyridyl
S	n-butyl	OCOOEt	4-ethoxy-3-pyridyl
S	n-butyl	OCOOEt	5-ethoxy-3-pyridyl
S	n-butyl	OCOOEt	6-ethoxy-3-pyridyl
S	n-butyl	OCOOEt	2-chloro-3-pyridyl
S	n-butyl	OCOOEt	
S	n-butyl	OCOOEt	4-chloro-3-pyridyl
S	n-butyl	OCOOEt	5-chloro-3-pyridyl
S	n-butyl	OCOOEt	6-chloro-8-pyridyl
S	n-butyl	OCOOEt	2-fluoro-3-pyridyl
8	n-butyl	OCOOEt	4-fluoro-3-pyridyl
S	n-butyl	OCOOEt	5-fluoro-3-pyridyl
S	n-butyl	OCOOEt	6-fluoro-3-pyridyl
S	n-butyl	OCOOEt	2-dimethylamino-3-pyridyl
S	n-butyl	OCOOEt	4-dimethylamino-3-pyridyl
S	n-butyl	OCOOEt	5-dimethylamino-3-pyridyl
s	n-butyl	OCOOEt	6-dimethylamino-3-pyridyl
S	n-butyl	OCOORt	2-(1-pyrrolidinyl)-3-pyridyl
S	n-butyl	OCOOEt	3-(1-pyrrolidinyl)-3-pyridyl
S	n-butyl	OCOOEt	5-(1-pyrrolidinyl)-3-pyridyl
8	n-butyl	OCOOEt	6-(1-pyrrolidinyl)-3-pyridyl
S	n-butyl	OCOOEt	2-piperidino-3-pyridyl
8	n-butyl	OCOOEt	4-piperidino-3-pyridyl
S	n-butyl	OCOOEt	5-piperidino-3-pyridyl
S	n-butyl	OCOOEt	6-piperidino-3-pyridyl
	n-butyl	OCOOEt	2-morpholino-3-pyridyl
S	n-butyl	OCOOEt	4-morpholino-3-pyridyl
S		OCOOEt	5-morpholino-3-pyridyl
S	n-butyl	OCOOEt	6-morpholino-3-pyridyl
S	n-butyl	OCOOEt	2-hydroxy-3-pyridyl
S	n-butyl	OCOOEt	4-hydroxy-3-pyridyl
S	n-butyl	OCOOEt	5-hydroxy-3-pyridyl
S	n-butyl	OCOOEt	6-hydroxy-3-pyridyl
S	n-butyl	_ J OCCOBE	

			id-1
S	n-butyl	OCOOEt	2-mercapto-3-pyridyl
S	n-butyl	OCOOEt	4-mercapto-3-pyridyl
S	n-butyl	OCOOEt	5-mercapto-3-pyridyl
S	n-butyl	OCOOEt	6-mercapto-3-pyridyl
s	n-butyl	OCOOEt	2-methylthio-3-pyridyl
S	n-butyl	OCOOEt	4-methylthio-3-pyridyl
S	n-butyl	OCOOEt	5-methylthio-3-pyridyl
S	n-butyl	OCOOEt	6-methylthio-3-pyridyl
S	n-butyl	OCOOEt	2,6-dimethyl-3-pyridyl
S	n-butyl	OCOOEt	5,6-dimethyl-3-pyridyl
S	n-butyl	OCOOEt	2,6-diethyl-3-pyridyl
S	n-butyl	OCOOEt	5,6-diethyl-3-pyridyl
S	n-butyl	OCOOEt	2,6-dimethoxy-3-pyridyl
S	n-butyl	OCOOEt	5,6-dimethoxy-3-pyridyl
$\frac{5}{8}$	n-butyl	OCOOEt	2,6-diethoxy-3-pyridyl
s	n-butyl	OCOOEt	5,6-diethoxy-3-pyridyl
<u>s</u>	n-butyl	OCOOEt	2,6-dichloro-3-pyridyl
S	n-butyl	OCOOEt	5,6-dichloro-3-pyridyl
S	n-butyl	OCOOEt	5-chloro-6-methoxy-3-pyridyl
S	n-butyl	OCOOEt	5-chloro-6-ethoxy-3-pyridyl
S	n-butyl	OCOOEt	2-chloro-6-methyl-3-pyridyl
S	n-butyl	OCOOEt	6-chloro-2-methyl-3-pyridyl
S	n-butyl	OCOOEt	2-methyl-4-pyridyl
S	n-butyl	OCOOEt	2-ethyl-4-pyridyl
S	n-butyl	OCOOEt	2-methoxy-4-pyridyl
S	n-butyl	OCOOEt	2-ethoxy-4-pyridyl
S	n-butyl	OCOOEt	2-chloro-4-pyridyl
S	n-butyl	OCOOEt	2-dimethylamino-4-pyridyl
S	n-butyl	OCOOEt	2-(1-pyrrolidinyl)-4-pyridyl
S	n-butyl	OCOOEt	2-piperidino-4-pyridyl
S	n-butyl	OCOOEt	2-morpholino-4-pyridyl
S	n-butyl	OCOOEt	2-methylthio-4-pyridyl
S	n-butyl	OCOOEt	2-pyrazinyl
S	n-butyl	OCOOEt	5-methyl-2-pyrazinyl
S	n-butyl	OCOOEt	5-ethyl-2-pyrazinyl
s	n-butyl	OCOOEt	5-methoxy-2-pyrazinyl
S	n-butyl	OCOOEt	5-ethoxy-2-pyrazinyl
S	n-butyl	OCOOEt	5-chloro-2-pyrazinyl
S	n-butyl	OCOOEt	6-methyl-2-pyrazinyl
S	n-butyl	OCOOEt	6-methoxy-2-pyrazinyl
S	n-butyl	OCOOEt	6-chloro-2-pyrazinyl

X	Ri	R ³	Y
S	n-pentyl	OH	2-pyridyl
S	n-pentyl	OH	3-pyridyl
$\frac{s}{s}$	n-pentyl	OH	4-pyridyl
S	n-pentyl	HO	2-methyl-3-pyridyl
s	n-pentyl	OH	4-methyl-3-pyridyl
S S	n-pentyl	OH	5-methyl-3-pyridyl
S	n-pentyl	OH	6-methyl-3-pyridyl
S	n-pentyl	OH	2-ethyl-3-pyridyl
S	n-pentyl	OH	4-ethyl-3-pyridyl
S	n-pentyl	OH	5-ethyl-3-pyridyl
S	n-pentyl	OH	6-ethyl-3-pyridyl
S	n-pentyl	OH	2-methoxy-3-pyridyl
S	n-pentyl	OH	4-methoxy-3-pyridyl
s	n-pentyl	OH	5-methoxy-3-pyridyl
s	n-pentyl	OH	6-methoxy-3-pyridyl
s	n-pentyl	OH	2-ethoxy-8-pyridyl
S	n-pentyl	OH	4-ethoxy-3-pyridyl
S	n-pentyl	OH	5-ethoxy-3-pyridyl
s	n-pentyl	OH	6-ethoxy-3-pyridyl
S	n-pentyl	OH	2-chloro-3-pyridyl
S	n-pentyl	OH	4-chloro-3-pyridyl
S	n-pentyl	OH	5-chloro-3-pyridyl
S	n-pentyl	OH	6-chloro-3-pyridyl
S	n-pentyl	OH	2-fluoro-3-pyridyl
S	n-pentyl	OH	4-fluoro-3-pyridyl
S	n-pentyl	OH	5-fluoro-3-pyridyl
S	n-pentyl	OH	6-fluoro-3-pyridyl
S	n-pentyl	OH	2-dimethylamino-3-pyridyl
S	n-pentyl	OH	4-dimethylamino-3-pyridyl
S	n-pentyl	OH	5-dimethylamino-3-pyridyl
S	n-pentyl	OH	6-dimethylamino-3-pyridyl
S	n-pentyl	OH	2-(1-pyrrolidinyl)-3-pyridyl
S	n-pentyl	OH	3-(1-pyrrolidinyl)-3-pyridyl 5-(1-pyrrolidinyl)-3-pyridyl
S	n-pentyl	OH	6-(1-pyrrolidinyl)-3-pyridyl
S	n-pentyl	OH	2-piperidino-8-pyridyl
S	n-pentyl	OH	4-piperidino-9-pyridyl
S	n-pentyl	OH	5-piperidino-3-pyridyl
S	n-pentyl	OH	6-piperidino-3-pyridyl
S	n-pentyl		2-morpholino-3-pyridyl
S	n-pentyl	OH	4-morpholino-3-pyridyl
. S	n-pentyl	OH	5-morpholino-3-pyridyl
S	n-pentyl	OH	6-morpholino-3-pyridyl
S	n-pentyl	OH	2-hydroxy-3-pyridyl
S	n-pentyl	OH	4-hydroxy-3-pyridyl
S	n-pentyl_		5-hydroxy-3-pyridyl
S	n-pentyl	OH	6-hydroxy-3-pyridyl
S	n-pentyl	OH	6-tlyttoxy-o-pyrrayr

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S			OH	
S			OH	5-mercapto-8-pyridyl
S			OH	6-mercapto-3-pyridyl
S			OH	2-methylthio-3-pyridyl
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S n-pentyl OH 2-chloro-6-methyl-3-pyridy S n-pentyl OH 6-chloro-2-methyl-3-pyridy S n-pentyl OH 2-methyl-4-pyridyl S n-pentyl OH 2-methoxy-4-pyridyl S n-pentyl OH 2-methoxy-4-pyridyl S n-pentyl OH 2-methoxy-4-pyridyl S n-pentyl OH 2-chloro-4-pyridyl S n-pentyl OH 2-dimethylamino-4-pyridyl S n-pentyl OH 2-dimethylamino-4-pyridyl S n-pentyl OH 2-piperidino-4-pyridyl S n-pentyl OH 2-morpholino-4-pyridyl S n-pentyl OH 2-morpholino-4-pyridyl S n-pentyl OH 2-methylthio-4-pyridyl S n-pentyl OH 5-methyl-2-pyrazinyl S n-pentyl OH 5-methyl-2-pyrazinyl S n-pentyl OH 5-methoxy-2-pyrazinyl S n-pentyl OH 5-ethoxy-2-pyrazinyl S n-pentyl OH 5-chloro-2-pyrazinyl S n-pentyl OH 5-chloro-2-pyrazinyl S n-pentyl OH 5-chloro-2-pyrazinyl S n-pentyl OH 6-methyl-2-pyrazinyl				
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S n-pentyl OH 2-methyl-4-pyridyl S n-pentyl OH 2-ethyl-4-pyridyl S n-pentyl OH 2-methoxy-4-pyridyl S n-pentyl OH 2-ethoxy-4-pyridyl S n-pentyl OH 2-chloro-4-pyridyl S n-pentyl OH 2-dimethylamino-4-pyridyl S n-pentyl OH 2-(1-pyrrolidinyl)-4-pyridyl S n-pentyl OH 2-methylthio-4-pyridyl S n-pentyl OH 2-methylthio-4-pyridyl S n-pentyl OH 2-methylthio-4-pyridyl S n-pentyl OH 2-pyrazinyl S n-pentyl OH 5-methyl-2-pyrazinyl S n-pentyl OH 5-methyl-2-pyrazinyl S n-pentyl OH 5-methoxy-2-pyrazinyl S n-pentyl OH 5-ethoxy-2-pyrazinyl S n-pentyl OH 5-chloro-2-pyrazinyl S n-pentyl OH 5-chloro-2-pyrazinyl S n-pentyl OH 6-methyl-2-pyrazinyl S n-pentyl OH 6-methyl-2-pyrazinyl			l	6 chloro-2-methyl-3-pyridyl
S n-pentyl OH 2-ethyl-4-pyridyl S n-pentyl OH 2-methoxy-4-pyridyl S n-pentyl OH 2-ethoxy-4-pyridyl S n-pentyl OH 2-chloro-4-pyridyl S n-pentyl OH 2-dimethylamino-4-pyridyl S n-pentyl OH 2-pyrrolidinyl-4-pyridyl S n-pentyl OH 2-piperidino-4-pyridyl S n-pentyl OH 2-morpholino-4-pyridyl S n-pentyl OH 2-methylthio-4-pyridyl S n-pentyl OH 2-pyrazinyl S n-pentyl OH 5-methyl-2-pyrazinyl S n-pentyl OH 5-ethyl-2-pyrazinyl S n-pentyl OH 5-methoxy-2-pyrazinyl S n-pentyl OH 5-ethoxy-2-pyrazinyl S n-pentyl OH 5-chloro-2-pyrazinyl S n-pentyl OH 5-chloro-2-pyrazinyl S n-pentyl OH 6-methyl-2-pyrazinyl S n-pentyl OH 6-methyl-2-pyrazinyl				
S n-pentyl OH 2-methoxy-4-pyridyl S n-pentyl OH 2-ethoxy-4-pyridyl S n-pentyl OH 2-chloro-4-pyridyl S n-pentyl OH 2-dimethylamino-4-pyridyl S n-pentyl OH 2-(1-pyrrolidinyl)-4-pyridyl S n-pentyl OH 2-piperidino-4-pyridyl S n-pentyl OH 2-morpholino-4-pyridyl S n-pentyl OH 2-methylthio-4-pyridyl S n-pentyl OH 2-pyrazinyl S n-pentyl OH 5-methyl-2-pyrazinyl S n-pentyl OH 5-methyl-2-pyrazinyl S n-pentyl OH 5-methoxy-2-pyrazinyl S n-pentyl OH 5-ethoxy-2-pyrazinyl S n-pentyl OH 5-chloro-2-pyrazinyl S n-pentyl OH 5-chloro-2-pyrazinyl S n-pentyl OH 6-methyl-2-pyrazinyl S n-pentyl OH 6-methoxy-2-pyrazinyl				
S n-pentyl OH 2-ethoxy-4-pyridyl S n-pentyl OH 2-chloro-4-pyridyl S n-pentyl OH 2-dimethylamino-4-pyridyl S n-pentyl OH 2-(1-pyrrolidinyl)-4-pyridyl S n-pentyl OH 2-piperidino-4-pyridyl S n-pentyl OH 2-morpholino-4-pyridyl S n-pentyl OH 2-methylthio-4-pyridyl S n-pentyl OH 2-pyrazinyl S n-pentyl OH 5-methyl-2-pyrazinyl S n-pentyl OH 5-ethyl-2-pyrazinyl S n-pentyl OH 5-methoxy-2-pyrazinyl S n-pentyl OH 5-ethoxy-2-pyrazinyl S n-pentyl OH 5-ethoxy-2-pyrazinyl S n-pentyl OH 5-chloro-2-pyrazinyl S n-pentyl OH 6-methyl-2-pyrazinyl S n-pentyl OH 6-methyl-2-pyrazinyl				
S n-pentyl OH 2-chloro-4-pyridyl S n-pentyl OH 2-dimethylamino-4-pyridyl S n-pentyl OH 2-(1-pyrrolidinyl)-4-pyridyl S n-pentyl OH 2-piperidino-4-pyridyl S n-pentyl OH 2-morpholino-4-pyridyl S n-pentyl OH 2-morpholino-4-pyridyl S n-pentyl OH 2-methylthio-4-pyridyl S n-pentyl OH 5-methyl-2-pyrazinyl S n-pentyl OH 5-methyl-2-pyrazinyl S n-pentyl OH 5-methoxy-2-pyrazinyl S n-pentyl OH 5-ethoxy-2-pyrazinyl S n-pentyl OH 5-chloro-2-pyrazinyl S n-pentyl OH 6-methyl-2-pyrazinyl S n-pentyl OH 6-methyl-2-pyrazinyl				
S n-pentyl OH 2-dimethylamino-4-pyridy S n-pentyl OH 2-(1-pyrrolidinyl)-4-pyridy S n-pentyl OH 2-piperidino-4-pyridyl S n-pentyl OH 2-morpholino-4-pyridyl S n-pentyl OH 2-methylthio-4-pyridyl S n-pentyl OH 2-pyrazinyl S n-pentyl OH 5-methyl-2-pyrazinyl S n-pentyl OH 5-ethyl-2-pyrazinyl S n-pentyl OH 5-methoxy-2-pyrazinyl S n-pentyl OH 5-ethoxy-2-pyrazinyl S n-pentyl OH 5-ethoxy-2-pyrazinyl S n-pentyl OH 5-chloro-2-pyrazinyl S n-pentyl OH 6-methyl-2-pyrazinyl S n-pentyl OH 6-methyl-2-pyrazinyl	S			
S n-pentyl OH 2-(1-pyrrolidinyl)-4-pyridyl S n-pentyl OH 2-piperidino-4-pyridyl S n-pentyl OH 2-morpholino-4-pyridyl S n-pentyl OH 2-methylthio-4-pyridyl S n-pentyl OH 2-pyrazinyl S n-pentyl OH 5-methyl-2-pyrazinyl S n-pentyl OH 5-ethyl-2-pyrazinyl S n-pentyl OH 5-methoxy-2-pyrazinyl S n-pentyl OH 5-ethoxy-2-pyrazinyl S n-pentyl OH 5-chloro-2-pyrazinyl S n-pentyl OH 6-methyl-2-pyrazinyl S n-pentyl OH 6-methyl-2-pyrazinyl	S			2-chioro-pyrray
S n-pentyl OH 2-piperidino-4-pyridyl S n-pentyl OH 2-morpholino-4-pyridyl S n-pentyl OH 2-morpholino-4-pyridyl S n-pentyl OH 2-methylthio-4-pyridyl S n-pentyl OH 5-methyl-2-pyrazinyl S n-pentyl OH 5-methyl-2-pyrazinyl S n-pentyl OH 5-methoxy-2-pyrazinyl S n-pentyl OH 5-methoxy-2-pyrazinyl S n-pentyl OH 5-chloro-2-pyrazinyl S n-pentyl OH 6-methyl-2-pyrazinyl S n-pentyl OH 6-methoxy-2-pyrazinyl	S			2-dimethylamino 1-pyridyl
S n-pentyl OH 2-morpholino-4-pyridyl S n-pentyl OH 2-methylthio-4-pyridyl S n-pentyl OH 2-pyrazinyl S n-pentyl OH 5-methyl-2-pyrazinyl S n-pentyl OH 5-methyl-2-pyrazinyl S n-pentyl OH 5-methoxy-2-pyrazinyl S n-pentyl OH 5-ethoxy-2-pyrazinyl S n-pentyl OH 5-chloro-2-pyrazinyl S n-pentyl OH 6-methyl-2-pyrazinyl S n-pentyl OH 6-methyl-2-pyrazinyl	S			2-(1-pyrrollarly) 1-pyrrollarly
S n-pentyl OH 2-methylthio-4-pyridyl S n-pentyl OH 2-pyrazinyl S n-pentyl OH 5-methyl-2-pyrazinyl S n-pentyl OH 5-ethyl-2-pyrazinyl S n-pentyl OH 5-methoxy-2-pyrazinyl S n-pentyl OH 5-ethoxy-2-pyrazinyl S n-pentyl OH 5-chloro-2-pyrazinyl S n-pentyl OH 6-methyl-2-pyrazinyl S n-pentyl OH 6-methoxy-2-pyrazinyl	S	n-pentyl		Z-piperidmo-1-pyrmy1
S n-pentyl OH 2-pyrazinyl S n-pentyl OH 5-methyl-2-pyrazinyl S n-pentyl OH 5-ethyl-2-pyrazinyl S n-pentyl OH 5-methoxy-2-pyrazinyl S n-pentyl OH 5-ethoxy-2-pyrazinyl S n-pentyl OH 5-chloro-2-pyrazinyl S n-pentyl OH 6-methyl-2-pyrazinyl S n-pentyl OH 6-methyl-2-pyrazinyl	S			2-morphomo-1-pyridyl
S n-pentyl OH 5-methyl-2-pyrazinyl S n-pentyl OH 5-ethyl-2-pyrazinyl S n-pentyl OH 5-methoxy-2-pyrazinyl S n-pentyl OH 5-ethoxy-2-pyrazinyl S n-pentyl OH 5-ethoxy-2-pyrazinyl S n-pentyl OH 6-methyl-2-pyrazinyl S n-pentyl OH 6-methyl-2-pyrazinyl	S			
S n-pentyl OH 5-ethyl-2-pyrazinyl S n-pentyl OH 5-methoxy-2-pyrazinyl S n-pentyl OH 5-ethoxy-2-pyrazinyl S n-pentyl OH 5-chloro-2-pyrazinyl S n-pentyl OH 6-methyl-2-pyrazinyl OH 6-methoxy-2-pyrazinyl	S	n-pentyl		
S n-pentyl OH 5-methoxy-2-pyrazinyl S n-pentyl OH 5-ethoxy-2-pyrazinyl S n-pentyl OH 5-chloro-2-pyrazinyl S n-pentyl OH 6-methyl-2-pyrazinyl OH 6-methoxy-2-pyrazinyl	S	n-pentyl		5 the 2 pyraziny
S n-pentyl OH 5-ethoxy-2-pyrazinyl S n-pentyl OH 5-chloro-2-pyrazinyl S n-pentyl OH 6-methyl-2-pyrazinyl OH 6-methoxy-2-pyrazinyl	S	n-pentyl		5-etnyi-z-pyrazmyi
S n-pentyl OH 5-ethoxy-2-pyrazinyl S n-pentyl OH 5-chloro-2-pyrazinyl S n-pentyl OH 6-methyl-2-pyrazinyl OH 6-methoxy-2-pyrazinyl	S	n-pentyl		5-metnoxy-z-pyrazmyi
S n-pentyl OH 5-chioro-2-pyrazinyl S n-pentyl OH 6-methyl-2-pyrazinyl OH 6-methoxy-2-pyrazinyl		n-pentyl		
S n-pentyl OH 6-methyl-2-pyrazinyl OH 6-methoxy-2-pyrazinyl		n-pentyl		5-chioro-z-pyrazinyi
OH 6-methoxy-2-pyrazinyi	·	n-pentyl		6-methyl-z-pyrazinyl
	S	n-pentyl		6-methoxy-2-pyraziny1
S n-pentyl OH 6-chloro-2-pyrazinyl			OH	6-chloro-2-pyrazinyl

		R ²	· Y
X	R ¹	OCOOMe	2-pyridyl
S	n-pentyl	OCOOMe	3-pyridyl
S	n-pentyl		4-pyridyl
S	n-pentyl	OCOOMe	2-methyl-3-pyridyl
S	n-pentyl	OCOOMe_	4-methyl-3-pyridyl
S	n-pentyl	OCOOMe	5-methyl-3-pyridyl
S	n-pentyl	OCOOMe	6-methyl-3-pyridyl
S	n-pentyl	OCOOMe	2-ethyl-3-pyridyl
S	n-pentyl	OCOOMe	4-ethyl-3-pyridyl
S	n-pentyl	OCOOMe	5-ethyl-3-pyridyl
$\frac{5}{8}$	n-pentyl	OCOOMe	6-ethyl-8-pyridyl
s	n-pentyl	OCOOMe	2-methoxy-3-pyridyl
S	n-pentyl	OCOOMe	2-methoxy-3-pyridyl
<u>s</u>	n-pentyl	OCOOMe	4-methoxy-3-pyridyl
<u>s</u>	n-pentyl	OCOOMe	5-methoxy-3-pyridyl
S	n-pentyl	OCOOM _B	6-methoxy-3-pyridyl
S	n-pentyl	OCOOMe .	2-ethoxy-3-pyridyl 4-ethoxy-3-pyridyl
- S -	n-pentyl	OCOOMe	4-ethoxy-3-pyridyl 5-ethoxy-3-pyridyl
B	n-pentyl	OCOOMe	5-ethoxy-3-pyridyl
8	n-pentyl	OCOOMe	6-ethoxy-3-pyridyl
S	n-pentyl	OCOOMe	2-chlore-3-pyridyl
S	n-pentyl	OCOOMe	4-chloro-3-pyridyl
S	n-pentyl	OCOOMe	5-chloro-8-pyridyl
S	n-pentyl	OCCOMe	6-chloro-3-pyridyl
S	n-pentyl	OCOOMe	2-fluoro-3-pyridyl
S	n-pentyl	OCOOMe	4-fluoro-3-pyridyl
S	n-pentyl	OCOOMe	5-fluoro-3-pyridyl
S	n-pentyl	OCOOMe	6-fluoro-3-pyridyl
5	n-pentyl	OCOOMe	2-dimethylamino-3-pyridyl
8	n-pentyl	OCOOMe	4-dimethylamino-3-pyridyl
S	n-pentyl	OCOOMe	5-dimethylamino-3-pyridyl
8	n-pentyl	OCOOMe	6-dimethylamino-3-pyridyl
8	n-pentyl	OCOOMe	2-(1-pyrrolidinyl)-3-pyridyl
S	n-pentyl	OCOOMe	8-(1-pyrrolidinyl)-3-pyridyl
5	n-pentyl	OCOOMe	5-(1-pyrrolidinyl)-3-pyridyl
	n-pentyl	OCOOMe	6-(1-pyrrelidinyl)-3-pyridyl
S	n-pentyl	OCOOMe	2-piperidino-3-pyridyl
S	n-pentyl	OCOOMe	4-piperidino-3-pyridyl
S	n-pentyl	OCOOMe	5-piperidino-3-pyridyl
	n-pentyl	OCOOMe	6-piperidino-3-pyridyl
S		OCOOMe	2-morpholino-3-pyriuyi
S		OCOOMe	4-morpholino-3-pyridyl
S		OCOOMe	5-morpholino-3-pyridyl
S		OCOOMe	6-morpholino-3-pyridyl
8		OCOOMe	2-hydroxy-3-pyridyl
S		OCOOM	4-hydroxy-3-pyridyl
S		0.00014	5-hydroxy-3-pyridyl
2			
- 5	n-pentyl	OCOOM	- 1

			to 0 mmidyl
	n-pentyl	OCOOMe	2-mercapto-8-pyridyl
S	n-pentyl	OCOOMe	4-mercapto-8-pyridyl
S	n-pentyl	OCOOMe	5-mercapto-3-pyridyl
S		OCOOMe	6-mercapto-3-pyridyl
S	n-pentyl	OCOOMe	2-methylthio-3-pyridyl
S	n-pentyl	OCOOMe	4-methylthio-3-pyridyl
S.	n-pentyl	OCOOMe	5-methylthio-3-pyridyl
S	n-pentyl	OCOOMe	6-methylthio-3-pyridyl
S	n-pentyl	OCOOMe	2.6-dimethyl-3-pyridyl
S	n-pentyl	OCOOMe	5.6-dimethyl-3-pyridyl
S	n-pentyl	OCOOMe	2.6-diethyl-3-pyridyl
S	n-pentyl	OCOOMe	5.6-diethyl-3-pyridyl
S	n-pentyl	OCOOMe	2 6-dimethoxy-3-pyridyl
S	n-pentyl		5,6-dimethoxy-8-pyridyl
S	n-pentyl	OCOOMe	2,6-diethoxy-3-pyridyl
S	n-pentyl	OCOOMe	5,6-diethoxy-3-pyridyl
S	n-pentyl	OCOOMe	2,6-dichloro-3-pyridyl
S	n-pentyl	OCOOMe	5,6-dichloro-3-pyridyl
S	n-pentyl	OCOOMe	5-chloro-6-methoxy-3-pyridyl
S	n-pentyl	OCOOMe	5-chloro-6-ethoxy-3-pyridyl
S	n-pentyl	OCOOMe	2-chloro-6-methyl-3-pyridyl
S	n-pentyl	OCOOMe	6-chloro-2-methyl-3-pyridyl
S	n-pentyl	OCOOMe	2-methyl-4-pyridyl
S	n-pentyl	OCOOMe	2-ethyl-4-pyridyl
S	n-pentyl	OCOOMe	2-methoxy-4-pyridyl
S	n-pentyl	OCOOMe	2-ethoxy-4-pyridyl
S	n-pentyl	OCOOMe	2-chloro-4-pyridyl
S	n-pentyl	OCOOMe	2-dimethylamino-4-pyridyl
S	n-pentyl	OCOOMe	2-dimetriyiamizeriyidyl 2-(1-pyrrolidinyl)-4-pyridyl
S	n-pentyl	OCOOMe	2-(1-pyrronumy-) - P3 2-piperidino-4-pyridyl
B	n-pentyl	OCOOMe	2-piperiamo-1 py 2-morpholino-4-pyridyl
S	n-pentyl	OCOOMe	2-morpholino 4-pyridyl
8	n-pentyl	OCOOMe	2-methyluno-r pyrazinyl
B	n-pentyl	OCOOMe	5-methyl-2-pyrazinyl
S		OCOOMe	5-methyl-2-pyrazinyl
S		OCOOMe	5-ethyl-2-pyrazinyl 5-methoxy-2-pyrazinyl
S		OCOOMe	O mmoginst
1 2		OCOUMe	
		OCOOMe	
		OCOOMe	
			6-methoxy-2-pyrazinyl
	n-penty n-penty		
	э п-репту		

X	R1	R ²	<u>Y</u>
s	n-pentyl	OCOOEt	2-pyridyl
<u>s</u>	n-pentyl	OCOOEt	3-pyridyl
$\frac{s}{s}$	n-pentyl	OCOOEt	4-pyridyl
S	n-pentyl	OCOOEt	2-methyl-3-pyridyl
S	n-pentyl	OCOOEt	4-methyl-3-pyridyl
8	n-pentyl	OCOOEt	5-methyl-3-pyridyl
8	n-pentyl	OCOOEt	6-methyl-3-pyridyl
	n-pentyl	OCOOEt	2-ethyl-3-pyridyl
S	n-pentyl	OCOOEt	4-ethyl-3-pyridyl
S	n-pentyl	OCOOEt	5-ethyl-3-pyridyl
S		OCOOEt	6-ethyl-3-pyridyl
S	n-pentyl	OCOOEt	2-methoxy-3-pyridyl
S	n-pentyl	OCOOEt	4-methoxy-3-pyridyl
S	n-pentyl	OCOOEt	5-methoxy-3-pyridyl
S	n-pentyl	OCOORt	6-methoxy-3-pyridyl
S	n-pentyl	OCOOEt	2-ethoxy-8-pyridyl
S	n-pentyl_	OCOOEt	4-ethoxy-3-pyridyl
S	n-pentyl	OCOOEt	5-ethoxy-3-pyridyl
S	n-pentyl	OCOOEt	6-ethoxy-3-pyridyl
S	n-pentyl	OCOOEt	2-chloro-3-pyridyl
S	n-pentyl	OCOOEt	4-chloro-3-pyridyl
S	n-pentyl	OCOOEt	5-chloro-3-pyridyl
S	n-pentyl	OCOOEt	6-chloro-3-pyridyl
ន	n-pentyl	OCOOEt	2-fluoro-3-pyridyl
S	n-pentyl	OCOOEt	4-fluoro-3-pyridyl
S	n-pentyl	OCOOEt	5-fluoro-3-pyridyl
S	n-pentyl	OCOOEt	6-fluoro-3-pyridyl
S	n-pentyl	OCOOEt	2-dimethylamino-8-pyridyl
S	n-pentyl	OCOOEt	4-dimethylamino-3-pyridyl
S	n-pentyl	OCOOEt	5-dimethylamino-3-pyridyl
S	n-pentyl	OCOOEt	6-dimethylamino-3-pyridyl
S	n-pentyl		2-(1-pyrrolidinyl)-3-pyridyl
S	n-pentyl	OCOOEt	3-(1-pyrrolidinyl)-3-pyridyl
S	n-pentyl	OCOOEt	5-(1-pyrrolidinyl)-3-pyridyl
S	n-pentyl	OCOOEt	6-(1-pyrrolidinyl)-3-pyridyl
S	n-pentyl	OCOOEt	2-piperidino-3-pyridyl
S	n-pentyl	OCOOEt	4-piperidino-3-pyridyl
S	n-pentyl	OCOOEt	5-piperidino-3-pyridyl
S	n-pentyl	OCOOEt	6-piperidino-3-pyridyl
S	n-pentyl	OCOOEt	2-morpholino-8-pyridyl
S	n-pentyl	OCOOEt	2-morpholino-3-pyridyl
S	n-pentyl	OCOOEt	
S	n-pentyl	OCOOEt	5-morpholino-3-pyridyl
S	n-pentyl	OCOOEt	6-morpholino-3-pyridyl
S	n-pentyl	OCOOEt	2-hydroxy-3-pyridyl
S	n-pentyl	OCOOEt	4-hydroxy-3-pyridyl
S	n-pentyl	OCOOEt	5-hydroxy-3-pyridyl
s	n-pentyl	OCOOEt	6-hydroxy-3-pyridyl

			2 - La 9 mysidyl
S	n-pentyl	OCOOEt	2-mercapto-3-pyridyl
S	n-pentyl	OCOOEt	4-mercapto-8-pyridyl
S	n-pentyl	OCOOEt	5-mercapto-3-pyridyl
- <u>s</u>	n-pentyl	OCOOEt	6-mercapto-8-pyridyl
S	n-pentyl	OCOOEt	2-methylthio-3-pyridyl
s	n-pentyl	OCOOEt	4-methylthio-3-pyridyl
S	n-pentyl	OCOOEt	5-methylthio-3-pyridyl
- s -	n-pentyl	OCOOEt	6-methylthio-3-pyridyl
- <u>s</u>	n-pentyl	OCOOEt	2,6-dimethyl-3-pyridyl
S	n-pentyl	OCOOEt	5,6-dimethyl-3-pyridyl
<u>s</u>	n-pentyl	OCOOEt	2,6-diethyl-3-pyridyl
	n-pentyl	OCOOEt	5,6-diethyl-3-pyridyl
8	n-pentyl	OCOOEt	2,6-dimethoxy-3-pyridyl
S		OCOOEt	5,6-dimethoxy-3-pyridyl
S	n-pentyl	OCOOEt	2,6-diethoxy-3-pyridyl
S	n-pentyl	OCOOEt	5,6-diethoxy-3-pyridyl
S	n-pentyl	OCOOEt	2,6-dichloro-3-pyridyl
S	n-pentyl	OCOOEt	5,6-dichloro-3-pyridyl
S	n-pentyl	OCOOEt	5-chloro-6-methoxy-3-pyridyl
S	n-pentyl	OCOOEt	5-chloro-6-ethoxy-3-pyridyl
S	n-pentyl	OCOOEt	2-chloro-6-methyl-3-pyridyl
S	n-pentyl	OCOOEt	6-chloro-2-methyl-3-pyridyl
S	n-pentyl	OCOOEt	2-methyl-4-pyridyl
8	n-pentyl	OCOOEt	2-ethyl-4-pyridyl
S	n-pentyl	OCOOEt	2-methoxy-4-pyridyl
S	n-pentyl	OCOOEt	2-ethoxy-4-pyridyl
S	n-pentyl	OCOOEt	2-chloro-4-pyridyl
S	n-pentyl	OCOOEt	2-dimethylamino-4-pyridyl
S	n-pentyl	OCOOEt	2-(1-pyrrolidinyl)-4-pyridyl
S	n-pentyl	OCOOEt	2-piperidine-4-pyridyl
S	n-pentyl	OCOOEt	2-morpholino-4-pyridyl
S	n-pentyl	OCOOEt	2-methylthio-4-pyridyl
S	n-pentyl	OCOOEt	2-pyrazinyl
S	n-pentyl	OCOOEt	5-methyl-2-pyrazinyl
S	n-pentyl	OCOOEt	5-ethyl-2-pyrazinyl
S	n-pentyl	OCOOEt	5-methoxy-2-pyrazinyl
S	n-pentyl	OCOOEt	5-ethoxy-2-pyrazinyl
S	n-pentyl		5-chloro-2-pyrazinyl
S	n-pentyl	OCOOEt	6-methyl-2-pyrazinyl
S	n-pentyl	OCOOEt	6-methyr-2-pyrazinyl
S	n-pentyl	OCOOEt	6-methoxy-2-pyrazinyl
S	n-pentyl	OCOOEt	0-cmore-2-pyramiy

			Y
X	R ¹	R ²	8-pyridyl
NH	2-methoxyethyl	OH	g-pyridyl
NH	2-methoxyethyl	OH	4-pyridyl
NH	2-methoxyethyl	OH	2-methyl-3-pyridyl
NH	2-methoxyethyl	OH	6-methyl-3-pyridyl
NH	2-methoxyethyl	OH	2-ethyl-3-pyridyl
NH	2-methoxyethyl	OH	6-ethyl-3-pyridyl
NH	2-methoxyethyl	OH	6-methoxy-3-pyridyl
NH	2-methoxyethyl	OH	6-ethoxy-3-pyridyl
NH	2-methoxyethyl	OH	2-chloro-3-pyridyl
NH	2-methoxyethyl	OH	6-chloro-3-pyridyl
	2-methoxyethyl	OH	5,6-dimethyl-3-pyridyl
NH	2-methoxyethyl	OH	5,6-dimethoxy-3-pyridyl
NH	2-methoxyethyl	OH	5,6-dichloro-3-pyridyl
NH	2-methoxyethyl	OH	6-dimethylamino-3-pyridyl
NH	2-methoxyethyl	OH	6-(1-pyrrolidinyl)-3-pyridyl
NH	2-methoxyethyl		6-piperidino-8-pyridyl
NH	2-methoxyethyl		6-morpholino-3-pyridyl
NH	2-methoxyethyl		6-methylthio-3-pyridyl
NH	2-methoxyethy	`	2-pyrazinyl
NH	2-methoxyethy		5-methyl-2-pyrazinyl
NH	3-methoxyprop)		3-pyridyl
NH	3-methoxyprop	- OTT	4-pyridyl
NH	3-methoxyprop	OTT	2-methyl-8-pyridyl
NH	3-methoxyprop		6-methyl-3-pyridyl
HM		~***	2-ethyl-3-pyridyl
NH			6-ethyl-3-pyridyl
NH			2-methoxy-3-pyridyl
NH		77	6-methoxy-3-pyridyl
NH		31	6-ethoxy-3-pyridyl
NH		32	2-chloro-3-pyridyl
NH		34	6-chloro-3-pyridyl
NE		3-	5,6-dimethyl-3-pyridyl
NE		73.4	5,6-dimethoxy-3-pyridyl
NE		77.	5.6-dichloro-3-pyridyl
NE		OTT	6-dimethylamino-3-pyridyl
NI		077	6-(1-pyrrolidinyl)-3-pyridyl
NI		77-	6-piperidino-3-pyridyl
NI		PJ-1	6-morpholino-3-pyridyl
N		077	6-methylthio-3-pyridyl
N)		PJ 2	2-pyrazinyl
N		PJ-	5-methyl-2-pyrazinyl
N.	H 3-methoxypro	pyl OH	0 1120-112

			Y
X	R1	R ²	3-pyridyl
0	2-methoxyethyl	OH	4-pyridyl
0	2-methoxyethyl	OH	
0	2-methoxyethyl	OH	2-methyl-3-pyridyl
0	2-methoxyethyl	OH	6-methyl-3-pyridyl
Ö	2-methoxyethyl	OH	2-ethyl-3-pyridyl
0	2-methoxyethyl	OH	6-ethyl-3-pyridyl
10	2-methoxyethyl	OH	6-methoxy-3-pyridyl
10	2-methoxyethyl	OH	6-ethoxy-3-pyridyl
10	2-methoxyethyl	OH	2-chloro-3-pyridyl
10	2-methoxyethyl	OH	6-chloro-3-pyridyl
0	2-methoxyethyl	OH	5,6-dimethyl-3-pyridyl
0	2-methoxyethyl	OH	5,6-dimethoxy-3-pyridyl
10	2-methoxyethyl	OH	5,6-dichloro-3-pyridyl
10	2-methoxyethyl	OH ·	6-dimethylamino-3-pyridyl
	2-methoxyethyl	OH	6-(1-pyrrolidinyl)-3-pyridyl
0	2-methoxyethyl	OH	6-piperidino-8-pyridyl
10	2-methoxyethyl	OH	6-morpholino-3-pyridyl
0	2-methoxyethyl	OH	6-methylthio-3-pyridyl
10	2-methoxyethyl	OH	2-pyrazinyl
0	2-methoxyethyl	OH	5-methyl-2-pyrazinyl
	3-methoxypropy	OH	3-pyridyl
0	3-methoxypropy		4-pyridyl
0	3-methoxypropy		2-methyl-3-pyridyl
0	3-methoxypropy		6-methyl-3-pyridyl
0	3-methoxypropy	1	2-ethyl-3-pyridyl
0	3-methoxypropy		6-ethyl-3-pyridyl
	3-methoxypropy		2-methoxy-3-pyridyl
0	3-methoxypropy	- 	6-methoxy-3-pyridyl
0	3-methoxypropy	4	6-ethoxy-3-pyridyl
0	3-methoxypropy		2-chloro-3-pyridyl
0	3-methoxyprop	<u></u>	6-chloro-3-pyridyl
0			5,6-dimethyl-3-pyridyl
0	3-methoxypropy	/ -	5,6-dimethoxy-3-pyridyl
0	3-methoxyprop	/	5,6-dichloro-3-pyridyl
0	3-methoxyprop	/-	6-dimethylamino-3-pyridyl
0	3-methoxyprop	/- 	6-(1-pyrrolidinyl)-3-pyridyl
0	3-methoxyprop	7-1	6-piperidino-8-pyridyl
0	3-methoxyprop	<u> </u>	6-morpholino-3-pyridyl
0	3-methoxyprop	71	6-methylthio-3-pyridyl
0	3-methoxyprop	7-	2-pyrazinyl
0	3-methoxyprop	3-1	5-methyl-2-pyrazinyl
0	3-methoxyprop	oyl OH	J-memj1 ~ 27

S 2-methoxyethyl		- D) [·	R²	Ÿ
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S 2-hydroxyethyl OH 2-pyrazinyl	S			
S Z-nydroxyeddyl	S		<u> </u>	
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	S	2-hydroxyethy	1 OH	5-methyl-2-pyrazinyl

WO 02/085905

		R ²	Y
Х	R ¹	OH	1-naphthyl
NH	propyl	OH	2-naphthyl
NH	propyl	OH	2-pyrrolyl
NH	propyl	OH	3-pyrrolyl
NH	propyl	OH	2-furyl
NH	propyl	OH	3-furyl
NH	propyl	OH	2-thienyl
NH	propyl	OH	3-thienyl
NH	propyl	OH	3-pyrazolyl
NH	propyl	OH OH	4-pyrazolyl
NH	propyl	OH	2-imidazolyl
NH	propyl	OH OH	4-imidazolyl
NH	propyl	OH	2-oxazolyl
NH	propyl	OH	4-oxazolyl
NH	propyl	OH	5-oxazolyl
NH	propyl	OH	2-thiazolyl
NH	propyl	OH OH	4-thiazolyl
NH	propyl	OH	5-thiazolyl
NH	propyl	OH	2-pyrimidinyl
NH	propyl	OH	4-pyrimidinyl
NH	propyl	OH	5-pyrimidinyl
NH	propyl	OH	2-indolyl
NH	propyl	OH	3-indolyl
NH	propyl	OH	5-indolyl
NH	propyl	OH	6-indolyl
NH	propyl	ОН	5-benzimidazolyl
NH	propyl	OH	2-benzofuryl
NH	propyl	OH	3-indazolyl
NH	propyl	ОН	2-benzoxazolyl
NH	propyl	OH	4-fluoro-1-naphthyl
NH	propyl	OH	5-chloro-2-thienyl
NH	propyl	ОН	4-methyl-1-naphthyl
NH	propyl	OH	1-methyl-2-pyrrolyl
NH	propyl	OH	2-methyl-3-furyl
NH	propyl propyl	OH	5-methyl-2-thienyl
NH		OH	4-methyl-5-imidazolyl
NH	propyl	OH	1-methyl-3-indolyl
NH	propyl propyl	OH	2-methoxy-1-naphthyl
NH		OH	3-methoxy-2-naphthyl
NH	propyl	ОН	6-ethoxy-2-naphthyl
NH	propyl	ОН	5-methoxy-3-indolyl
NH		OH	1,4-dimethoxy-2-naphthyl
NH		ОН	5,6-dimethoxy-2-indolyl
NH		OH	5-methoxy-1-methyl-2-indolyl
NH	propyl		

			<u>Y</u>
X	R ¹	R ²	1-naphthyl
NH	propyl	OCOOMe	2-naphthyl
NH	propyl	OCOOMe	
NH	propyl	OCOOMe	2-pyrrolyl
NH	propyl	OCOOMe	3-pyrrolyl
NH	propyl	OCOOMe	2-furyl
NH	propyl	OCOOMe	3-furyl
NH	propyl	OCOOMe	2-thienyl
NH	propyl	OCOOMe	3-thienyl
NH	propyl	OCOOMe	3-pyrazolyl
NH	propyl	OCOOMe	4-pyrazolyl
NH	propyl	OCOOMe	2-imidazolyl
NH	ргоруі	OCOOMe	4-imidazolyl
NH	propyl	OCOOMe	2-oxazolyl
NH	propyl	OCOOMe	4-oxazolyl
NH	propyl	OCOOMe	5-oxazolyl
NH	propyl	OCOOMe	2-thiazolyl
NH	propyl	OCOOMe	4-thiazolyl
NH	propyl	OCOOMe	5-thiazolyl
NH	propyl	OCOOMe	2-pyrimidinyl
	propyl	OCOOMe	4-pyrimidinyl
NH	propyl	OCOOMe	5-pyrimidinyl
NH	propyl	OCOOMe	2-indolyl
NH	propyl	OCOOMe	3-indolyl
NH	propyl	OCOOMe	5-indolyl
NH	propyl	OCOOMe	6-indolyl
NH	propyl	OCOOMe	5-benzimidazolyl
NH	propyl	OCOOMe	2-benzofuryl
NH	propyl	OCOOMe	3-indazolyl
NH	propyl	OCOOMe	2-benzoxazolyl
NH	propyl	OCOOMe	4-fluoro-1-naphthyl
NH	propyl	OCOOMe	5-chloro-2-thienyl
NH	propyl	OCOOMe	4-methyl-1-naphthyl
NH	propyl	OCOOMe	1-methyl-2-pyrrolyl
NH	propyl	OCOOMe	2-methyl-3-furyl
NH	propyl	OCOOMe	5-methyl-2-thienyl
NH	propyl	OCOOMe	4-methyl-5-imidazolyl
NH		OCOOMe	1-methyl-3-indolyl
NH	propyl propyl	OCOOMe	2-methoxy-1-naphthyl
NH		OCOOMe	3-methoxy-2-naphthyl
NH		OCOOMe	6-ethoxy-2-naphthyl
NH		OCOOMe	5-methoxy-3-indolyl
NH		OCOOMe	1,4-dimethoxy-2-naphthyl
NH		OCOOMe	5.6-dimethoxy-2-indolyl
NH		OCOOMe	5-methoxy-1-methyl-2-indolyl
NH	propyl	00001120	

	R ¹	R ²	Y
NH	propyl	OCOOEt	1-naphthyl
NH	propyl	OCOOEt	2-naphthyl
NH	propyl	OCOOEt	2-pyrrolyl
NH	propyl	OCOOEt	3-pyrrolyl
NH	propyl	OCOOEt	2-furyl
NH	propyl	OCOOEt	3-furyl
NH	propyl	OCOOEt	2-thienyl
NH	propyl	OCOOEt	3-thienyl
NH	propyl	OCOOEt	3-pyrazolyl
NH	propyl	OCOOEt	4-pyrazolyl
NH ·	propyl	OCOOEt	2-imidazolyl
NH	propyl	OCOOEt	4-imidazolyl
NH	propyl	OCOOEt	2-oxazolyl
NH	propyl	OCOOEt	4-oxazolyl
NH	propyl	OCOOEt	5-oxazolyl
NH	propyl	OCOOEt	2-thiazolyl
NH	propyl	OCOOEt	4-thiazolyl
NH	propyl	OCOOEt	5-thiazolyl
NH	propyl	OCOOEt	2-pyrimidinyl
NH	propyl	OCOOEt	4-pyrimidinyl
NH	propyl	OCOOEt	5-pyrimidinyl
NH	propyl	OCOOEt	2-indolyl
NH	propyl	OCOOEt	3-indolyl
NH	propyl	OCOOEt	5-indolyl
NH	propyl	OCOOEt	6-indolyl
NH	propyl	OCOOEt	5-benzimidazolyl
NH	propyl	OCOOEt	2-benzofuryl
NH	propyl	OCOOEt	3-indazolyl
NH	propyl	OCOOEt	2-benzoxazolyl
NH		OCOOEt	4-fluoro-1-naphthyl
NH		OCOOEt	5-chloro-2-thienyl
NH		OCOOEt	4-methyl-1-naphthyl
NH		OCOOEt	1-methyl-2-pyrrolyl
NH		OCOOEt	2-methyl-3-furyl
NH		OCOOEt	5-methyl-2-thienyl
NH		OCOOEt	4-methyl-5-imidazolyl
NH		OCOOEt	1-methyl-3-indolyl
NH		OCOOEt	2-methoxy-1-naphthyl
NH		OCOOEt	3-methoxy-2-naphthyl
NH		OCOOEt	6-ethoxy-2-naphthyl
NE		OCOOEt	5-methoxy-3-indolyl
NE		OCOOEt	1,4-dimethoxy-2-naphthyl
NE		OCOOEt	5,6-dimethoxy-2-indolyl
NI		OCOOEt	5-methoxy-1-methyl-2-indolyl

X R¹ R² Y NH n-butyl OH 1-naphthy NH n-butyl OH 2-naphthy NH n-butyl OH 3-pyrroly NH n-butyl OH 3-furyl NH n-butyl OH 4-pyrazo NH n-butyl OH 4-pyrazo NH n-butyl OH 4-imidazo NH n-butyl OH 4-oxazo NH n-butyl OH 5-oxazo NH n-butyl OH 4-thiazo NH n-butyl OH <	yl
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7-henzo	
NH n-butyi	
NH n-butyl OH 3-indaz	
NH n-butyl OH 2-benzox	
NH n-butyl OH 4-fluoro-1-	
NH n-butyl OH 5-chloro-2	
NH n-butyl OH 4-methyl-1-	
n-butyl OH 1-methyl-2	
NH n-butyl OH 2-methyl-	
NH n-butyl OH 5-methyl-2	
NH n-butyl OH 4-methyl-5-	
NH n-butyl OH 1-methyl-	
NH n-butyl OH 2-methoxy-	3-indolyl
n-butyl OH 3-methoxy-	3-indolyl 1-naphthyl
n-hutyl OH 6-ethoxy-2	i-indolyl I-naphthyl 2-naphthyl
NIII n-hutyl OH 5-methoxy	3-indolyl 1-naphthyl 2-naphthyl -naphthyl
n-butyl OH 1,4-dimethox	3-indolyl 1-naphthyl 2-naphthyl -naphthyl 3-indolyl
n-hutyl OH 5,6-dimethor	a-indolyl l-naphthyl 2-naphthyl -naphthyl -3-indolyl y-2-naphthyl
NH n-butyl OH 5-methoxy-1-m	a-indolyl l-naphthyl 2-naphthyl -naphthyl -3-indolyl y-2-naphthyl

X	R ¹	R ²	Y
NH	n-butyl	OCOOMe	1-naphthyl
NH	n-butyl	OCOOMe	2-naphthyl
NH	n-butyl	OCOOMe	2-pyrrolyl
NH	n-butyl	OCOOMe	3-pyrrolyl
NH	n-butyl	OCOOMe	2-furyl
NH	n-butyl	OCOOMe	3-furyl
NH	n-butyl	OCOOMe	2-thienyl
NH	n-butyl	OCOOMe	3-thienyl
NH	n-butyl	OCOOMe	3-pyrazolyl
NH	n-butyl	OCOOMe	4-pyrazolyl
NH	n-butyl	OCOOMe	2-imidazolyl
NH	n-butyl	OCOOMe	4-imidazolyl
NH	n-butyl	OCOOMe	2-oxazolyl
L	n-butyl	OCOOMe	4-oxazolyl
NH NH	n-butyl	OCOOMe	5-oxazolyl
	n-butyl	OCOOMe	2-thiazolyl
NH	n-butyl	OCOOMe	4-thiazolyl
NH	n-butyl	OCOOMe	5-thiazolyl
NH	n-butyl	OCOOMe	2-pyrimidinyl
NH	n-butyl	OCOOMe	4-pyrimidinyl
NH	n-butyl	OCOOMe	5-pyrimidinyl
NH	n-butyl	OCOOMe	2-indolyl
NH	n-butyl	OCOOMe	3-indolyl
NH	n-butyl	OCOOMe	5-indolyl
NH ·	n-butyl	OCOOMe	6-indolyl
NH	n-butyl	OCOOMe	5-benzimidazolyl
NH	n-butyl	OCOOMe	2-benzofuryl
NH	n-butyl	OCOOMe	3-indazolyl
NH	n-butyl	OCOOMe	2-benzoxazolyl
NH	n-butyl	OCOOMe	4-fluoro-1-naphthyl
NH	n-butyl	OCOOMe	5-chloro-2-thienyl
NH	n-butyl	OCOOMe	4-methyl-1-naphthyl
NH		OCOOMe	1-methyl-2-pyrrolyl
NH	n-butyl n-butyl	OCOOMe	2-methyl-3-furyl
NH		OCOOMe	5-methyl-2-thienyl
NH	n-butyl	OCOOMe	4-methyl-5-imidazolyl
NH	n-butyl	OCOOMe	1-methyl-3-indolyl
NH	n-butyl	OCOOMe	2-methoxy-1-naphthyl
NH	n-butyl	OCOOMe	3-methoxy-2-naphthyl
NH	n-butyl	OCOOMe	6-ethoxy-2-naphthyl
NH	n-butyl	OCOOMe	5-methoxy-3-indolyl
NH	n-butyl	OCOOMe	1,4-dimethoxy-2-naphthyl
NH	. n-butyl	OCOOMe	5,6-dimethoxy-2-indolyl
NH	n-butyl		5-methoxy-1-methyl-2-indolyl
NH	n-butyl .	OCOOMe	J-momony = -

		R ²	Y
X	R¹	OCOOEt	1-naphthyl
NH	n-butyl		2-naphthyl
NH	n-butyl	OCOOEt	2-pyrrolyl
NH	n-butyl	OCOOEt .	3-pyrrolyl
NH	n-butyl	OCOOEt	2-furyl
NH	n-butyl	OCOOEt	3-furyl
NH	n-butyl	OCOOEt	2-thienyl
NH	n-butyl	OCOOEt	3-thienyl
NH	n-butyl	OCOOEt	3-pyrazolyl
NH	n-butyl	OCOOEt	4-pyrazolyl
NH	n-butyl	OCOOEt	2-imidazolyl
NH	n-butyl	OCOOEt	2-imidazolyl 4-imidazolyl
NH	n-butyl	OCOOEt	
NH	n-butyl	OCOOEt	2-oxazolyl
NH	n-butyl	OCOOEt	4-oxazolyl
NH	n-butyl	OCOOEt	5-oxazolyl
NH	n-butyl	OCOOEt	2-thiazolyl
NH	n-butyl	OCOOEt	4-thiazolyl
NH	n-butyl	OCOOEt	5-thiazolyl
NH	n-butyl	OCOOEt	2-pyrimidinyl
NH	n-butyl	OCOOEt	4-pyrimidinyl
NH	n-butyl	OCOOEt	5-pyrimidinyl
NH	n-butyl	OCOOEt	2-indolyl
NH	n-butyl	OCOOEt	3-indolyl
NH	n-butyl	OCOOEt	5-indolyl
NH	n-butyl	OCOOEt	6-indolyl
NH	n-butyl	OCOOEt	5-benzimidazolyl
NH	n-butyl	OCOOEt	2-benzofuryl
NH	n-butyl	OCOOEt	3-indazolyl
NH	n-butyl	OCOOEt	2-benzoxazolyl
NH	n-butyl	OCOOEt	4-fluoro-1-naphthyl
NH	n-butyl	OCOOEt	5-chloro-2-thienyl
NH	n-butyl	OCOOEt	4-methyl-1-naphthyl
NH	n-butyl	OCOOEt	1-methyl-2-pyrrolyl
NH	n-butyl	OCOOEt	2-methyl-3-furyl
NH	n-butyl	OCOOEt	5-methyl-2-thienyl
NH	n-butyl	OCOOEt	4-methyl-5-imidazolyl
NH		OCOOEt	1-methyl-3-indolyl
NH		OCOOEt	2-methoxy-1-naphthyl
NH		OCOOEt	3-methoxy-2-naphthyl
NH		OCOOEt	6-ethoxy-2-naphthyl
NH		OCOOEt	5-methoxy-3-indolyl
NH		OCOOEt	1,4-dimethoxy-2-naphthyl
NH		OCOOEt	5,6-dimethoxy-2-indolyl
ļ		OCOOEt	5-methoxy-1-methyl-2-indolyl
NH	H-Dutyl		

		R ²	Y
Х	R ¹	OH	1-naphthyl
NH	n-pentyl		2-naphthyl
NH	n-pentyl	OH	2-pyrrolyl
NH	n-pentyl	ОН	3-pyrrolyl
NH	n-pentyl	OH	2-furyl
NH	n-pentyl	OH	3-furyl
NH	n-pentyl	OH	2-thienyl
NH	n-pentyl	ОН	3-thienyl
NH	n-pentyl	OH	3-pyrazolyl
NH	n-pentyl	OH	4-pyrazolyl
NH	n-pentyl	OH	4-pyrazolyl 2-imidazolyl
NH	n-pentyl	OH	2-imidazolyl 4-imidazolyl
NH	n-pentyl	OH	
NH	n-pentyl	ОН	2-oxazolyl
NH	n-pentyl	OH	4-oxazolyl
NH	n-pentyl	OH	5-oxazolyl
NH	n-pentyl	OH	2-thiazolyl
NH	n-pentyl	ОН	4-thiazolyl
NH	n-pentyl	OH	5-thiazolyl
NH	n-pentyl	ОН	2-pyrimidinyl
NH	n-pentyl	OH	4-pyrimidinyl
NH	n-pentyl	OH	5-pyrimidinyl
NH	n-pentyl	OH	2-indolyl
NH	n-pentyl	ОН	3-indolyl
NH	n-pentyl	OH	5-indolyl
NH	n-pentyl	ОН	6-indolyl
NH	n-pentyl	OH	5-benzimidazolyl
NH	n-pentyl	OH	2-benzofuryl
	n-pentyl	OH	3-indazolyl
NH	n-pentyl	ОН	2-benzoxazolyl
NH	n-pentyl	OH	4-fluoro-1-naphthyl
NH	n-pentyl	OH	5-chloro-2-thienyl
NH	n-pentyl	OH	4-methyl-1-naphthyl
NH	n-pentyl	OH	1-methyl-2-pyrrolyl
NH	n-pentyl	OH	2-methyl-3-furyl
NH		ОН	5-methyl-2-thienyl
NH	n-pentyl	ОН	4-methyl-5-imidazolyl
NH		OH	1-methyl-3-indolyl
NH		ОН	2-methoxy-1-naphthyl
NH		ОН	3-methoxy-2-naphthyl
NH		OH	6-ethoxy-2-naphthyl
NH		OH	5-methoxy-3-indolyl
NH			1,4-dimethoxy-2-naphthyl
NH		OH	5,6-dimethoxy-2-indolyl
NH		OH	5-methoxy-1-methyl-2-indoly
NH	I n-pentyl	OH	J-memory 1 memory

		R ¹	R ²	Y
<u> </u>			OCOOMe	1-naphthyl
NI		n-pentyl	OCOOMe	2-naphthyl
NI		n-pentyl	OCOOMe	2-pyrrolyl
NI		n-pentyl	OCOOMe	3-pyrrolyl
N)		n-pentyl	OCOOMe	2-furyl
N		n-pentyl	OCOOMe	3-furyl
N		n-pentyl	OCOOMe OCOOMe	2-thienyl
N	H	n-pentyl	OCOOMe	3-thienyl
N	H	n-pentyl	OCOOMe .	3-pyrazolyl
N	H	n-pentyl	OCOOMe	4-pyrazolyl
N	H	n-pentyl		2-imidazolyl
N	TH	n-pentyl	OCOOMe OCOOMe	4-imidazolyl
N	1H	n-pentyl		2-oxazolyl
N	1H	n-pentyl	OCOOMe	4-oxazolyl
J	νH	n-pentyl	OCOOMe	5-oxazolyl
1	1H	n-pentyl	OCOOMe	2-thiazolyl
1	NH	n-pentyl	OCOOMe	4-thiazolyl
1	NH	n-pentyl	OCOOMe	5-thiazolyl
1	NH	n-pentyl	OCOOMe	2-pyrimidinyl
7	NH	n-pentyl	OCOOMe	4-pyrimidinyl
	NH	n-pentyl	OCOOMe	5-pyrimidinyl
	NH	n-pentyl	OCOOMe	2-indolyl
	NH	n-pentyl	OCOOMe	3-indolyl
	NH	n-pentyl	OCOOMe	5-indolyl
	NH	n-pentyl	OCOOMe	6-indolyl
	NH	n-pentyl	OCOOMe	5-benzimidazolyl
	NH	n-pentyl	OCOOMe	2-benzofuryl
	NH	n-pentyl	OCOOMe	3-indazolyl
	NH	n-pentyl	OCOOMe	2-benzoxazolyl
	NH	n-pentyl	OCOOMe	4-fluoro-1-naphthyl
	NH	n-pentyl	OCOOMe	5-chloro-2-thienyl
	NH	n-pentyl	OCOOMe	4-methyl-1-naphthyl
	NH	n-pentyl	OCOOMe	1-methyl-2-pyrrolyl
	NH	n-pentyl	OCOOMe	2-methyl-3-furyl
F	NH	n-pentyl	OCOOMe	5-methyl-2-thienyl
	NH	n-pentyl	OCOOMe	4-methyl-5-imidazolyl
	NH	n-pentyl	OCOOMe	1-methyl-3-indolyl
	NH	n-pentyl	OCOOMe	2-methoxy-1-naphthyl
	NH	n-pentyl	OCOOMe	3-methoxy-2-naphthyl
F	NH	n-pentyl	OCOOMe	6-ethoxy-2-naphthyl
r	NH	n-pentyl	OCOOMe	6-ethoxy-2-naphthyl 5-methoxy-3-indolyl
۲	NH	n-pentyl	OCOOMe	
t	NH	n-pentyl	OCOOMe	1,4-dimethoxy-2-naphthyl
t	NH	n-pentyl	OCOOMe	5,6-dimethoxy-2-indolyl
H	NH	n-pentyl	OCOOMe	5-methoxy-1-methyl-2-indolyl

- ;, 	R ¹	R ²	Y
X		OCOOEt	1-naphthyl
NH	n-pentyl	OCOOEt	2-naphthyl
NH	n-pentyl	OCOOEt	2-pyrrolyl
NH	n-pentyl	OCOOEt	3-pyrrolyl
NH	n-pentyl	OCOOEt	2-furyl
NH	n-pentyl	OCOOEt	3-furyl
NH	n-pentyl	OCOOEt	2-thienyl
NH	n-pentyl	OCOOEt	3-thienyl
NH	n-pentyl	OCOOEt	3-pyrazolyl
NH	n-pentyl	OCOOEt	4-pyrazolyl
NH	n-pentyl	OCOOEt	2-imidazolyl
NH	n-pentyl	OCOOEt	4-imidazolyl
NH	n-pentyl	OCOOEt	2-oxazolyl
NH	n-pentyl	OCOOEt	4-oxazolyl
NH	n-pentyl	OCOOEt	5-oxazolyl
NH	n-pentyl	OCOOEt	2-thiazolyl
NH	n-pentyl	OCOOEt	4-thiazelyl
NH	n-pentyl	OCOOEt	5-thiazolyl
NH	n-pentyl	OCOOEt	2-pyrimidinyl
NH	n-pentyl	OCOOEt	4-pyrimidinyl
NH	n-pentyl	OCOOEt	5-pyrimidinyl
NH	n-pentyl	OCOOEt	2-indolyl
NH	n-pentyl	OCOOEt	3-indolyl
NH	n-pentyl	OCOOEt	5-indolyl
NH	n-pentyl	OCOOEt	6-indolyl
NH	n-pentyl	OCOOEt	5-benzimidazolyl
NH	n-pentyl	OCOOEt	2-benzofuryl
NH	n-pentyl	OCOOEt	3-indazolyl
NH	n-pentyl	OCOOEt	2-benzoxazolyl
NH	n-pentyl	OCOOEt	4-fluoro-1-naphthyl
NH	n-pentyl n-pentyl	OCOOEt	5-chloro-2-thienyl
NH		OCOOEt	4-methyl-1-naphthyl
NH	n-pentyl n-pentyl	OCOOEt	1-methyl-2-pyrrolyl
NH		OCOOEt	2-methyl-3-furyl
NH	n-pentyl	OCOOEt	5-methyl-2-thienyl
NH	n-pentyl	OCOOEt	4-methyl-5-imidazolyl
NH	n-pentyl	OCOOEt	1-methyl-3-indolyl
NH	n-pentyl	OCOOEt	2-methoxy-1-naphthyl
NH	n-pentyl	OCOOEt	3-methoxy-2-naphthyl
NH	n-pentyl	OCOOEt	6-ethoxy-2-naphthyl
NH	n-pentyl	OCOOEt	5-methoxy-3-indolyl
NH	n-pentyl	OCOOEt	1,4-dimethoxy-2-naphthyl
NH	n-pentyl	OCOOEt	5,6-dimethoxy-2-indolyl
NH	n-pentyl	OCOOEt	5-methoxy-1-methyl-2-indolyl
NH	n-pentyl	OCOUBI	

X		R¹	R ²	Y .
0		propyl	OH	1-naphthyl
0		propyl	OH	2-naphthyl
0		propyl	OH	2-pyrrolyl
0		propyl	OH	3-pyrrolyl
0		propyl	OH	2-furyl
0		propyl	OH	3-furyl
0		ргоруі	OH	2-thienyl
0		propyl	OH	3-thienyl
0		propyl	OH	3-pyrazolyl
<u> </u>		propyl	OH	4-pyrazolyl
C		propyl	OH	2-imidazolyl
1		propyl	OH	4-imidazolyl
1		propyl	OH	2-oxazolyl
-		propyl	OH	4-oxazolyl
	5	propyl	OH	5-oxazolyl
	0	propyl	OH	2-thiazolyl
	0	propyl	ОН	4-thiazolyl
	0	propyl	ОН	5-thiazolyl
	ŏ †	propyl	OH	2-pyrimidinyl
	0	propyl	OH	4-pyrimidinyl
	0	propyl	OH	5-pyrimidinyl
	0	propyl	OH	2-indolyl
	ŏ	propyl	ОН	3-indolyl
-	0	propyl	OH	5-indolyl
-	ō	propyl	OH	6-indolyl
\vdash	0	propyl	OH	5-benzimidazolyl
	0	propyl	OH	2-benzofuryl
-	0	propyl	OH	3-indazolyl
	0	propyl	OH	2-benzoxazolyl
<u> </u>	0	propyl	OH	4-fluoro-1-naphthyl
	0	propyl	OH	5-chloro-2-thienyl
-	0	propyl	OH	4-methyl-1-naphthyl
<u> </u>	0	propyl	OH	1-methyl-2-pyrrolyl
上	0	propyl	OH	2-methyl-3-furyl
\vdash	- 0	propyl	OH	5-methyl-2-thienyl
-	0	propyl	OH	4-methyl-5-imidazolyl
-	-	propyl	ОН	1-methyl-3-indolyl
-	0	propyl	ОН	2-methoxy-1-naphthyl
-	0	propyl	OH	3-methoxy-2-naphthyl
\vdash	- 0	propyl	OH	6-ethoxy-2-naphthyl
 -	- 0	propyl	ОН	5-methoxy-3-indolyl
 -	- 0	ropyl propyl	OH	1,4-dimethoxy-2-naphthyl
\vdash	-0 -	propyl	OH	5,6-dimethoxy-2-indolyl
-		propyl	OH	5-methoxy-1-methyl-2-indolyl
L	0	brobar		

			Y
х	R ⁱ	R ²	
0	propyl	OCOOMe	1-naphthyl
0	propyl	OCOOMe	2-naphthyl
0	propyl	OCOOMe	2-pyrrolyl
0	propyl	OCOOMe	3-pyrrolyl
0	propyl	OCOOMe	2-furyl
0	propyl	OCOOMe	3-furyl
0	propyl	OCOOMe	2-thienyl
0	propyl	OCOOMe	3-thienyl
0	propyl	OCOOMe	3-pyrazolyl
0	propyl	OCOOMe	4-pyrazolyl
0	propyi	OCOOMe	2-imidazolyl
0	propyl	OCOOMe	4-imidazolyl
0	propyl	OCOOMe	2-oxazolyl
0	propyl	OCOOMe	4-oxazolyl
0	propyl	OCOOMe	5-oxazolyl
0	propyl	OCOOMe	2-thiazolyl
0	propyl	OCOOMe	4-thiazolyl
0	propyl	OCOOMe	5-thiazolyl
0	propyl	OCOOMe	2-pyrimidinyl
0	propyl	OCOOMe	4-pyrimidinyl
0	propyl	OCOOMe	5-pyrimidinyl
0	propyl	OCOOMe	2-indolyl
0	propyl	OCOOMe	3-indolyl
0	propyl	OCOOMe	5-indolyl
0	propyl	OCOOMe	6-indolyl
0	propyl	OCOOMe	5-benzimidazolyl
0	propyl	OCOOMe	2-benzofuryl
0	propyl	OCOOMe	3-indazolyl
0	propyl	OCOOMe	2-benzoxazolyl
0	propyl	OCOOMe	4-fluoro-1-naphthyl
0	propyl	OCOOMe	5-chloro-2-thienyl
0	propyl	OCOOMe	4-methyl-1-naphthyl
0	propyl .	OCOOMe	1-methyl-2-pyrrolyl
0	propyl	OCOOMe	2-methyl-3-furyl
0	propyl	OCOOMe	5-methyl-2-thienyl
0	propyl	OCOOMe	4-methyl-5-imidazolyl
10	propyl	OCOOMe	1-methyl-3-indolyl
10	propyl	OCOOMe	2-methoxy-1-naphthyl
0	propyl	OCOOMe	3-methoxy-2-naphthyl
0	propyl	OCOOMe	6-ethoxy-2-naphthyl
0	propyl	OCOOMe	5-methoxy-3-indolyl
0	· propyl	OCOOMe	1,4-dimethoxy-2-naphthyl
0	propyl	OCOOMe	5,6-dimethoxy-2-indolyl
	propyl	OCOOMe	5-methoxy-1-methyl-2-indolyl
0	- hroby:		

х	R ¹	R ²	Y
0	propyl	OCOOEt	1-naphthyl
0	propyl	OCOOEt	2-naphthyl
0	propyl	OCOOEt	2-pyrrolyl
0	propyl	OCOOEt	3-pyrrolyl
0	propyl	OCOOEt	2-furyl
0	propyl	OCOOEt	3-furyl
0	propyl	OCOOEt	2-thienyl
0	propyl	OCOOEt	3-thienyl
0	propyl	OCOOEt	3-pyrazolyl
0	propyl	OCOOEt	4-pyrazolyl
-6 -+	propyl	OCOOEt	2-imidazolyl
0	propyl	OCOOEt	4-imidazolyl
0	propyl	OCOOEt	2-oxazolyl
0	propyl	OCOOEt	4-oxazolyl
0	propyl	OCOOEt	5-oxazolyl
0	propyl	OCOOEt	2-thiazolyl
0	propyl	OCOOEt	4-thiazolyl
0	propyl	OCOOEt	5-thiazolyl
0	propyl	OCOOEt	2-pyrimidinyl
0	propyl	OCOOEt	4-pyrimidinyl
-0-	propyl	OCOOEt	5-pyrimidinyl
-0	propyl	OCOOEt	2-indolyl
0	propyl	OCOOEt	3-indolyl
0	propyl	OCOOEt	5-indolyl
0	propyl	OCOOEt	6-indolyl
0	propyl	OCOOEt	5-benzimidazolyl
0	propyl	OCOOEt	2-benzofuryl
0	propyl	OCOOEt	3-indazolyl
 0	propyl	OCOOEt	2-benzoxazolyl
0	propyl	OCOOEt	4-fluoro-1-naphthyl
0	propyl	OCOOEt	5-chloro-2-thienyl
0	propyl	OCOOEt	4-methyl-1-naphthyl
0	propyl	OCOOEt	1-methyl-2-pyrrolyl
0	propyl	OCOOEt	2-methyl-3-furyl
0	propyl	OCOOEt	5-methyl-2-thienyl
0	propyl	OCOOEt	4-methyl-5-imidazolyl
0	propyl	OCOOEt	1-methyl-3-indolyl
0	propyl	OCOOEt	2-methoxy-1-naphthyl
. 0	propyl	OCOOEt	3-methoxy-2-naphthyl
0	propyl	OCOOEt	6-ethoxy-2-naphthyl
0	propyl	OCOOEt	5-methoxy-3-indolyl
0	propyl	OCOOEt	1,4-dimethoxy-2-naphthyl
0	propyl	OCOOEt	5,6-dimethoxy-2-indolyl
0	propyl	OCOOEt	5-methoxy-1-methyl-2-indoly

-	R¹	R ²	Y
X 0	n-butyl	OH	1-naphthyl
0	n-butyl	OH	2-naphthyl
	n-butyl	OH	2-pyrrolyl
0	n-butyl	ОН	3-pyrrolyl
0	n-butyl	OH	2-furyl
0	n-butyl	ОН	3-furyl
0	n-butyl	ОН	2-thienyl
0	n-butyl	OH	3-thienyl
0	n-butyl	OH	3-pyrazolyl
0	n-butyl	OH	4-pyrazolyl
0	n-butyl	OH	2-imidazolyl
0	n-butyl	OH	4-imidazolyl
0	n-butyl	OH	2-oxazolyl
0	n-butyl	OH	4-oxazolyl
0	n-butyl	OH	5-oxazolyl
0	n-butyl	OH	2-thiazolyl
0	n-butyl	OH	4-thiazolyl
0		OH	5-thiazolyl
0	n-butyl	OH	2-pyrimidinyl
0	n-butyl	OH	4-pyrimidinyl
0	n-butyl	OH	5-pyrimidinyl
0	n-butyl	OH	2-indolyl
0	n-butyl	OH	3-indolyl
0	n-butyl	OH	5-indolyl
0	n-butyl	ОН	6-indolyl
0	n-butyl	OH	5-benzimidazolyl
0	n-butyl	OH	2-benzofuryl
0	n-butyl	OH	3-indazolyl
0	n-butyl	OH	2-benzoxazolyl
0	n-butyl	OH	4-fluoro-1-naphthyl
0	n-butyl	OH	5-chloro-2-thienyl
0	n-butyl	OH	4-methyl-1-naphthyl
0	n-butyl	OH	1-methyl-2-pyrrolyl
0	n-butyl	OH	2-methyl-3-furyl
0	n-butyl	OH	5-methyl-2-thienyl
0	n-butyl_	OH	4-methyl-5-imidazolyl
0	n-butyl	OH	1-methyl-3-indolyl
0	n-butyl	OH	2-methoxy-1-naphthyl
0		ОН	3-methoxy-2-naphthyl
0		OH	6-ethoxy-2-naphthyl
0		OH	5-methoxy-3-indolyl
0	n-butyl	OH	1.4-dimethoxy-2-naphthyl
C	n-butyl		5.6-dimethoxy-2-indolyl
0	n-butyl	OH	5-methoxy-1-methyl-2-indolyl
	n-butyl	OH	J III.

		·	Y
Х	R ¹	R ²	1-naphthyl
0	n-butyl	OCOOMe	
0	n-butyl	OCOOMe	2-naphthyl
0	n-butyl	OCOOMe	2-pyrrolyl
0	n-butyl	OCOOMe	3-pyrrolyl
0	n-butyl	OCOOMe	2-furyl
0	n-butyl	OCOOMe	3-furyl
0	n-butyl	OCOOMe	2-thienyl
0	n-butyl	OCOOMe	3-thienyl
10	n-butyl	OCOOMe	3-pyrazolyl
0	n-butyl	OCOOMe	4-pyrazolyl
0	n-butyl	OCOOMe	2-imidazolyl
0	n-butyl	OCOOMe	4-imidazolyl
0	n-butyl	OCOOMe	2-oxazolyl
0	n-butyl	OCOOMe	4-oxazolyl
	n-butyl	OCOOMe	5-oxazolyl
0	n-butyl	OCOOMe	2-thiazolyl
0	n-butyl	OCOOMe	4-thiazolyl
0	n-butyl	OCOOMe	5-thiazolyl
0	n-butyl	OCOOMe	2-pyrimidinyl
0	n-butyl	OCOOMe	4-pyrimidinyl
0	n-butyl	OCOOMe	5-pyrimidinyl
0	n-butyl	OCOOMe	2-indolyl
0	n-butyl	OCOOMe	3-indolyl
0	n-butyl	OCOOMe	5-indolyl
0	n-butyl	OCOOMe	6-indolyl
0	n-butyl	OCOOMe	5-benzimidazolyl
0	n-butyl	OCOOMe	2-benzofuryl
0	n-butyl	OCOOMe	3-indazolyl
0	n-butyl	OCOOMe	2-benzoxazolyl
0	n-butyl	OCOOMe	4-fluoro-1-naphthyl
0	n-butyl	OCOOMe	5-chloro-2-thienyl
0	n-butyl	OCOOMe	4-methyl-1-naphthyl
0	n-butyl	OCOOMe	1-methyl-2-pyrrolyl
0	n-butyl	OCOOMe	2-methyl-3-furyl
0	n-butyl	OCOOMe	5-methyl-2-thienyl
0		OCOOMe	4-methyl-5-imidazolyl
0	n-butyl n-butyl	OCOOMe	1-methyl-3-indolyl
0	n-butyl	OCOOMe	2-methoxy-1-naphthyl
0		OCOOMe	3-methoxy-2-naphthyl
0	n-butyl	OCOOMe	6-ethoxy-2-naphthyl
0	n-butyl	OCOOMe	5-methoxy-3-indolyl
0	n-butyl	OCOOMe	1,4-dimethoxy-2-naphthyl
0	n-butyl	OCOOMe	5,6-dimethoxy-2-indolyl
0	n-butyl	OCOOMe	5-methoxy-1-methyl-2-indolyl
0	n-butyl	OCOOMO	

WO 02/085905

$\overline{\mathbf{x}}$	R ¹	R ²	Y
0	n-butyl	OCOOEt	1-naphthyl
0	n-butyl	OCOOEt	2-naphthyl
0	n-butyl	OCOOEt	2-pyrrolyl
0	n-butyl	OCOOEt	3-pyrrolyl
0	n-butyl	OCOOEt	2-furyl
0	n-butyl	OCOOEt	3-furyl
0	n-butyl	OCOOEt	2-thienyl
0	n-butyl	OCOOEt	3-thienyl
0	n-butyl	OCOOEt	3-pyrazolyl
0	n-butyl	OCOOEt	4-pyrazolyl
0	n-butyl	OCOOEt	2-imidazolyl
0	n-butyl	OCOOEt	4-imidazolyl
0	n-butyl	OCOOEt	2-oxazolyl
0	n-butyl	OCOOEt	4-oxazolyl
0	n-butyl	OCOOEt	5-oxazolyl
0	n-butyl	OCOOEt	2-thiazolyl
0	n-butyl	OCOOEt	4-thiazolyl
0	n-butyl	OCOOEt	5-thiazolyl
0	n-butyl	OCOOEt	2-pyrimidinyl
0	n-butyl	OCOOEt	4-pyrimidinyl
0	n-butyl	OCOOEt	5-pyrimidinyl
0	n-butyl	OCOOEt	2-indolyl
0	n-butyl	OCOOEt	3-indolyl
0	n-butyl	OCOOEt	5-indolyl
0	n-butyl	OCOOEt	6-indolyl
0	n-butyl	OCOOEt	5-benzimidazolyl
0	n-butyl	OCOOEt	2-benzofuryl
0	n-butyl	OCOOEt	3-indazolyl
10	n-butyl	OCOOEt	2-benzoxazolyl
0	n-butyl	OCOOEt	4-fluoro-1-naphthyl
0	n-butyl	OCOOEt	5-chloro-2-thienyl
0	n-butyl	OCOOEt	4-methyl-1-naphthyl
0	n-butyl	OCOOEt	1-methyl-2-pyrrolyl
0	n-butyl	OCOOEt	2-methyl-3-furyl
0	n-butyl	OCOOEt	5-methyl-2-thienyl
0	n-butyl	OCOOEt	4-methyl-5-imidazolyl
0	n-butyl	OCOOEt	1-methyl-3-indolyl
0	n-butyl	OCOOEt	2-methoxy-1-naphthyl
0	n-butyl	OCOOEt	3-methoxy-2-naphthyl
10	n-butyl	OCOOEt	6-ethoxy-2-naphthyl
0	n-butyl	OCOOEt	5-methoxy-3-indolyl
0	n-butyl	OCOOEt	1,4-dimethoxy-2-naphthyl
0	n-butyl	OCOOEt	5,6-dimethoxy-2-indolyl
0	n-butyl	OCOOEt	5-methoxy-1-methyl-2-indolyl
U	II outy		

		R ²	Y
X	R ¹	OH	1-naphthyl
0	n-pentyl	OH	2-naphthyl
0	n-pentyl	OH	2-pyrrolyl
0	n-pentyl	OH	3-pyrrolyl
0	n-pentyl		2-furyl
0	n-pentyl	OH	3-furyl
0	n-pentyl	OH	2-thienyl
0	n-pentyl	OH	3-thienyl
0	n-pentyl	ОН	3-pyrazolyl
0	n-pentyl	OH	4-pyrazolyl
0	n-pentyl	ОН	2-imidazolyl
0	n-pentyl	ОН	4-imidazolyl
0	n-pentyl	OH	2-oxazolyl
0	n-pentyl	OH	2-oxazolyl 4-oxazolyl
0	n-pentyl	ОН	
0	n-pentyl	ОН	5-oxazolyl 2-thiazolyl
0	n-pentyl	OH	
0	n-pentyl	ОН	4-thiazolyl
0	n-pentyl	ОН	5-thiazolyl
0	n-pentyl	OH	2-pyrimidinyl
0	n-pentyl	OH	4-pyrimidinyl
0	n-pentyl	OH	5-pyrimidinyl
0	n-pentyl	ОН	2-indolyl
0	n-pentyl	ОН	3-indolyl
0	n-pentyl	OH	5-indolyl
0	n-pentyl	ОН	6-indolyl
0	n-pentyl	ОН	5-benzimidazolyl
0	n-pentyl	. ОН	2-benzofuryl
0	n-pentyl	ОН	3-indazolyl
0	n-pentyl	OH	2-benzoxazolyl
0	n-pentyl	OH	4-fluoro-1-naphthyl
0	n-pentyl	OH	5-chloro-2-thienyl
0	n-pentyl	OH	4-methyl-1-naphthyl
0	n-pentyl	OH	1-methyl-2-pyrrolyl
0	n-pentyl	OH.	2-methyl-3-furyl
0	n-pentyl	ОН	5-methyl-2-thienyl
0	n-pentyl	ОН	4-methyl-5-imidazolyl
0	n-pentyl	ОН	1-methyl-3-indolyl
0	n-pentyl	OH	2-methoxy-1-naphthyl
0	n-pentyl	ОН	3-methoxy-2-naphthyl
	n-pentyl	ОН	6-ethoxy-2-naphthyl
0	n-pentyl	OH	5-methoxy-3-indolyl
0	- n-pentyl	ОН	1,4-dimethoxy-2-naphthyl
0	n-pentyl	OH	5.6-dimethoxy-2-indolyl
0		ОН	5-methoxy-1-methyl-2-indolyl
0	n-pentyl		

Х	R ¹	R ²	Y
0	n-pentyl	OCOOMe	1-naphthyl
0	n-pentyl	OCOOMe	2-naphthyl
0	n-pentyl	OCOOMe	2-pyrrolyl
0	n-pentyl	OCOOMe	3-pyrrolyl
0	n-pentyl	OCOOMe	2-furyl
0	n-pentyl	OCOOMe	3-furyl
	n-pentyl	OCOOMe	2-thienyl
0	n-pentyl	OCOOMe	3-thienyl
0	n-pentyl	OCOOMe	3-pyrazolyl
0_	n-pentyl	OCOOMe	4-pyrazolyl
0	n-pentyl	OCOOMe	2-imidazolyl
0_	n-pentyl	OCOOMe	4-imidazolyl
. 0	n-pentyl	OCOOMe	2-oxazolyl
0	n-pentyl	OCOOMe	4-oxazolyl
0	n-pentyl	OCOOMe	5-oxazolyl
0	n-pentyl	OCOOMe	2-thiazolyl
0	n-pentyl	OCOOMe	4-thiazolyl
0	n-pentyl	OCOOMe	5-thiazolyl
0	n-pentyl	OCOOMe	2-pyrimidinyl
0		OCOOMe	4-pyrimidinyl
0	n-pentyl n-pentyl	OCOOMe	5-pyrimidinyl
0		OCOOMe	2-indolyl
0	n-pentyl	OCOOMe	3-indolyl
0	n-pentyl	OCOOMe	5-indolyl
0	n-pentyl	OCOOMe	6-indolyl
0	n-pentyl	OCOOMe	5-benzimidazolyl
0	n-pentyl	OCOOMe	2-benzofuryl
0	n-pentyl	OCOOMe	3-indazolyl
0	n-pentyl	OCOOMe	2-benzoxazolyl
0	n-pentyl	OCOOMe	4-fluoro-1-naphthyl
0	n-pentyl	OCOOMe OCOOMe	5-chloro-2-thienyl
0	n-pentyl	OCOOMe	4-methyl-1-naphthyl
0	n-pentyl	OCOOMe	1-methyl-2-pyrrolyl
0	n-pentyl	OCOOMe	2-methyl-3-furyl
0	n-pentyl	OCOOMe	5-methyl-2-thienyl
0	n-pentyl	OCOOMe	4-methyl-5-imidazolyl
0	n-pentyl	OCOOMe	1-methyl-3-indolyl
0	n-pentyl	OCOOMe	2-methoxy-1-naphthyl
0	n-pentyl	OCOOMe	3-methoxy-2-naphthyl
0	n-pentyl	OCOOMe	6-ethoxy-2-naphthyl
0		OCOOMe	5-methoxy-3-indolyl
0		OCOOMe	1,4-dimethoxy-2-naphthyl
0			5,6-dimethoxy-2-indolyl
0		OCOOMe	5-methoxy-1-methyl-2-indoly
0	n-pentyl	OCOOMe	J-Memory-1 moments

		R ²	Y
X	R ¹		1-naphthyl
0	n-pentyl	OCOOEt	2-naphthyl
0	n-pentyl	OCOOEt	2-pyrrolyl
0	n-pentyl	OCOOEt	3-pyrrolyl
0	n-pentyl	OCOOEt	2-furyl
0	n-pentyl	OCOOEt	3-furyl
0	n-pentyl	OCOOEt	2-thienyl
0	n-pentyl	OCOOEt	
0	n-pentyl	OCOOEt	3-thienyl
0	n-pentyl	OCOOEt	3-pyrazolyl
0	n-pentyl	OCOOEt	4-pyrazolyl
0	n-pentyl	OCOOEt	2-imidazolyl
0	n-pentyl	OCOOEt	4-imidazolyl
0	n-pentyl	OCOOEt	2-oxazolyl
0	n-pentyl	OCOOEt	4-oxazolyl
0	n-pentyl	OCOOEt	5-oxazolyl
0	n-pentyl	OCOOEt	2-thiazolyl
	n-pentyl	OCOOEt	4-thiazolyl
0	n-pentyl	OCOOEt	5-thiazolyl
0	n-pentyl	OCOOEt	2-pyrimidinyl
0	n-pentyl	OCOOEt	4-pyrimidinyl
0	n-pentyl	OCOOEt	5-pyrimidinyl
0		OCOOEt	2-indolyl
0	n-pentyl	OCOOEt	3-indolyl
0	n-pentyl	OCOOEt	5-indolyl
0	n-pentyl	OCOOEt	6-indolyl
0	n-pentyl	OCOOEt	5-benzimidazolyl
0	n-pentyl	OCOOEt	2-benzofuryl
0	n-pentyl	OCOOEt	3-indazolyl
0	n-pentyl	OCOOEt	2-benzoxazolyl
0	n-pentyl		4-fluoro-1-naphthyl
0	n-pentyl	OCOOEt	5-chloro-2-thienyl
0	n-pentyl	OCOOEt	4-methyl-1-naphthyl
0	n-pentyl	OCOOEt	1-methyl-2-pyrrolyl
0	n-pentyl	OCOOEt	2-methyl-3-furyl
0	n-pentyl	OCOOEt	5-methyl-2-thienyl
0	n-pentyl	OCOOEt	4-methyl-5-imidazolyl
0	n-pentyl	OCOOEt	1-methyl-3-indolyl
0	n-pentyl	OCOOEt	
0	n-pentyl	OCOOEt~	2-methoxy-1-naphthyl
0	n-pentyl	OCOOEt	3-methoxy-2-naphthyl
0	n-pentyl	OCOOEt	6-ethoxy-2-naphthyl
0	n-pentyl	OCOOEt	5-methoxy-3-indolyl
0	n-pentyl	OCO0Et	1,4-dimethoxy-2-naphthyl
0	n-pentyl	OCOOEt	5,6-dimethoxy-2-indolyl 5-methoxy-1-methyl-2-indolyl
	I TUDOTO Y	OCOOEt	

	R ¹	R ²	Y
X	propyl	OH	1-naphthyl
S	propyl	OH	2-naphthyl
S	propyl	OH	2-pyrrolyl
<u>s</u>		OH	3-pyrrolyl
S	propyl	OH	2-furyl
S	propyl	ОН	3-furyl
S	propyl	OH	2-thienyl
S	propyl	ОН	3-thienyl
S	propyl	OH	3-pyrazolyl
S	propyl	OH	4-pyrazolyl
S	propyl	OH	2-imidazolyl
S	propyl	OH	4-imidazolyl
S	propyl	OH	2-oxazolyl
S	propyl	OH	4-oxazolyl
S	propyl	OH	5-oxazolyl
S	propyl	OH	2-thiazolyl
S	propyl	OH	4-thiazolyl
S	propyl	OH	5-thiazolyl
S	propyl	OH	2-pyrimidinyl
S	propyl	ОН	4-pyrimidinyl
S	propyl	OH	5-pyrimidinyl
<u> </u>	propyl	OH	2-indolyl
S	propyl	OH	3-indolyl
S	propyl	OH	5-indolyl
S	propyl	OH	6-indolyl
S	propyl	OH	5-benzimidazolyl
S	propyl	OH	2-benzofuryl
S	propyl	OH	3-indazolyl
S	propyl	OH	2-benzoxazolyl
S	propyl	OH	4-fluoro-1-naphthyl
S	propyl	OH	5-chloro-2-thienyl
S	propyl	OH	4-methyl-1-naphthyl
S	propyl	OH	1-methyl-2-pyrrolyl
S	propyl		2-methyl-3-furyl
S	propyl	OH	5-methyl-2-thienyl
S	propyl	OH	4-methyl-5-imidazolyl
S	propyl	OH	1-methyl-3-indolyl
S	propyl	OH	2-methoxy-1-naphthyl
S	propyl	OH	3-methoxy-2-naphthyl
S	propyl	OH	6-ethoxy-2-naphthyl
S	propyl	OH	5-methoxy-3-indolyl
S	propyl	OH	1,4-dimethoxy-2-naphthyl
S	- propyl	ОН	5,6-dimethoxy-2-indolyl
S	propyl	OH	5-methoxy-1-methyl-2-indoly
S	propyl	ОН	5-methoxy-1-methy1-2 meety

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		Y
R ¹	R ²	1-naphthyl
ргоруі		2-naphthyl
propyl		2-pyrrolyl
propyl		3-pyrrolyl
propyl		2-furyl
propyl		3-furyl
propyl		2-thienyl
		3-thienyl
		3-pyrazolyl
		4-pyrazolyl
		2-imidazolyl
		2-imidazolyl 4-imidazolyl
		2-oxazolyl
		4-oxazolyl
		5-oxazolyl
		3-oxazolyl 2-thiazolyl
		2-thiazolyl
	OCOOMe	5-thiazolyl
	OCOOMe	
		2-pyrimidinyl
		4-pyrimidinyl
		5-pyrimidinyl
		2-indolyl
	OCOOMe	3-indolyl
	OCOOMe	5-indolyl
		6-indolyl
		5-benzimidazolyl
		2-benzofuryl
		3-indazolyl
		2-benzoxazolyl
		4-fluoro-1-naphthyl
	OCOOMe	5-chloro-2-thienyl
	OCOOMe	4-methyl-1-naphthyl
	OCOOMe	1-methyl-2-pyrrolyl
	OCOOMe	2-methyl-3-furyl
	OCOOMe	5-methyl-2-thienyl
	OCOOMe	4-methyl-5-imidazolyl
	OCOOMe	1-methyl-3-indolyl
	OCOOMe	2-methoxy-1-naphthyl
	OCOOMe	3-methoxy-2-naphthyl
	OCOOMe	6-ethoxy-2-naphthyl
	OCOOMe	5-methoxy-3-indolyl
		1,4-dimethoxy-2-naphthyl
propyl	OCOOMe	5,6-dimethoxy-2-indolyl 5-methoxy-1-methyl-2-indolyl
	propyl	propyl OCOOMe

х	\mathbb{R}^1	R ²	Y
S	propyl	OCOOEt	1-naphthyl
s	propyl	OCOOEt	2-naphthyl
S	propyl	OCOOEt	2-pyrrolyl
	propyl	OCOOEt	3-pyrrolyl
S	propyl	OCOOEt	2-furyl
S	propyl	OCOOEt	3-furyl
S	propyl	OCOOEt	2-thienyl
S	propyl	OCOOEt	3-thienyl
S		OCOOEt	3-pyrazolyl
S	propyl	OCOOEt	4-pyrazolyl
S	propyl	OCOOEt	2-imidazolyl
S	propyl	OCOOEt	4-imidazolyl
S	propyl	OCOOEt	2-oxazolyl
S	propyl	OCOOEt	4-oxazolyl
S	propyl	OCOOBt	5-oxazolyl
S	propyl	OCOOEt	2-thiazolyl
S	propyl	OCOOEt	4-thiazolyl
S	propyl	OCOOEt	5-thiazolyl
S	propyl	OCOOEt	2-pyrimidinyl
S	propyl		4-pyrimidinyl
S	propyl	OCOOEt	5-pyrimidinyl
S	propyl	OCOOEt	2-indelyl
S	propyl	OCOOEt	3-indolyl
S	propyl	OCOOEt	5-indolyl
S	propyl	OCOOEt	6-indolyl
S	propyl	OCOOEt	5-benzimidazolyl
S	propyl	OCOOEt	2-benzofuryl
S	propyl	OCOOEt	3-indazolyl
S	propyl	OCOOEt	2-benzoxazolyl
S	propyl	OCOOEt	4-fluoro-1-naphthyl
S	propyl	OCOOEt	5-chloro-2-thienyl
S	propyl	OCOOEt	4-methyl-1-naphthyl
S	propyl	OCOOEt	1-methyl-2-pyrrolyl
S	propyl	OCOOEt	2-methyl-3-furyl
S	ргоруі	OCOOEt	5-methyl-2-thienyl
S	propyl	OCOOEt	
S	propyl	OCOOEt	4-methyl-5-imidazolyl
S	propyl	OCOOEt	1-methyl-3-indolyl
S	propyl	OCOOEt	2-methoxy-1-naphthyl
S	propyl	OCOOEt	3-methoxy-2-naphthyl
S	propyl	OCOOEt	6-ethoxy-2-naphthyl
S	propyl	OCOOEt	5-methoxy-3-indolyl
S	propyl	OCOOEt	1,4-dimethoxy-2-naphthyl
S	propyl	OCOOEt	5,6-dimethoxy-2-indolyl
S	propyl	OCOOEt	5-methoxy-1-methyl-2-indolyl

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		\mathbb{R}^2	Y
Х	R ¹		l-naphthyl
S	n-butyl	ОН	2-naphthyl
S	n-butyl	OH	2-pyrrolyl
S	n-butyl	OH	3-pyrrolyl
S	n-butyl	OH	2-furyl
S	n-butyl	OH	3-furyl
S	n-butyl	OH	
S	n-butyl	OH	2-thienyl 3-thienyl
S	n-butyl	OH	
S	n-butyl	OH	3-pyrazolyl
	n-butyl	OH	4-pyrazolyl
<u>s</u>	n-butyl	OH	2-imidazolyl
<u>s</u>	n-butyl	OH .	4-imidazolyl
_ _	n-butyl	OH	2-oxazolyl
s	n-butyl	OH	4-oxazolyl
s	n-butyl	OH	5-oxazolyl
<u>s</u>	n-butyl	OH	2-thiazolyl
<u>s</u>	n-butyl	OH	4-thiazolyl
S	n-butyl	OH	5-thiazolyl
S	n-butyl	ОН	2-pyrimidinyl
<u>s</u>	n-butyl	OH	4-pyrimidinyl
S	n-butyl	OH	5-pyrimidinyl
8	n-butyl	OH	2-indolyl
	n-butyl	OH	3-indolyl
S	n-butyl	OH	5-indolyl
S	n-butyl	OH	6-indolyl
S	n-butyl	OH	5-benzimidazolyl
S	n-butyl	OH	2-benzofuryl
S	n-butyl	OH	3-indazolyl
S	n-butyl	OH	2-benzoxazolyl
S	n-butyl	OH	4-fluoro-1-naphthyl
S	n-butyl	OH	5-chloro-2-thienyl
S	n-butyl	OH	4-methyl-1-naphthyl
S	n-butyl	OH	1-methyl-2-pyrrolyl
S		OH	2-methyl-3-furyl
S	n-butyl	ОН	5-methyl-2-thienyl
S	n-butyl	OH	4-methyl-5-imidazolyl
S	n-butyl	ОН	1-methyl-3-indolyl
S	n-butyl	OH	2-methoxy-1-naphthyl
S	n-butyl	OH	3-methoxy-2-naphthyl
S	n-butyl	ОН	6-ethoxy-2-naphthyl
S	n-butyl	OH	5-methoxy-3-indolyl
S	n-butyl	OH	1,4-dimethoxy-2-naphthyl
S	n-butyl	OH	5,6-dimethoxy-2-indolyl
S			5-methoxy-1-methyl-2-indoly
S	n-butyl	OH	J-111000001, 2

х	R ¹	R ²	Y
S	n-butyl	OCOOMe .	1-naphthyl
S	n-butyl	OCOOMe	2-naphthyl
S	n-butyl	OCOOMe	2-pyrrolyl
S	n-butyl	OCOOMe	3-pyrrolyl
S	n-butyl	OCOOMe	2-furyl
S	n-butyl	OCOOMe	3-furyl
S	n-butyl	OCOOMe	2-thienyl
S	n-butyl	OCOOMe	3-thienyl
S	n-butyl	OCOOMe	3-pyrazolyl
S	n-butyl	OCOOMe	4-pyrazolyl
S	n-butyl	OCOOMe	2-imidazolyl
S	n-butyl	OCOOMe	4-imidazolyl
S	n-butyl	OCOOMe	2-oxazolyl
S	n-butyl	OCOOMe	4-oxazolyl
S	n-butyl	OCOOMe	5-oxazolyl
S	n-butyl	OCOOMe	2-thiazolyl
S	n-butyl	OCOOMe	4-thiazolyl
S	n-butyl	OCOOMe	5-thiazolyl
S	n-butyl	OCOOMe	2-pyrimidinyl
S	n-butyl	OCOOMe	4-pyrimidinyl
S	n-butyl	OCOOMe	5-pyrimidinyl
S	n-butyl	OCOOMe	2-indolyl
S	n-butyl	OCOOMe	3-indolyl
S	n-butyl	OCOOMe	5-indolyl
S	n-butyl	OCOOMe	6-indolyl
S	n-butyl	OCOOMe	5-benzimidazolyl
S	n-butyl	OCOOMe	2-benzofuryl
S	n-butyl	OCOOMe	3-indazolyl
S	n-butyl	OCOOMe	2-benzoxazolyl
S	n-butyl	OCOOMe	4-fluoro-1-naphthyl
S	n-butyl	OCOOMe	5-chloro-2-thienyl
S	n-butyl	OCOOMe	4-methyl-1-naphthyl
S	n-butyl	OCOOMe	1-methyl-2-pyrrolyl
S	n-butyl	OCOOMe	2-methyl-3-furyl
S	n-butyl	OCOOMe	5-methyl-2-thienyl
S	n-butyl	OCOOMe	4-methyl-5-imidazolyl
S	n-butyl	OCOOMe	1-methyl-3-indolyl
S	n-butyl	OCOOMe	2-methoxy-1-naphthyl
S	n-butyl	OCOOMe	3-methoxy-2-naphthyl
S	n-butyl	OCOOMe	6-ethoxy-2-naphthyl
S	n-butyl	OCOOMe	5-methoxy-3-indolyl
S	n-butyl	OCOOMe	1,4-dimethoxy-2-naphthyl
S	n-butyl	OCOOMe	5,6-dimethoxy-2-indolyl
S	n-butyl	OCOOMe	5-methoxy-1-methyl-2-indolyl

X	R ¹	R ²	. Y
S	n-butyl	OCOOEt	1-naphthyl
S	n-butyl	OCOOEt	2-naphthyl
S	n-butyl	OCOOEt	2-pyrrolyl
S	n-butyl	OCOOEt	3-pyrrolyl
S	n-butyl	OCOOEt	2-furyl
S	n-butyl	OCOOEt	3-furyl
S	n-butyl	OCOOEt	2-thienyl
S	n-butyl	OCOOEt	3-thienyl
S	n-butyl	OCOOEt	3-pyrazoly1
S	n-butyl	OCOOEt	4-pyrazolyl
S	n-butyl	OCOOEt	2-imidazolyl
S	n-butyl	OCOOEt	4-imidazolyl
S	n-butyl	OCOOEt	2-oxazolyl
S	n-butyl	OCOOEt	4-oxazolyl
S	n-butyl	OCOOEt	5-oxazolyl
S	n-butyl	OCOOEt	2-thiazolyl
S	n-butyl	OCOOEt	4-thiazolyl
S	n-butyl	OCOOEt	5-thiazolyl
S	n-butyl	OCOOEt	2-pyrimidinyl
S	n-butyl	OCOOEt	4-pyrimidinyl
S	n-butyl	OCOOEt	5-pyrimidinyl
S	n-butyl	OCOOEt	2-indolyl
S	n-butyl	OCOOEt	3-indolyl
S	n-butyl	OCOOEt	5-indolyl
S	n-butyl	OCOOEt	6-indolyl
S	n-butyl	OCOOEt	5-benzimidazolyl
S	n-butyl	OCOOEt	2-benzofuryl
S	n-butyl	OCOOEt	3-indazolyl
S	n-butyl	OCOOEt	2-benzoxazolyl
S	n-butyl	OCOOEt	4-fluoro-1-naphthyl
S	n-butyl	OCOOEt	5-chloro-2-thienyl
S	n-butyl	OCOOEt	4-methyl-1-naphthyl
S	n-butyl	OCOOEt	1-methyl-2-pyrrolyl
S	n-butyl	OCOOEt	2-methyl-3-furyl
S	n-butyl	OCOOEt	5-methyl-2-thienyl
S	n-butyl	OCOOEt	4-methyl-5-imidazolyl
S	n-butyl	OCOOEt	1-methyl-3-indolyl
S	n-butyl	OCOOEt	2-methoxy-1-naphthyl
S	n-butyl	OCOOEt	3-methoxy-2-naphthyl
· S	n-butyl	OCOOEt	6-ethoxy-2-naphthyl
S	n-butyl	OCOOEt	5-methoxy-3-indolyl
S	- n-butyl	OCOOEt	1,4-dimethoxy-2-naphthyl
S	n-butyl	OCOOEt	5,6-dimethoxy-2-indolyl
S	n-butyl	OCOOEt	5-methoxy-1-methyl-2-indolyl

Х	R ¹	R ²	Y
S	n-pentyl	OH	1-naphthyl
S	n-pentyl	ОН	2-naphthyl
S	n-pentyl	OH	2-pyrrolyl
S	n-pentyl	ОН	3-pyrrolyl
S	n-pentyl	OH	2-furyl
S	n-pentyl	ОН	3-furyl
s	n-pentyl	OH	2-thienyl
S	n-pentyl	OH	3-thienyl
S	n-pentyl	ОН	3-pyrazolyl
S	n-pentyl	ОН	4-pyrazolyl
S	n-pentyl	OH	2-imidazolyl
S	n-pentyl	OH	4-imidazolyl
S.	n-pentyl	OH	2-oxazolyl
S	n-pentyl	OH	4-oxazolyl
S	n-pentyl	ОН	5-oxazolyl
S	n-pentyl	ОН	2-thiazolyl
S	n-pentyl	OH	4-thiazolyl
S	n-pentyl	OH	5-thiazolyl
S	n-pentyl	OH	2-pyrimidinyl
S	n-pentyl	OH	4-pyrimidinyl
S	n-pentyl	OH	5-pyrimidinyl
S	n-pentyl	OH	2-indolyl
S	n-pentyl	OH	3-indolyl
S	n-pentyl	OH	5-indolyl
S	n-pentyl	OH	6-indolyl
S	n-pentyl	OH	5-benzimidazolyl
S	n-pentyl	OH	2-benzofuryl
S	n-pentyl	OH	3-indazolyl
S	n-pentyl	ОН	2-benzoxazolyl
S	n-pentyl	OH	4-fluoro-1-naphthyl
S	n-pentyl	OH	5-chloro-2-thienyl
S	n-pentyl	OH	4-methyl-1-naphthyl
S	n-pentyl	OH	1-methyl-2-pyrrolyl
S	n-pentyl	ОН	2-methyl-3-furyl
S	n-pentyl	OH	5-methyl-2-thienyl
S	n-pentyl	OH	4-methyl-5-imidazolyl
S	n-pentyl	OH	1-methyl-3-indolyl
S	n-pentyl	OH_	2-methoxy-1-naphthyl
S	n-pentyl	OH	3-methoxy-2-naphthyl
S	n-pentyl	OH	6-ethoxy-2-naphthyl
S	n-pentyl	ОН	5-methoxy-3-indolyl
S	· n-pentyl	ОН	1,4-dimethoxy-2-naphthyl
S	n-pentyl	OH	5,6-dimethoxy-2-indolyl
<u>S</u>	n-pentyl	OH	5-methoxy-1-methyl-2-indolyl

7	R ¹	R ²	Y
X			
S	n-pentyl	OCOOMe	1-naphthyl
S	n-pentyl	OCOOMe	2-naphthyl
S	n-pentyl	OCOOMe	2-pyrrolyl
S	n-pentyl	OCOOMe	3-pyrrolyl
S	n-pentyl	OCOOMe	2-furyl
S	n-pentyl	OCOOMe	3-furyl
S	n-pentyl	OCOOMe	2-thienyl
S	n-pentyl	OCOOMe	3-thienyl
S	n-pentyl	OCOOMe	3-pyrazolyl
S	n-pentyl	OCOOMe	4-pyrazolyl
S	n-pentyl	OCOOMe	2-imidazolyl
S	n-pentyl	OCOOMe	4-imidazolyl
S	n-pentyl	OCOOMe	2-oxazolyl
S	n-pentyl	OCOOMe	4-oxazolyl
S	n-pentyl	OCOOMe	5-oxazolyl
S	n-pentyl	OCOOMe	2-thiazolyl
S	n-pentyl	OCOOMe	4-thiazolyl
S	n-pentyl	OCOOMe	5-thiazolyl
S	n-pentyl	OCOOMe	2-pyrimidinyl
S	n-pentyl	OCOOMe	4-pyrimidinyl
S	n-pentyl	OCOOMe	5-pyrimidinyl
S	n-pentyl	OCOOMe	2-indolyl
S	n-pentyl	OCOOMe	3-indolyl
S	n-pentyl	OCOOMe	5-indolyl
S	n-pentyl	OCOOMe	6-indolyl
S	n-pentyl	OCOOMe	5-benzimidazolyl
S	n-pentyl	OCOOMe	2-benzofuryl
S	n-pentyl	OCOOMe	3-indazolyl
S	n-pentyl	OCOOMe	2-benzoxazolyl
S	n-pentyl	OCOOMe	4-fluoro-1-naphthyl
S	n-pentyl	OCOOMe	5-chloro-2-thienyl
S	n-pentyl	OCOOMe	4-methyl-1-naphthyl
S	n-pentyl	OCOOMe	1-methyl-2-pyrrolyl
S	n-pentyl	OCOOMe	2-methyl-3-furyl
S	n-pentyl	OCOOMe	5-methyl-2-thienyl
S	n-pentyl	OCOOMe	4-methyl-5-imidazolyl
S	n-pentyl	OCOOMe	1-methyl-3-indolyl
S	n-pentyl	OCOOMe	2-methoxy-1-naphthyl
S	n-pentyl	OCOOMe	3-methoxy-2-naphthyl
S	n-pentyl	OCOOMe	6-ethoxy-2-naphthyl
S	n-pentyl	OCOOMe	5-methoxy-3-indolyl
S	n-pentyl	OCOOMe	1,4-dimethoxy-2-naphthyl
S	n-pentyl	OCOOMe	5,6-dimethoxy-2-indolyl
S	n-pentyl	OCOOMe	5-methoxy-1-methyl-2-indolyl
			

<u> </u>	R¹	R²	Y
S	n-pentyl	OCOOEt -	1-naphthyl
S	n-pentyl	OCOOEt	2-naphthyl
S	n-pentyl	OCOOEt	2-pyrrolyl
S	n-pentyl	OCOOEt	3-pyrrolyl
S	n-pentyl	OCOOEt	2-furyl
S	n-pentyl	OCOOEt	3-furyl
S	n-pentyl	OCOOEt	2-thienyl
S	n-pentyl	OCOOEt	3-thienyl
S	n-pentyl	OCOOEt	3-pyrazolyl
S	n-pentyl	OCOOEt .	4-pyrazolyl
S	n-pentyl	OCOOEt	2-imidazolyl
S	n-pentyl	OCOOEt	4-imidazolyl
S	n-pentyl	OCOOEt	2-oxazolyl
S	n-pentyl	OCOOEt	4-oxazolyl
S	n-pentyl	OCOOEt	5-oxazolyl
S	n-pentyl	OCOOEt	2-thiazolyl
S	n-pentyl	OCOOEt	4-thiazolyl
S	n-pentyl	OCOOEt	5-thiazolyl
S	n-pentyl	OCOOEt	2-pyrimidinyl
S	n-pentyl	OCOOEt	4-pyrimidinyl
S	n-pentyl	OCOOEt	5-pyrimidinyl
S	n-pentyl	OCOOEt	2-indolyl
S	n-pentyl	OCOOEt	3-indolyl
S	n-pentyl	OCOOEt	5-indolyl
S	n-pentyl	OCOOEt	6-indolyl
S	n-pentyl	OCOOEt	5-benzimidazolyl
S	n-pentyl	OCOOEt	2-benzofuryl
S	n-pentyl	OCOOEt	3-indazolyl
S	n-pentyl	OCOOEt	2-benzoxazolyl
S	n-pentyl	OCOOEt	4-fluoro-1-naphthyl
S	n-pentyl	OCOOEt	5-chloro-2-thienyl
S	n-pentyl	OCOOEt	4-methyl-1-naphthyl
S	n-pentyl	OCOOEt	1-methyl-2-pyrrolyl
S	n-pentyl	OCOOEt	2-methyl-3-furyl
S	n-pentyl	OCOOEt	5-methyl-2-thienyl
S	n-pentyl	OCOOEt:	4-methyl-5-imidazolyl
S	n-pentyl	OCOOEt	1-methyl-3-indolyl
S	n-pentyl	OCOOEt	2-methoxy-1-naphthyl
S	n-pentyl	OCO0Et	3-methoxy-2-naphthyl
S	n-pentyl	OCOOEt	6-ethoxy-2-naphthyl
S	n-pentyl	OCOOEt	5-methoxy-3-indolyl
S	· n-pentyl	OCO0Et	1,4-dimethoxy-2-naphthyl
S	n-pentyl	OCO0Et	5,6-dimethoxy-2-indolyl
S	n-pentyl	OCOOEt	5-methoxy-1-methyl-2-indolyl

X	R ¹	R ²	Y
NH	2-methoxyethyl	ОН	1-naphthyl
NH	2-methoxyethyl	ОН	2-naphthyl
NH	2-methoxyethyl	OH	2-pyrrolyl
NH	2-methoxyethyl	ОН	3-pyrrolyl
NH	2-methoxyethyl	ОН	2-furyl
NH	2-methoxyethyl	ОН	3-furyl
NH	2-methoxyethyl	ОН	2-thienyl
NH	2-methoxyethyl	ОН	3-thienyl
NH	2-methoxyethyl	OH	3-pyrazolyl
NH	2-methoxyethyl	OH	4-pyrazolyl
NH	2-methoxyethyl	OH	2-imidazolyl
NH	2-methoxyethyl	OH	4-imidazolyl
NH	2-methoxyethyl	OH	2-oxazolyl
NH	2-methoxyethyl	OH	4-oxazolyl
NH	2-methoxyethyl	ОН	5-oxazolyl
NH	2-methoxyethyl	OH	2-thiazolyl
NH	2-methoxyethyl	OH	4-thiazolyl
NH	2-methoxyethyl	OH	5-thiazolyl
NH	2-methoxyethyl	ОН	2-pyrimidinyl
NH	2-methoxyethyl	ОН	4-pyrimidinyl
NH	2-methoxyethyl	OH	5-pyrimidinyl
NH	2-methoxyethyl	OH	2-indolyl
NH	2-methoxyethyl	OH	3-indolyl
NH T	2-methoxyethyl	OH	5-indolyl
' NH	2-methoxyethyl	OH	6-indolyl
NH	2-methoxyethyl	OH	5-benzimidazolyl
NH	2-methoxyethyl	OH	2-benzofuryl
NH	2-methoxyethyl	OH	3-indazolyl
NH	2-methoxyethyl	OH	2-benzoxazolyl
NH	2-methoxyethyl	ОН	4-fluoro-1-naphthyl
NH	2-methoxyethyl	OH	5-chloro-2-thienyl
NH	2-methoxyethyl	ОH	4-methyl-1-naphthyl
NH	2-methoxyethyl	ОН	1-methyl-2-pyrrolyl
NH	2-methoxyethyl	OH	2-methyl-3-furyl
NH	2-methoxyethyl	ОН	5-methyl-2-thienyl
NH	2-methoxyethyl	OH	4-methyl-5-imidazolyl
NH	2-methoxyethyl	OH	1-methyl-3-indolyl
NH	2-methoxyethyl	OH	2-methoxy-1-naphthyl
NH	2-methoxyethyl	ОН	3-methoxy-2-naphthyl
NH	2-methoxyethyl	OH	6-ethoxy-2-naphthyl
NH	2-methoxyethyl	OH	5-methoxy-3-indolyl
NH	2-methoxyethyl	OH	1,4-dimethoxy-2-naphthyl
NH	2-methoxyethyl	ОН	5,6-dimethoxy-2-indolyl
NH	2-methoxyethyl	ОН	5-methoxy-1-methyl-2-indolyl

X	R ¹	R ²	Y
NH	3-methoxypropyl	ОН	1-naphthyl
NH	3-methoxypropyl	OH	2-naphthyl
NH	3-methoxypropyl	OH	2-pyrrolyl
NH	3-methoxypropyl	ОН	3-pyrrolyl
NH	3-methoxypropyl	OH	2-furyl
NH	3-methoxypropyl	OH	3-furyl
· NH	3-methoxypropyl	OH	2-thienyl
NH	3-methoxypropyl	OH	3-thienyl
NH	3-methoxypropyl	OH	3-pyrazolyl
NH	3-methoxypropyl	OH	4-pyrazolyl
NH	3-methoxypropyl	OH	2-imidazolyl
NH	3-methoxypropyl	OH	4-imidazolyl
NH	3-methoxypropyl	ОН	2-oxazolyl
NH	3-methoxypropyl	OH	4-oxazolyl
NH	3-methoxypropyl	OH	5-oxazolyl
NH	3-methoxypropyl	OH	2-thiazolyl
NH	3-methoxypropyl	OH	4-thiazolyl
NH	3-methoxypropyl	OH	5-thiazolyl
NH	3-methoxypropyl	OH	2-pyrimidinyl
NH	3-methoxypropyI	OH	4-pyrimidinyl
NH	3-methoxypropyl	OH	5-pyrimidinyl
NH	3-methoxypropyl	OH	2-indolyl
NH	3-methoxypropyl	ОН	3-indolyl
NH	3-methoxypropyl	OH	5-indolyl
NH	3-methoxypropyl	OH	6-indolyl
NH	3-methoxypropyl	OH	5-benzimidazolyl
NH	3-methoxypropyl	OH	2-benzofuryl
NH	3-methoxypropyl	ОН	3-indazolyl
NH	3-methoxypropyl	OH	2-benzoxazolyl
NH	3-methoxypropyl	ОН	4-fluoro-1-naphthyl
NH	3-methoxypropyl	OH	5-chloro-2-thienyl
NH	3-methoxypropyl	OH	4-methyl-1-naphthyl
NH	3-methoxypropyl	ОН	1-methyl-2-pyrrolyl
NH	3-methoxypropyl	OH	2-methyl-3-furyl
NH	3-methoxypropyl	ОН	5-methyl-2-thienyl
NH	3-methoxypropyl	ОН	4-methyl-5-imidazolyl
NH	3-methoxypropyl	ОН	1-methyl-3-indolyl
NH	3-methoxypropyl	OH	2-methoxy-1-naphthyl
NH	3-methoxypropyl	ОН	3-methoxy-2-naphthyl
NH	3-methoxypropyl	ОН	6-ethoxy-2-naphthyl
NH	3-methoxypropyl	ОН	5-methoxy-3-indolyl
NH	· 3-methoxypropyl	OH	1,4-dimethoxy-2-naphthyl
NH	3-methoxypropyl	OH	5,6-dimethoxy-2-indolyl
NH	3-methoxypropyl	OH	5-methoxy-1-methyl-2-indolyl

X	R ¹	R ²	Y
0	2-methoxyethyl	OH	1-naphthyl
0	2-methoxyethyl	OH	2-naphthyl
0	2-methoxyethyl	ОН	2-naphthyl 2-pyrrolyl
0	2-methoxyethyl	OH	3-pyrrolyl
0	2-methoxyethyl	OH	2-furyl
0	2-methoxyethyl	OH	
0	2-methoxyethyl	OH	3-furyl
0	<u> </u>	OH	2-thienyl
0	2-methoxyethyl		3-thienyl
	2-methoxyethyl	OH	3-pyrazolyl
0	2-methoxyethyl	ОН	4-pyrazolyl
0	2-methoxyethyl	ОН	2-imidazolyl
0	2-methoxyethyl	ОН	4-imidazolyl
0	2-methoxyethyl	ОН	2-oxazolyl
0	2-methoxyethyl	OH	4-oxazolyl
0_	2-methoxyethyl	OH	5-oxazolyl
0	2-methoxyethyl	OH	2-thiazolyl
0	2-methoxyethyl	OH	4-thiazolyl
0	2-methoxyethyl	ОН	5-thiazolyl
0	2-methoxyethyl	OH	2-pyrimidinyl
0	2-methoxyethyl	OH	4-pyrimidinyl
. 0	2-methoxyethyl	OH	5-pyrimidinyl
0	2-methoxyethyl	OH	2-indolyl
0	2-methoxyethyl	ОН	3-indolyl
0	2-methoxyethyl	OH	5-indolyl
0	2-methoxyethyl	ОН	6-indolyl
0	2-methoxyethyl	ОН	5-benzimidazolyl
0	2-methoxyethyl	ОН	2-benzofuryl
0	2-methoxyethyl	OH	3-indazolyl
0	2-methoxyethyl	OH	2-benzoxazolyl
0	2-methoxyethyl	OH	4-fluoro-1-naphthyl
0	2-methoxyethyl	OH	5-chloro-2-thienyl
0	2-methoxyethyl	ОН	4-methyl-1-naphthyl
0	2-methoxyethyl	OH	1-methyl-2-pyrrolyl
0	2-methoxyethyl	ОН	2-methyl-3-furyl
0	2-methoxyethyl	ОН	5-methyl-2-thienyl
0	2-methoxyethyl	OH	4-methyl-5-imidazolyl
0	2-methoxyethyl	OH	1-methyl-3-indolyl
0_	2-methoxyethyl	ОН	2-methoxy-1-naphthyl
0	2-methoxyethyl	ОН	3-methoxy-2-naphthyl
0	2-methoxyethyl	OH	6-ethoxy-2-naphthyl
0	2-methoxyethyl	OH	5-methoxy-3-indolyl
0	· 2-methoxyethyl	ОН	1,4-dimethoxy-2-naphthyl
0	2-methoxyethyl	OH	5,6-dimethoxy-2-indolyl
0	2-methoxyethyl	OH	5-methoxy-1-methyl-2-indolyl

Х	R ¹	R ²	Y	
0	3-methoxypropyl	OH	1-naphthyl	
0	3-methoxypropyl	OH	2-naphthyl	
0	3-methoxypropyl	OH	2-pyrrolyl	
		OH	3-pyrrolyl	
0	3-methoxypropyl	OH	2-furyl	
0	3-methoxypropyl	OH	3-furyl	
0	3-methoxypropyl		2-thienyl	
0	3-methoxypropyl	OH	3-thienyl	
0	3-methoxypropyl	OH	3-menyi 3-pyrazolyl	
0	3-methoxypropyl	OH	4-pyrazolyl	
0	3-methoxypropyl	OH		
0	3-methoxypropyl	ОН	2-imidazolyl	
0	3-methoxypropyl	OH	4-imidazolyl	
0	3-methoxypropyl	OH	2-oxazolyl	
0	3-methoxypropyl	OH	4-oxazolyl	
0	3-methoxypropyl	OH	5-oxazolyl	
0	3-methoxypropyl	OH	2-thiazolyl	
0	3-methoxypropyl	OH	4-thiazolyl	
0	3-methoxypropyl	OH	5-thiazolyl	
0	3-methoxypropyl	OH	2-pyrimidinyl	
0	3-methoxypropyl	OH	4-pyrimidinyl	
0	3-methoxypropyl	OH	5-pyrimidinyl	
0	3-methoxypropyl	ОН	2-indolyl	
0	3-methoxypropyl	. OH	3-indolyl	
0	3-methoxypropyl	ОН	5-indolyl	
0	3-methoxypropyl	ОН	6-indolyl	
0	3-methoxypropyl	ОН	5-benzimidazolyl	
0	3-methoxypropyl	OH	2-benzofuryl	
0	3-methoxypropyl	OH	3-indazolyl	
0	3-methoxypropyl	OH	2-benzoxazolyl	
0	3-methoxypropyl	OH	4-fluoro-1-naphthyl	
0	3-methoxypropyl	OH	5-chloro-2-thienyl	
0	3-methoxypropyl	OH	4-methyl-1-naphthyl	
0	3-methoxypropyl	OH	1-methyl-2-pyrrolyl	
0	3-methoxypropyl	OH	2-methyl-3-furyl	
0	3-methoxypropyl	OH	5-methyl-2-thienyl	
0	3-methoxypropyl	OH	4-methyl-5-imidazolyl	
0	3-methoxypropyl	OH	1-methyl-3-indolyl	
0	3-methoxypropyl	ОН	2-methoxy-1-naphthyl	
0	3-methoxypropyl	OH ·	3-methoxy-2-naphthyl	
0	3-methoxypropyl	ОН	6-ethoxy-2-naphthyl	
0	3-methoxypropyl	ОН	5-methoxy-3-indolyl	
0	-3-methoxypropyl	ОН	1,4-dimethoxy-2-naphthyl	
0	3-methoxypropyl	OH	5,6-dimethoxy-2-indolyl	
0	3-methoxypropyl	OH	5-methoxy-1-methyl-2-indolyl	
	1 2-memoxypropyi	1	Lo and the state of the state o	

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<u>x</u>	R ¹	R ²	Y
S	2-methoxyethyl	OH	l-naphthyl
S	2-methoxyethyl	OH	2-naphthyl
S	2-methoxyethyl	OH	2-pyrrolyl
S	2-methoxyethyl	OH	3-pyrrolyl
S	2-methoxyethyl	ОН	2-furyl
	2-methoxyethyl	ОН	3-furyl
S	2-methoxyethyl	OH	2-thienyl
S	2-methoxyethyl	OH	3-thienyl
S	2-methoxyethyl	OH	3-pyrazolyl
S	2-methoxyethyl	OH	4-pyrazolyl
		OH	2-imidazolyl
S	2-methoxyethyl	OH	4-imidazolyl
S	2-methoxyethyl		2-oxazolyl
S	2-methoxyethyl	OH OH	4-oxazolyl
S	2-methoxyethyl		5-oxazolyl
S	2-methoxyethyl	OH	2-thiazolyl
S	2-methoxyethyl		4-thiazolyl
S	2-methoxyethyl	OH	5-thiazolyl
S	2-methoxyethyl	OH	2-pyrimidinyl
S	2-methoxyethyl	OH	4-pyrimidinyl
S	2-methoxyethyl	OH	
S	2-methoxyethyl	OH	5-pyrimidinyl
S	2-methoxyethyl	OH	2-indolyl 3-indolyl
S	2-methoxyethyl	OH	
S	2-methoxyethyl	OH	5-indolyl
S	2-methoxyethyl	OH	6-indolyl
S	2-methoxyethyl	ОН	5-benzimidazolyl
S	2-methoxyethyl	OH	2-benzofuryl
S	2-methoxyethyl	ОН	3-indazolyl
S	2-methoxyethyl	OH	2-benzoxazolyl
S	2-methoxyethyl	ОН	4-fluoro-1-naphthyl
S	2-methoxyethyl	OH	5-chloro-2-thienyl
S	2-methoxyethyl	OH	4-methyl-1-naphthyl
S	2-methoxyethyl	OH	1-methyl-2-pyrrolyl
·S	2-methoxyethyl	OH	2-methyl-3-furyl
S	2-methoxyethyl	OH	5-methyl-2-thienyl
S	2-methoxyethyl	OH	4-methyl-5-imidazolyl
S	2-methoxyethyl	OH	1-methyl-3-indolyl
S	2-methoxyethyl	OH	2-methoxy-1-naphthyl
S	2-methoxyethyl	OH ·	3-methoxy-2-naphthyl
S	2-methoxyethyl	OH	6-ethoxy-2-naphthyl
S	2-methoxyethyl	OH	5-methoxy-3-indolyl
S	2-methoxyethyl	OH	1,4-dimethoxy-2-naphthyl
1 3			
S	2-methoxyethyl	OH	5,6-dimethoxy-2-indolyl 5-methoxy-1-methyl-2-indolyl

X	R ¹	R ²	Y
S	2-hydroxyethyl	OH	1-naphthyl
S	2-hydroxyethyl	OH	2-naphthyl
S	2-hydroxyethyl	ОН	2-pyrrolyl
S	2-hydroxyethyl	OH	3-pyrrolyl
S	2-hydroxyethyl	ОН	2-furyl
S	2-hydroxyethyl	OH	3-furyl
S	2-hydroxyethyl	ОН	2-thienyl
S	2-hydroxyethyl	OH	3-thienyl
S	2-hydroxyethyl	ОН	3-pyrazolyl
S	2-hydroxyethyl	OH	4-pyrazolyl
S	2-hydroxyethyl	OH	2-imidazolyl
S	2-hydroxyethyl	OH	4-imidazolyl
S	2-hydroxyethyl	ОН	2-oxazolyl
S	2-hydroxyethyl	ОН	4-oxazolyl
S	2-hydroxyethyl	ОН	5-oxazolyl
S	2-hydroxyethyl	ОН	2-thiazolyl
S	2-hydroxyethyl	ОН	4-thiazolyl
S	2-hydroxyethyl	ОН	5-thiazolyl
S	2-hydroxyethyl	ОН	2-pyrimidinyl
S	2-hydroxyethyl	ОН	4-pyrimidinyl
S	2-hydroxyethyl	ОН	5-pyrimidinyl
S	2-hydroxyethyl	ОН	2-indolyl
S	2-hydroxyethyl	ОН	3-indolyl
S	2-hydroxyethyl	ОН	5-indolyl
S	2-hydroxyethyl	ОН	6-indolyl
S	2-hydroxyethyl	ОН	5-benzimidazolyl
S	2-hydroxyethyl	OH	2-benzofuryl
S	2-hydroxyethyl	ОН	3-indazolyl
S	2-hydroxyethyl	ОН	2-benzoxazolyl
S	2-hydroxyethyl	ОН	4-fluoro-1-naphthyl
S	2-hydroxyethyl	ОН	5-chloro-2-thienyl
S	2-hydroxyethyl	ОН	4-methyl-1-naphthyl
S	2-hydroxyethyl	OH	1-methyl-2-pyrrolyl
S	2-hydroxyethyl	OH .	2-methyl-3-furyl
S	2-hydroxyethyl	ОҢ	5-methyl-2-thienyl
S	2-hydroxyethyl	ОН	4-methyl-5-imidazolyl
S	2-hydroxyethyl	ОН	1-methyl-3-indolyl
S	2-hydroxyethyl	OH	2-methoxy-1-naphthyl
S	2-hydroxyethyl	OH	3-methoxy-2-naphthyl
S	2-hydroxyethyl	ОН	6-ethoxy-2-naphthyl
S	2-hydroxyethyl	ОН	5-methoxy-3-indolyl
S	2-hydroxyethyl	OH	1,4-dimethoxy-2-naphthyl
S	2-hydroxyethyl	OH	5,6-dimethoxy-2-indolyl
S	2-hydroxyethyl	OH	5-methoxy-1-methyl-2-indolyl

本発明の化合物において、 R^2 がアシルオキシ基又はアルコキシカルボニルオキシ基である化合物は、 R^2 が水酸基である化合物のエステルに相当し、 R^2 が水酸基である化合物の溶解性、吸収性、体内安定性の向上を目的としたプロドラッグである。即ち、該エステルが生体内で代謝を受け、活性本体である R^2 が水酸基である化合物となる。一般式(I)で示される化合物とその互変異性体は化学的に等価であり、本発明のアデニン誘導体はその互変異性体も含む。例えば、 R^2 が水酸基の場合、一般式(I)で示される化合物は一般式(II):

(式中、R¹、X、Yは前記式(I)と同義である)のヒドロキシ誘導体となるが、 該誘導体の互変異性体として下記一般式(III):

(式中、 R^1 、X、Yは前記式 (I) と同義である)のオキソ誘導体がある。 これらのアデニン誘導体の製法の一例を以下に詳述する。

(1) R² = OHの場合

化合物(IV)とY-CH2-Hal(式中、Yは式(II)と同義で、Halはハロゲン原子を

表す。)とを塩基存在下に反応させて9-置換体(V)を合成する。前記塩基としては、例えば炭酸カリウム等の炭酸のアルカリ金属塩又はアルカリ土類金属塩、水酸化ナトリウム、水酸化カリウム等の金属水酸化物、水素化ナトリウム等の金属水素化物、t-ブトキシカリウム等のアルコキシド等を用いることができる。前記溶媒としてはジメチルホルムアミド、ジメチルスルホキシド、テトラヒドロフラン、1,4-ジオキサン等の非プロトン性溶媒を用いることができ、反応温度は室温から溶媒の還流温度までの間で行うことができる。

次いで、XがNHの場合は、化合物(V)と対応するR¹-NH,(式中、R¹は前記と同義である)とを塩基の存在下または非存在下に反応させて2-置換体(VI)を合成する。塩基としてはトリエチルアミン、ジイソプロピルエチルアミン、4-ジメチルアミノピリジンなどの3級アミン類、溶媒としてはテトラヒドロフラン、1,4-ジオキサン、ジグライムなどの非プロトン性溶媒やプロパノール、ブタノールなどのアルコール系溶媒を用いることができ、あるいは無溶媒で行ってもよい。反応温度は50℃から溶媒の還流温度までの間で行うことができる。

Xが酸素原子または硫黄原子の場合は、化合物 (V) と対応するR¹-OHまたはR¹-SH とを塩基の存在下に反応させて2-置換体 (VI) を合成する。塩基としてはナトリウム、カリウム等のアルカリ金属、水素化ナトリウム等のアルカリ金属水素化物等を用いることができ、溶媒としてはジメチルホルムアミド、ジメチルスルホキシド、テトラヒドロフラン、1,4-ジオキサン、ジグライムなどの非プロトン性溶媒を用いるか、あるいは無溶媒で行うこともできる。反応温度は50℃から溶媒の還流温度までの間で行うことができる。

なお、化合物(IV)から化合物(VI)を製造する工程において、最初に2-置換体(V')を合成し、次いで、該2-置換体(V')とY-CH₂-Hal(式中、Yは式(II)と同義で、Halはハロゲン原子を表す。)とを反応させて化合物(VI)を得ることもできる。

化合物 (VII) は、化合物 (VI) と臭素とを反応させて合成することができる。溶媒としては四塩化炭素、ジクロロメタン、クロロホルムなどのハロゲン系溶媒、酢酸などを用いることができる。反応温度は0℃から溶媒の還流温度までの間で行うことができる。また、反応においては酢酸ナトリウムなどの反応助剤を加えてもよい。

化合物 (IX) は、化合物 (VII) を酸性条件下で加水分解することにより合成することができる。酸としては塩酸、臭化水素酸などを用いることができる。反応温度は50℃から溶媒の還流温度までの間で行うことができる。あるいは、化合物 (VII) とナトリウムメトキシドとを反応させて化合物 (VIII) とした後に、酸処理して脱メチル化することにより (IX) を得ることもできる。

化合物(X)は、化合物(VII)に水硫化ナトリウム(硫化水素ナトリウム)を反応させて合成することができる。溶媒はエタノール、プロパノール、ブタノールな

どのアルコール系溶媒を用いることができる。反応温度は50℃から溶媒の還流温度までの間で行うことができる。

化合物 (XI) は、化合物 (IX) を塩基存在下、R²に対応するアシルクロリドあるいはクロロギ酸エステルと反応させることにより得ることができる。塩基としてはトリエチルアミン、ジイソプロピルエチルアミン、4-ジメチルアミノピリジンなどの3級アミン類、溶媒としてはテトラヒドロフラン、1, 4-ジオキサン、ジクロロメタンなどの非プロトン性溶媒を用いることができる。反応温度は0℃から溶媒の還流温度までの間で行うことができる(式中、R⁴は炭素数1~7のアルキル基または炭素数1~7のアルコキシ基を表す。)。

以上のようにして得られる本発明のアデニン誘導体は、ナトリウム塩、カリウム塩、カルシウム塩、塩酸塩、臭化水素酸塩、硫酸塩、硝酸塩、酢酸塩、メタンスルホン酸塩、トルエンスルホン酸塩、クエン酸塩、フマル酸塩、マレイン酸塩などの薬学的に許容される塩としても用いることもできる。

本発明のアデニン誘導体は、B型及びC型肝炎、エイズなどのウイルス疾患、 癌疾患及びタイプ2-ヘルパーT細胞を起因とする疾患等の治療薬として有用であ り、錠剤、カプセル剤、散剤などの経口剤をはじめ、注射剤、外用剤など種々の 剤形で使用することができる。本発明の医薬としては、一般式(I)で表される化 合物、その互変異性体、及びそれらの薬学的に許容される塩からなる群から選ば れる物質を用いることができ、さらにそれらの水和物、または溶媒和物を用いて もよい。これらの物質の2以上を適宜組み合わせて用いてもよい。これらの群か ら選ばれる物質自体を本発明の医薬として投与してもよいが、通常は、有効成分 である上記物質と薬学的に許容される製剤用添加物とを含む医薬組成物の形態で 投与することが望ましい。

生体内に適用するための医薬組成物は、有効成分である上記物質を薬学的に許容される製剤用添加物の1種または2種以上と混合し、薬学の分野において汎用の製剤方法に従って容易に製造することができる。本発明の医薬の投与経路は特に限定されないが、治療および/または予防に際して最も効果的な経路を適宜選択することが望ましい。経口投与に適する医薬組成物としては、例えば、カプセル剤、散剤、錠剤、顆粒剤、細粒剤、シロップ剤、液剤、懸濁剤などを挙げることができ、非経口的に適する医薬組成物としては、例えば、吸入剤、噴霧剤、直腸内投与剤、注射剤、点滴剤、軟膏、クリーム剤、経皮吸収剤、経粘膜吸収剤、点眼剤、点鼻剤、点耳剤、テープ剤、貼付剤などを挙げることができるが、本発明の医薬の形態はこれらに限定されることはない。

経口投与に適当な医薬組成物のうち、例えば乳剤およびシロップ剤などの液体製剤は、水;ショ糖、ソルビット、果糖等の糖類;ポリエチレングリコール、プロピレングリコール等のグリコール類;ごま油、オリーブ油、大豆油等の油類;p-ヒドロキシ安息香酸エステル類等の防腐剤;ストロベリーフレーバー、ペパーミント等のフレーバー類などの製剤用添加物を用いて製造することができる。カプセル剤、錠剤、散剤、および顆粒剤などの固形製剤は、乳糖、ブトウ糖、ショ糖、マンニット等の賦形剤;デンプン、アルギン酸ソーダ等の崩壊剤;ステアリン酸マグネシウム、タルク等の滑沢剤;ポリビニルアルコール、ヒドロキシプロピルセルロース、ゼラチン等の結合剤;脂肪酸エステル等の界面活性剤;グリセリン等の可塑剤等を用いて製造することができる。

非経口投与に適する医薬組成物のうち、注射剤、点滴剤、点眼剤などの形態の

液体製剤は、好ましくは滅菌された等張の液体製剤として調製することができる。例えば、注射剤は、塩溶液、ブドウ糖溶液、または塩水とブドウ糖溶液との混合物からなる水性媒体を用いて調製することができる。直腸内投与剤は、例えばカカオ脂、水素化脂肪、または水素化カルボン酸等の担体を用いて、通常は坐剤の形態として調製することができる。また、噴霧剤の調製には、有効成分である上記の物質を微細な粒子として分散させて吸収を容易にする非刺激性の担体を用いることができる。このような担体として、例えば、乳糖、グリセリン等を挙げることができ、製剤の形態としてはエアロゾルやドライパウダー等の形態を選択することが可能である。なお、非経口投与用の医薬組成物の製造においても、経口剤で例示した希釈剤、香料、防腐剤、賦形剤、崩壊剤、滑沢剤、結合剤、界面活性剤、可塑剤等から選択される1種または2種以上の製剤用添加物を適宜用いることができる。もっとも、本発明の医薬の製造に用いられる製剤用添加物は上記のものに限定されることはなく、当業者に利用可能なものであればいかなるものを用いてもよい。

本発明のアデニン誘導体の用量は、患者の性別、年齢、体重、疾患の種類、症状などに応じて適宜定めるものであるが、一般に、1 日当たり $0.001\sim100$ mg/kgの範囲で、好ましくは $0.01\sim10$ mg/kgの範囲で、単回又は数回に分けて投与することができる。

本明細書は本願の優先権の基礎である特願2001-118232号の明細書に記載される内容を包含する。

図面の簡単な説明

図1は、ラット好酸球浸潤モデルにおける本発明の化合物の薬効評価試験の結果を示す図である。

図 2 は、マウス能動皮膚アナフィラキシーモデルにおける本発明の化合物の薬 効評価試験の結果を示す図である。

図3は、実施例65に記載の抗腫瘍効果試験の結果を示す図である。実施例27の化合物、及びマウスインターフェロン α のそれぞれについて腫瘍容積をビークル(対照群)と比較した。

図4は、実施例66に記載の抗腫瘍効果(転移抑制効果)試験の結果を示す図である。ビークル(対照群)、マウスインターフェロン α及び実施例27の化合物の各投与群について各リンパ節の湿重量を比較した。

発明を実施するための最良の形態

以下に、実施例をあげて本発明を具体的に説明するが、本発明の範囲はこれらに限定されるものではない。

参考例1: 2-プトキシアデニン

ブタノール (480 mL) にナトリウム (13.6 g, 0.59 mol) を加え、90℃まで昇温して完溶させた。続いて2-クロロアデニン (4.0 g, 23.6 mmol) を加え、9時間加熱還流した。4℃まで冷却した後、反応液に水 (400 mL) を加え30分間、強く撹拌した。分層したブタノール層を減圧濃縮した後、残渣に水 (400 mL) を加え、氷冷下濃塩酸を滴下して中和した。析出した固体を濾取し、得られた固体をエタノール (70 mL) に加え、30分間加熱還流した。室温まで冷却した後、析出した固体を濾取しすることで標記化合物を3.72 g得た (収率: 76%)。

参考例2: 8-プロモ-2-プトキシ-9-(6-クロロ-3-ピリジルメチル)アデニン

参考例1で得られた2-ブトキシアデニン (2.60 g, 12.5 mmol) のDMF溶液 (125 mL) に、炭酸カリウム (2.85 g, 20.6 mmol) と2-クロロ-5-クロロメチルピリジン (3.33 g, 20.6 mmol) を加え、80℃で2.5時間加熱撹拌した。反応液を減圧濃縮した後、水 (100 mL) を加え1N塩酸で中和し、析出した固体を濾取した。この固体を塩化メチレン (100 mL) に溶解させた後、氷冷下へキサン (150 mL) を加え、析出した結晶を濾取することにより2-ブトキシ-9-(6-クロロ-3-ピリジルメチル) アデニンを得た (収量: 3.12 g)。酢酸ナトリウム (3.05 g, 37.2 mmol) と2-ブトキシ-9-(6-クロロ-3-ピリジルメチル) アデニンを得た (収量: 3.12 g)。酢酸ナトリウム (3.05 g, 37.2 mmol) を加えた酢酸懸濁液 (18 ml) に、室温で臭素 (1.92 ml, 37.5 mmol) を加え、4時間反応させた。反応液を減圧留去した後、残渣に水 (200 ml) を加え、氷冷下5N水酸化ナトリウムで中和した。析出した結晶を濾取した後、粗結晶をメタノールにて再結晶した。40℃で15時間減圧乾燥して、白色粉末結晶として標記化合物を2.38 g得た (収率: 62%)。

参考例3: 2-プトキシ-9-(6-クロロ-3-ピリジルメチル)-8-メトキシアデニン

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メタノール (110 mL) にナトリウム (614 mg, 26.7 mmol) を加え完溶させた。 この溶液に参考例2で得られた8-ブロモ-2-ブトキシ-9-(6-クロロ-3-ピリジルメチル) アデニン (2.2 g, 5.34 mmol) を加え、3.5時間加熱還流した。反応液を減圧濃縮し、残渣に水 (100 mL) を加え氷冷下、濃塩酸で中和した。析出した固体を濾取、水洗した (20 mL)。この固体を酢酸エチル (30 mL) で再結晶させることにより白色粉末結晶として標記化合物を1.26 g得た (収率:65.0%)。

参考例4: 2-プトキシ-9-(6-メトキシ-3-ピリジルメチル)アデニン

メタノール (18 mL) にナトリウム415 mg, 18.0 mmo1) を加え完溶させた後、2-プトキシ-9-(6-クロロ-3-ピリジルメチル) アデニン (300 mg, 0.90 mmo1) を加え2 4時間加熱還流した。反応液を減圧濃縮し、残渣に水 (30 mL) を加え、氷冷下、濃塩酸で中和した。析出した固体を濾取した後、粗結晶をシリカゲルカラムクロマトグラフィーで精製し (塩化メチレン:メタノール 50:1)、標記化合物を148 mg得た (収率: 50%)。

参考例5: 2-ブチルアミノアデニン

オートクレーブ (200 mL) に2-クロロアデニン (6.0 g, 35.4 mmol) とブチルアミン (30 mL) を加え、130℃で150時間反応させた。反応液を減圧濃縮した後、残渣に水を注入し固体を析出させた。析出固体を塩化メチレン、メタノールで順次洗浄して、黄橙色粉末固体として標記化合物を2.08 g得た(収率: 30%)。

実施例1: 2-プトキシ-9-(6-クロロ-3-ピリジルメチル)-8-ヒドロキシアデニン

濃塩酸 (70 mL) に参考例3で得られた化合物 (1.26 g, 3.47 mmol)を加え、室温で2時間反応させた。反応液を減圧濃縮した後、残渣に水 (130 mL)を加え氷冷下、5N 水酸化ナトリウム水溶液で中和した。析出した結晶を濾取・乾燥することにより白色粉末結晶として標記化合物を1.20 g得た (収率:99%)。

¹H NMR (DMSO- d_6) δ 10. 14 (1H, brs), 8. 40 (1H, d, J = 2. 4 Hz), 7. 76 (1H, d d, J = 2. 4, 8. 4 Hz), 7. 49 (1H, d, J = 8. 4 Hz), 6. 55 (2H, brs), 4. 91 (2H, s), 4. 14 (2H, t, J = 6. 5 Hz), 1. 67-1. 57 (2H, m), 1. 44-1. 30 (2H, m), 0. 9 0 (3H, t, J = 7. 3 Hz).

<u>実施例2: 2-プトキシ-8-ヒドロキシ-9-(6-メトキシ-3-ピリジルメチル)アデニン</u> 対応する出発物質を用いて実施例1と同様にして標記化合物を得た。

¹H NMR (DMSO-d₆) δ 10.09 (1H, brs), 8.16 (1H, d, J = 2.4 Hz), 7.65 (1H, d d, J = 2.4, 8.4 Hz), 6.78 (1H, d, J = 8.4 Hz), 6.49 (2H, brs), 4.80 (2H, s), 4.15 (2H, t, J = 6.6 Hz), 3.81 (3H, s), 1.66-1.58 (2H, m), 1.42-1.3 4 (2H, m), 0.91 (3H, t, J = 7.3 Hz).

実施例3: 2-プトキシ-9-(6-エトキシ-3-ピリジルメチル)-8-ヒドロキシアデニン 対応する出発物質を用いて実施例1と同様にして標記化合物を得た。

¹H NMR (DMSO-d₆) δ 10.06 (1H, brs), 8.14 (1H, d, J = 2.4 Hz), 7.64 (1H, d d, J = 2.4, 8.6 Hz), 6.75 (1H, d, J = 8.6 Hz), 6.48 (2H, brs), 4.80 (2H, s), 4.26 (2H, q, J = 7.2 Hz), 4.15 (2H, t, J = 6.6 Hz), 1.68-1.58 (2H, m), 1.42-1.34 (2H, m), 1.28 (3H, t, J = 7.2 Hz), 0.91 (3H, t, J = 7.3 Hz).

<u>実施例4: 2-プトキシ-9-(6-n-プトキシ-3-ピリジルメチル) -8-ヒドロキシアデニン</u>

対応する出発物質を用いて実施例1と同様にして標記化合物を得た。

¹H NMR (DMSO-d₆) δ 10. 25 (1H, brs), 8. 13 (1H, d, J = 1.9 Hz), 7. 63 (1H, d d, J = 1.9, 8.4 Hz), 6. 75 (1H, d, J = 8.4 Hz), 6. 53 (2H, brs), 4. 79 (2H, s), 4. 23-4. 12 (4H, m), 1. 68-1. 60 (4H, m), 1. 42-1. 34 (4H, m), 0. 94-0. 88 (6H, m).

<u>実施例5: 2-プトキシ-9-(2-クロロ-3-ピリジルメチル)-8-ヒドロキシアデニン</u> 対応する出発物質を用いて実施例1と同様にして標記化合物を得た。

¹H NMR (DMSO-d₆) δ 10. 15 (1H, brs), 8. 36-8. 33 (1H, m), 7. 52-7. 50 (1H, m), 7. 41-7. 36 (1H, m), 6. 53 (2H, brs), 4. 94 (2H, s), 4. 07 (2H, t, J = 6. 6 H z), 1. 62-1. 52 (2H, m), 1. 37-1. 23 (2H, m), 0. 87 (3H, t, J = 7.3 Hz).

実施例6: 2-プトキシ-8-ヒドロキシ-9-(2-メトキシ-3-ピリジルメチル)アデニン 対応する出発物質を用いて実施例1と同様にして標記化合物を得た。

¹H NMR (DMSO-d₆) δ 10.06 (1H, brs), 8.08-8.06 (1H, m), 7.21-7.19 (1H, m), 6.93-6.88 (1H, m), 6.47 (2H, brs), 4.80 (2H, s), 4.08 (2H, t, J = 6.5 H z), 3.92 (3H, s), 1.60-1.53 (2H, m), 1.38-1.29 (2H, m), 0.87 (3H, t, J = 7.3 Hz).

<u>実施例7: 2-ブトキシ-9-(6-クロロ-5-メトキシ-3-ピリジルメチル)-8-ヒドロキシアデニン</u>

対応する出発物質を用いて実施例1と同様にして標記化合物を得た。

¹H NMR (DMSO-d₆) δ 10.06 (1H, brs), 8.12 (1H, d, J = 1.9 Hz), 7.85 (1H, d, J = 1.9 Hz), 6.49 (2H, brs), 4.83 (2H, s), 4.16 (2H, t, J = 6.6 Hz), 3.91 (3H, s), 1.66-1.61 (2H, m), 1.42-1.34 (2H, m), 0.91 (3H, t, J = 7.3 Hz).

実施例8: 2-プトキシ-8-ヒドロキシ-9-(3-ピリジルメチル)アデニン

2-クロロアデニン (520mg, 3mmol) のDMF溶液 (30ml) に、炭酸カリウム (1.1 g, 8mmol) と3-クロロメチルピリジン・塩酸塩 (660mg, 5mmol) を加え、80℃で 3時間加熱撹拌した。反応液を減圧濃縮した後、水を加え析出した固体を濾取することにより2-クロロ-9-(3-ピリジルメチル)アデニンを得た(収量:759mg)。 ブタノール (50ml) にナトリウム (750mg, 30mmol) を加え、90℃まで昇温して完溶させた。続いて2-クロロ-9-(3-ピリジルメチル)アデニン (430mg, 1.5mmol) を加え、2時間加熱環流した。溶媒を減圧濃縮した後、残査に水を加え、氷冷下に濃塩酸を滴下して中和した。塩化メチレンを加えて分液し、有機層を減圧濃縮した。残査へ酢酸30mlを加えて溶解させた後、臭素 (660mg, 5.5mmol) を加え、室温で一昼夜反応させた。反応液を減圧留去した後、残査をシリカゲルカラムクロマトグラフィーで精製し(塩化メチレン:メタノール 10:1)、8-ブロモ-2-ブトキシ-9-(3-ピリジルメチル)アデニンを310mg得た。引き続き、参考例3及び実施例1と同様の操作により標記化合物を得た。

¹H NMR (DMSO- d_6) δ 10. 22 (1H, brs), 8. 56 (1H, d, J = 1.9 Hz), 8. 49-8. 47 (1H, m), 7. 71-7. 67 (1H, m), 7. 38-7. 33 (1H, m), 6. 54 (2H, brs), 4. 90 (2H, s), 4. 14 (2H, t, J = 6.6 Hz), 1. 65-1. 57 (2H, m), 1. 41-1. 33 (2H, m), 0. 90 (3H, t, J = 7.3 Hz).

実施例9: 2-ブトキシ-8-ヒドロキシ-9-(4-ピリジルメチル)アデニン

対応する出発物質を用いて実施例1と同様にして標記化合物を得た。

¹H NMR (DMSO-d₆) δ 10. 20 (1H, brs), 8. 70-8. 68 (2H, m), 7. 29-7. 27 (2H, m), 6. 48 (2H, brs), 4. 92 (2H, s), 4. 16 (2H, t, J = 6. 6 Hz), 1. 63-1. 55 (2H,

m), 1.40-1.32 (2H, m), 0.89 (3H, t, J = 7.3 Hz).

実施例10: 2-プトキシ-9-(ピラジン-2-イルメチル)-8-メトキシアデニン

対応する出発物質を用いて実施例1と同様にして標記化合物を得た。

¹H NMR (DMSO-d₆) δ 10. 02 (1H, brs), 8. 66 (1H, s), 8. 56-8. 53 (2H, m), 6. 48 (2H, brs), 5. 05 (2H, s), 4. 06 (2H, t, J = 6. 6 Hz), 1. 59-1. 51 (2H, m), 1. 37-1. 29 (2H, m), 0. 87 (3H, t, J = 7. 3 Hz).

<u>実施例11: 2-プトキシ-9-(5,6-ジクロロ-3-ピリジルメチル)-8-ヒドロキシアデ</u>ニン

参考例2と同様にして得られた 2-n-ブトキシ-8-プロモ-9-(5,6-ジクロロ-3-ピリジルメチル)-8-ヒドロキシアデニン(150 mg, 0.34 mmo1)のブタノール(4 mL) 懸濁液に濃塩酸(4 mL)を加え、70℃で9時間反応させた。反応液を減圧濃縮した後、氷冷下、残渣に水(30 mL)を加え、1N水酸化ナトリウム水溶液で中和した。析出した固体を濾取した後、粗結晶をシリカゲルカラムクロマトグラフィーで精製し(塩化メチレン:メタノール 25:1)、白色粉末結晶として標記化合物を45 mg 得た(収率: 35%)。

¹H NMR (DMSO-d₆) δ 10. 16 (1H, brs), 8. 36 (1H, d, J = 1.9 Hz), 8. 06 (1H, d, J = 1.9 Hz), 6. 52 (2H, brs), 4. 93 (2H, s), 4. 13 (2H, t, J = 6.6 Hz), 1 . 64-1. 58 (2H, m), 1. 40-1. 32 (2H, m), 0. 90 (3H, t, J = 7.3 Hz).

<u>実施例12: 2-プトキシ-9-(2, 6-ジクロロ-3-ピリジルメチル)-8-ヒドロキシアデ</u>ニン

対応する出発物質を用いて実施例11と同様の方法で標記化合物を得た。

¹H NMR (DMSO-d₆) δ 10. 12 (1H, brs), 7. 66 (1H, d, J = 8.4 Hz), 7. 52 (1H, d, J = 8.4 Hz), 6. 52 (2H, brs), 4. 92 (2H, s), 4. 08 (2H, t, J = 6.6 Hz), 1 . 60-1. 52 (2H, m), 1. 37-1. 29 (2H, m), 0. 88 (3H, t, J = 7.4 Hz).

<u>実施例13: 2-プトキシ-9-(6-ピペリジノ-3-ピリジルメチル)-8-ヒドロキシアデニン</u>

ピペリジン(3 LL)に実施例1で得られる化合物(100 mg, 0.29 mmol)を加え、90 ℃で30時間反応させた。反応液を減圧濃縮した後、残渣に塩化メチレン(50 LL) を加え固体を析出させた。析出固体を濾取し、水洗することにより白色粉末固体 として標記化合物を56 mg得た(収率: 49%)。

¹H NMR (DMSO-d₆) δ 9. 79 (1H, brs), 8. 09 (1H, d, J = 2. 4 Hz), 7. 47 (1H, dd, J = 2. 4, 8. 6 Hz), 6. 75 (1H, d, J = 8. 6 Hz), 6. 44 (2H, brs), 4. 70 (2H, s), 4. 17 (2H, t, J = 6. 5 Hz), 3. 48-3. 44 (4H, m), 1. 67-1. 35 (10H, m), 0. 9 2 (3H, t, J = 7. 3 Hz).

<u>実施例14: 2-プトキシ-9-(6-(1-ピロリジニル)-3-ピリジルメチル)-8-ヒドロキ</u>シアデニン

実施例1で得られる化合物とピロリジンを用いて、実施例13と同様の方法で標 記化合物を得た。

<u>実施例15: 2-プトキシ-9-(6-モルホリノ-3-ピリジルメチル)-8-ヒドロキシアデニン</u>

実施例1で得られる化合物とモルホリンを用いて、実施例13と同様の方法で標記化合物を得た。

¹H NMR (DMSO- d_6) δ 10.01 (1H, brs), 8.14 (1H, d, J = 2.4 Hz), 7.53 (1H, d d, J = 2.4, 8.6 Hz), 6.78 (1H, d, J = 8.6 Hz), 6.45 (2H, brs), 4.73 (2H, s), 4.16 (2H, t, J = 6.6 Hz), 3.66 (4H, t, J = 4.9 Hz), 3.38 (4H, t, J = 4.9 Hz), 1.67-1.61 (2H, m), 1.43-1.35 (2H, m), 0.92 (3H, t, J = 7.3 Hz).

<u>実施例16: 2-プトキシ-9-(6-ジメチルアミノ-3-ピリジルメチル)-8-ヒドロキシアデニン</u>

実施例1で得られる化合物と40%ジメチルアミン水溶液を用いて、実施例13と同様の方法で標記化合物を得た。

¹H NMR (DMSO-d₆) δ 10. 12 (1H, brs), 8. 09 (1H, d, J = 2. 4 Hz), 7. 48 (1H, d d, J = 2. 4, 8. 9 Hz), 6. 57 (1H, d, J = 8. 9 Hz), 6. 49 (2H, brs), 4. 70 (2H, s), 4. 16 (2H, t, J = 6. 6 Hz), 2. 97 (6H, s), 1. 70-1. 46 (2H, m), 1. 43-1. 3

3 (2H, m), 0.92 (3H, t, J = 7.3 Hz).

<u>実施例17: 2-プチルアミノ-9-(6-クロロ-3-ピリジルメチル)-8-ヒドロキシアデニン</u>

参考例5で得られる化合物を用いて、実施例11と同様の方法で標記化合物を得た。

¹H NMR (DMSO-d₆) δ 10. 10 (1H, brs), 8. 56 (1H, d, J = 1.9 Hz), 8. 49-8. 47 (1H, m), 7. 71-7. 67 (1H, m), 7. 38-7. 33 (1H, m), 6. 50 (2H, brs), 4. 90 (2H, s), 4. 14 (2H, t, J = 6.6 Hz), 1. 67-1. 57 (2H, m), 1. 41-1. 30 (2H, m), 0. 90 (3H, t, J = 7.3 Hz).

<u>実施例18: 2-ブチルアミノ-9-(2-クロロ-3-ピリジルメチル)-8-ヒドロキシアデニン</u>

参考例5で得られる化合物を用いて、実施例11と同様の方法で標記化合物を得た。

¹H NMR (DMSO-d₆) δ 9. 76 (1H, brs), 8. 35-8. 32 (1H, m), 7. 47-7. 36 (2H, m), 6. 23 (1H, brt, J = 5. 7 Hz), 6. 07 (2H, s), 4. 88 (2H, s), 3. 13-3. 05 (2H, m), 1. 43-1. 27 (2H, m), 1. 24-1. 16 (2H, m), 0. 82 (3H, t, J = 7. 3 Hz).

実施例19: 2-プチルアミノ-8-ヒドロキシ-9-(6-メトキシ-3-ピリジルメチル)ア デニン

参考例5で得られる化合物を用いて、実施例11と同様の方法で標記化合物を得た。

¹H NMR (DMSO-d₆) δ 10. 07 (1H, brs), 8. 17 (1H, d, J = 2. 4 Hz), 7. 67 (1H, d d, J = 2. 4, 8. 4 Hz), 6. 82-6. 76 (2H, m), 6. 60 (2H, brs), 4. 78 (2H, s), 3. 81 (3H, s), 3. 25-3. 17 (2H, m), 1. 54-1. 43 (2H, m), 1. 38-1. 25 (2H, m), 0. 8 9 (3H, t, J = 7. 3 Hz).

実施例20: 2-ブチルアミノ-8-ヒドロキシ-9-(2-ピリジルメチル)アデニン

参考例5で得られる化合物を用いて、実施例11と同様の方法で標記化合物を得 た。

¹H NMR (DMSO-d₆) δ 10. 88 (1H, s), 8. 56-8. 54 (1H, m), 8. 05-7. 89 (3H, m), 7 . 46-7. 41 (2H, m), 5. 05 (3H, s), 3. 19-3. 14 (2H, m), 1. 44-1. 21 (4H, m), 0.

81 (3H, t, J = 7.3 Hz).

実施例21: 2-プチルアミノ-8-ヒドロキシ-9-(3-ピリジルメチル)アデニン

参考例5で得られる化合物を用いて、実施例11と同様の方法で標記化合物を得た。

¹H NMR (DMSO-d₆) δ 9. 78 (1H, brs), 8. 55 (1H, d, J = 2. 4 Hz), 8. 47 (1H, dd, J = 1. 9, 4. 9 Hz), 7. 68 (1H, d, J = 7. 8 Hz), 7. 34 (1H, dd, J = 4. 9, 7. 8 Hz), 6. 21 (1H, brt, J = 5. 5 Hz), 6. 05 (2H, brs), 4. 84 (2H, s), 3. 20-3. 1 2 (2H, m), 1. 47-1. 39 (2H, m), 1. 32-1. 24 (2H, m), 0. 87 (3H, t, J = 7. 3 Hz),

実施例22: 2-ブチルアミノ-8-ヒドロキシ-9-(4-ピリジルメチル)アデニン

参考例5で得られる化合物を用いて、実施例11と同様の方法で標記化合物を得た。

¹H NMR (DMSO-d₆) δ 11. 05 (1H, brs), 8. 76 (2H, d, J = 8. 7 Hz), 8. 09-7. 88 (3H, m), 7. 73 (2H, d, J = 8. 7 Hz), 5. 09 (2H, s), 3. 22-3. 17 (2H, m), 1. 47-1. 32 (2H, m), 1. 29-1. 18 (2H, m), 0. 82 (3H, t, J = 7. 4 Hz).

<u>実施例23: 2-プチルアミノ-9-(2,6-ジクロロ-3-ピリジルメチル)-8-ヒドロキシアデニン</u>

参考例5で得られる化合物を用いて、実施例11と同様の方法で標記化合物を得た。

¹H NMR (DMSO-d₆) δ 9. 82 (1H, brs), 7. 61-7. 51 (2H, m), 6. 23 (1H, brt, J = 5. 4 Hz), 6. 08 (2H, s), 4. 87 (2H, s), 3. 12-3. 05 (2H, m), 1. 40-1. 19 (4H, m), 0. 82 (3H, t, J = 7. 3 Hz).

<u>実施例24: 2-ブチルアミノ-9-(6-ジメチルアミノ-3-ピリジルメチル)-8-ヒドロキシアデニン</u>

実施例17で得られる化合物と40%ジメチルアミン水溶液を用いて、実施例13と 同様の方法で標記化合物を得た。

¹H NMR (DMSO-d₆) δ 9. 61 (1H, brs), 8. 10 (1H, d, J = 2. 2 Hz), 7. 49 (1H, dd, J = 2. 2, 8. 6 Hz), 6. 56 (1H, d, J = 8. 6 Hz), 6. 18 (1H, brt, J = 5. 7 Hz), 5. 97 (2H, brs), 4. 65 (2H, s), 3. 22-3. 15 (2H, m), 2. 97 (6H, s), 1. 53-1.

42 (2H, m), 1.38-1.24 (2H, m), 0.89 (3H, t, J = 7.3 Hz).

<u>実施例25: 2-プトキシ-8-ヒドロキシ-9-(6-メチル-3-ピリジルメチル)アデニン</u>対応する出発物質を用いて実施例1と同様にして標記化合物を得た。

¹H NMR (DMSO-d₆) δ 9. 95 (1H, s), 8. 42 (1H, d, J = 2. 2 Hz), 7. 58 (1H, dd, J = 2. 2, 8. 1 Hz), 7. 20 (1H, d, J = 8. 1 Hz), 6. 46 (2H, brs), 4. 84 (2H, s), 4. 14 (2H, t, J = 6. 6 Hz), 2. 41 (3H, s), 1. 62 (2H, m), 1. 37 (2H, m), 0. 90 (3H, t, J = 7. 3 Hz).

<u>実施例26: 2-ブトキシ-8-ヒドロキシ-9-(2-メチル-3-ピリジルメチル)アデニン</u> 対応する出発物質を用いて実施例1と同様にして標記化合物を得た。

¹H NMR (DMSO-d₆) δ 10.01 (1H, brs), 8.33 (1H, d, J = 3.1 Hz), 7.39 (1H, d, J = 6.4 Hz), 7.15 (1H, dd, J = 3.1, 6.4 Hz), 6.49 (2H, brs), 4.88 (2H, s), 4.10 (2H, t, J = 6.6 Hz), 2.59 (3H, s), 1.59 (2H, m), 1.34 (2H, m), 0.88 (3H, t, J = 7.3 Hz).

<u>実施例27: 2-プチルアミノ-8-ヒドロキシ-9-(6-メチル-3-ピリジルメチル)アデ</u>ニン

参考例5で得られる化合物を用いて、実施例11と同様の方法で標記化合物を得た。

¹H NMR (DMSO- d_e) δ 9. 78 (1H, s), 8. 42 (1H, d, J = 2. 2 Hz), 7. 57 (1H, dd, J = 2. 2, 8. 0 Hz), 7. 19 (1H, d, J = 8. 0 Hz), 6. 22 (1H, t, J = 7. 1 Hz), 6. 09 (2H, brs), 4. 78 (2H, s), 3. 16 (2H, m), 2. 41 (3H, s), 1. 44 (2H, m), 1. 28 (2H, m), 0. 87 (3H, t, J = 9.6 Hz).

<u>実施例28: 2-プチルアミノ-8-ヒドロキシ-9-(2-メチル-3-ピリジルメチル) アデニン</u>

参考例5で得られる化合物を用いて、実施例11と同様の方法で標記化合物を得た。

¹H NMR (DMSO- d_6) δ 9. 70 (1H, s), 8. 32 (1H, d, J = 3. 1 Hz), 7. 37 (1H, d, J = 7. 7 Hz), 7. 14 (1H, dd, J = 3. 1, 7. 7 Hz), 6. 20 (1H, t, J = 6. 4 Hz), 6. 0 (2H, brs), 4. 82 (2H, s), 3. 12 (2H, m), 2. 60 (3H, s), 1. 39 (2H, m), 1. 2 5 (2H, m), 0. 84 (3H, t, J = 7. 1 Hz).

<u>実施例29: 2-プチルアミノ-9-(2-クロロ-6-メチル-3-ピリジルメチル)-8-ヒドロキシアデニン</u>

参考例5で得られる化合物を用いて、実施例11と同様の方法で標記化合物を得た。

実施例30: 2-ブチルアミノ-8-ヒドロキシ-9-(6-ヒドロキシ-3-ピリジルメチル) アデニン

参考例5で得られる化合物を用いて、実施例11と同様の方法で標記化合物を得た。

 $^{1}H \ NMR \ (DMSO-d_{6}) \ \delta \ 11.50 \ (1H, \ brs) \ , \ 9.61 \ (1H, \ brs) \ , \ 7.45 \ (1H, \ dd, \ J=2.6 \ , \ 9.5 \ Hz) \ , \ 7.28 \ (1H, \ d, \ J=2.6 \ Hz) \ , \ 6.28 \ (1H, \ d, \ J=9.5 \ Hz) \ , \ 6.22 \ (1H, \ t, \ J=6.2 \ Hz) \ , \ 6.22 \ (1H, \ t, \ J=6.2 \ Hz) \ , \ 4.53 \ (2H, \ s) \ , \ 3.17 \ (2H, \ q, \ J=6.2 \ Hz) \ , \ 1.45 \ (2H, \ m) \ , \ 1.30 \ (2H, \ m) \ , \ 0.88 \ (3H, \ t, \ J=7.3 \ Hz) \ .$

<u>実施例31: 8-ヒドロキシ-2-(2-メトキシ)エトキシ-9-(3-ピリジルメチル)アデニン</u>

対応する出発物質を用いて実施例1と同様の方法で標記化合物を得た。

¹H NMR (DMSO-d₆) δ 10. 02 (1H, brs), 8. 57 (1H, s), 8. 48 (1H, d, J = 4. 8 Hz), 7. 70 (1H, d, J = 6. 1 Hz), 7. 36 (1H, dd, J = 4. 8, 6. 1 Hz), 6. 50 (2H, brs), 4. 90 (2H, s), 4. 27 (2H, t, J = 4. 6 Hz), 3. 59 (2H, t, J = 4. 6 Hz), 3. 27 (3H, s).

実施例32: 8-ヒドロキシ-2-メトキシ-9-(3-ピリジルメチル)アデニン

実施例1と同様の方法で標記化合物を得た。

 ^{1}H NMR (DMSO-d₆) δ 10.04 (1H, brs), 8.57 (1H, s), 8.48 (1H, d, J = 4.8 Hz), 7.71 (1H, d, J = 6.1 Hz), 7.35 (1H, dd, J = 4.8, 6.1 Hz), 6.53 (2H, brs), 4.90 (2H, s), 3.76 (3H, s).

<u>実施例33: 2-プチルアミノ-8-エトキシカルボニルオキシ-9-(6-メトキシ-3-ピリ</u> <u>ジルメチル) アデニン</u>

実施例19で得られる化合物 (200 mg, 0.58 mmol) の塩化メチレン溶液 (15 mL) に、トリエチルアミン (100 μ L, 0.75 mmol)、クロロぎ酸エチル (67 μ L, 0.70 mmol)、ジメチルアミノピリジン (20 mg, 0.17 mmol) を順次加え、室温で15時間反応させた。反応液に水を加え有機層を抽出した。5% クエン酸水溶液、10%食塩水で洗浄して無水硫酸ナトリウムで乾燥した後、溶媒を減圧留去した。残渣にヘキサンを加え、固体を析出させて濾取し、白色粉末固体として標記化合物を170 mg 得た (収率: 71%)。

実施例34: 2-ブチルアミノ-9-(6-クロロ-3-ピリジルメチル)-8-エトキシカルボ ニルオキシアデニン

実施例17で得られる化合物とクロロぎ酸エチルを用いて、実施例33と同様の方法で標記化合物を得た。

 $^{1}H \ NMR \ (CDC1_{3}) \ \delta \ 8. \ 55 \ (1H, \ d, \ J = 2.4 \ Hz) \ , \ 7. \ 81 \ (1H, \ dd, \ J = 2.4, \ 8.4 \ Hz)$, $7. \ 27 \ (1H, \ d, \ J = 8.4 \ Hz) \ , \ 6. \ 06 \ (2H, \ brs) \ , \ 4. \ 93 \ (2H, \ s) \ , \ 4. \ 83 \ (1H, \ brt, \ J = 5.5 \ Hz) \ , \ 4. \ 47 \ (2H, \ q, \ J = 7.1 \ Hz) \ , \ 3. \ 40-3. \ 32 \ (2H, \ m) \ , \ 1. \ 59-1. \ 36 \ (7H, \ m) \ , \ 0. \ 95 \ (3H, \ t, \ J = 7. \ 3 \ Hz) \ .$

<u>実施例35: 2-ブチルアミノ-8-イソプロポキシカルボニルオキシ-9-(6-メトキシ-</u>3-ピリジルメチル)アデニン

実施例19で得られる化合物とクロロぎ酸イソプロピルを用いて、実施例33と同様の方法で標記化合物を得た。

¹H NMR (CDCl₃) δ 8. 32 (1H, d, J = 2. 2 Hz), 7. 74 (1H, dd, J = 2. 2, 8. 9 Hz), 6. 68 (1H, d, J = 8. 9 Hz), 6. 08 (2H, brs), 5. 23-5. 13 (1H, m), 4. 89 (1H, brt, J = 5. 8 Hz), 4. 87 (2H, s), 3. 91 (3H, s), 3. 42-3. 35 (2H, m), 1. 60-1 . 52 (2H, m), 1. 48-1. 37 (8H, m), 0. 96 (3H, t, J = 7. 3 Hz).

<u>実施例36: 2-ブチルアミノ-9-(6-クロロ-3-ピリジルメチル)-8-イソプロポキシカルポニルオキシアデニン</u>

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実施例17で得られる化合物とクロロぎ酸イソプロピルを用いて、実施例33と同様の方法で標記化合物を得た。

¹H NMR (CDCl₃) δ 8. 55 (1H, d, J = 1.9 Hz), 7. 80 (1H, dd, J = 1.9, 8. 4 Hz), 7. 27 (1H, d, J = 8. 4 Hz), 6. 14 (2H, brs), 5. 24–5. 14 (1H, m), 4. 96–4. 92 (3H, m), 3. 40–3. 33 (2H, m), 1. 62–1. 51 (2H, m), 1. 45–1. 34 (8H, m), 0. 95 (3H, t, J = 7.3 Hz).

<u>実施例37: 2-プトキシ-8-エトキシカルボニルオキシ-9-(6-メトキシ-3-ピリジル</u>メチル)アデニン

実施例2で得られる化合物とクロロぎ酸エチルを用いて、実施例33と同様の方法で標記化合物を得た。

¹H NMR (CDC1₃) δ 8. 33 (1H, d, J = 2. 4 Hz), 7. 75 (1H, dd, J = 2. 4, 8. 1 Hz), 6. 68 (1H, d, J = 8. 1 Hz), 6. 15 (2H, brs), 4. 93 (2H, s), 4. 48 (2H, q, J = 7. 1 Hz), 4. 30 (2H, t, J = 6. 6 Hz), 3. 90 (3H, s), 1. 83-1. 72 (2H, m), 1. 53-1. 43 (5H, m), 0. 98 (3H, t, J = 7. 3 Hz).

<u>実施例38: 2-プトキシ-9-(6-クロロ-3-ピリジルメチル)-8-エトキシカルポニル</u> オキシアデニン

実施例1で得られる化合物とクロロぎ酸エチルを用いて、実施例33と同様の方法で標記化合物を得た。

¹H NMR (CDC1₃) δ 8. 56 (1H, d, J = 2. 4 Hz), 7. 81 (1H, dd, J = 2. 4, 8. 4 Hz), 7. 29 (1H, d, J = 8. 4 Hz), 7. 28 (2H, brs), 4. 99 (2H, s), 4. 49 (2H, q, J = 7. 0 Hz), 4. 28 (2H, t, J = 6. 6 Hz), 1. 81-1. 71 (2H, m), 1. 52-1. 43 (5H, m), 0. 97 (3H, t, J = 7. 3 Hz).

実施例39: 2-プトキシ-9-(2-クロロ-3-ピリジルメチル)-8-エトキシカルボニル オキシアデニン

実施例5で得られる化合物とクロロぎ酸エチルを用いて、実施例33と同様の方法で標記化合物を得た。

¹H NMR (DMSO-d₆) δ 8. 37-8. 35 (1H, m), 7. 73-7. 69 (1H, m), 7. 41-7. 37 (1H, m), 7. 09 (2H, brs), 4. 95 (2H, s), 4. 39 (2H, q, J = 7. 2 Hz), 4. 12 (2H, t, J = 6. 6 Hz), 1. 61-1. 53 (2H, m), 1. 37-1. 29 (5H, m), 0. 87 (3H, t, J = 7. 3

Hz).

<u>実施例40: 2-プトキシ-8-メトキシカルポニルオキシ-9-(3-ピリジルメチル) アデニン</u>

実施例8で得られる化合物とクロロぎ酸メチルを用いて、実施例33と同様の方法で標記化合物を得た。

¹H NMR (CDCl₃) δ 8. 77 (1H, d, J = 2. 2Hz), 8. 56-8. 53 (1H, m), 7. 85-7. 81 (1 H, m), 7. 25 (2H, brs), 7. 24-7. 22 (1H, m), 5. 02 (2H, s), 4. 30 (2H, t, J = 6. 6 Hz), 4. 05 (3H, s), 1. 82-1. 72 (2H, m), 1. 53-1. 42 (2H, m), 0. 97 (3H, t, J = 7. 3 Hz).

<u>実施例41: 2-プトキシ-8-(n-ペンチルオキシ)カルボニルオキシ-9-(3-ピリジル</u>メチル)アデニン

実施例8で得られる化合物とクロロぎ酸n-ペンチルを用いて、実施例33と同様の方法で標記化合物を得た。

¹H NMR (DMSO-d₆) δ 8. 59 (1H, d, J = 1. 9 Hz), 8. 51-8. 48 (1H, m), 7. 75-7. 72 (1H, m), 7. 39-7. 34 (1H, m), 7. 07 (2H, brs), 4. 92 (2H, s), 4. 32 (2H, t, J = 6. 6 Hz), 4. 18 (2H, t, J = 6. 5 Hz), 1. 71-1. 61 (4H, m), 1. 41-1. 31 (6H, m), 0. 93-0. 85 (6H, m).

<u>実施例42: 2-ブトキシ-8-(シクロヘキシルオキシ)カルボニルオキシ-9-(3-ピリジルメチル)アデニン</u>

実施例8で得られる化合物とクロロぎ酸シクロヘキシルを用いて、実施例33と 同様の方法で標記化合物を得た。

¹H NMR (DMSO-d₆) δ 8. 60 (1H, d, J = 1.9 Hz), 8. 51-8. 49 (1H, m), 7. 76-7. 72 (1H, m), 7. 39-7. 35 (1H, m), 7. 07 (2H, brs), 4. 96-4. 93 (3H, m), 4. 18 (2H, t, J = 6.5 Hz), 1. 86-1. 58 (8H, m), 1. 44-1. 33 (6H, m), 0. 91 (3H, t, J = 7.4 Hz).

<u>実施例43 : 8-(アリルオキシ)カルボニルオキシ-2-ブトキシ-9-(3-ピリジルメチル)アデニン</u>

実施例8で得られる化合物とクロロぎ酸アリルを用いて、実施例33と同様の方法で標記化合物を得た。

¹H NMR (DMSO- d_6) δ 8. 61 (1H, d, J = 1.9 Hz), 8. 51-8. 49 (1H, m), 7. 77-7. 74 (1H, m), 7. 39-7. 35 (1H, m), 7. 25 (1H, dd, J = 6.5, 13.8 Hz), 7. 03 (2H, brs), 5. 06 (1H, dd, J = 1.9, 13.8 Hz), 4. 93 (2H, s), 4. 86 (1H, dd, J = 1.9, 6.5 Hz), 4. 19 (2H, t, J = 6.6 Hz), 1. 64-1. 41 (2H, m), 1. 39-1. 33 (2H, m), 0. 91 (3H, t, J = 7.4 Hz).

<u>実施例44:8-アセチルオキシ-2-プトキシ-9-(6-クロロ-3-ピリジルメチル)アデ</u>ニン

実施例17で得られる化合物と無水酢酸を用いて、実施例33と同様の方法で標記 化合物を得た。

¹H NMR (CDCl₃) δ 8. 56 (1H, d, J = 2. 4 Hz), 7. 80 (1H, dd, J = 2. 4, 8. 4 Hz), 7. 30 (1H, d, J = 8. 4 Hz), 7. 28 (2H, brs), 5. 00 (2H, s), 4. 29 (2H, t, J = 6. 5 Hz), 2. 72 (3H, s), 1. 82-1. 71 (2H, m), 1. 52-1. 42 (2H, m), 0. 97 (3H, t, J = 7. 3 Hz).

<u>実施例45: 8-プロピオニルオキシ-2-ブトキシ-9-(6-クロロ-3-ピリジルメチル)</u> アデニン

実施例17で得られる化合物と塩化プロピオニルを用いて、実施例33と同様の方法で標記化合物を得た。

¹H NMR (CDC1₃) δ 8. 55 (1H, d, J = 2. 4 Hz), 7. 80 (1H, dd, J = 2. 4, 8. 1 Hz), 7. 30 (1H, d, J = 8. 1 Hz), 7. 28 (2H, brs), 5. 00 (2H, s), 4. 29 (2H, t, J = 6. 5 Hz), 3. 14 (2H, q, J = 7. 4 Hz), 1. 82-1. 71 (2H, m), 1. 55-1. 42 (2H, m), 1. 23 (3H, t, J = 7. 3 Hz), 0. 97 (3H, t, J = 7. 3 Hz).

<u>実施例46: 8-ベンゾイルオキシ-2-ブトキシ-9-(6-メチル-3-ピリジルメチル)ア</u> デニン

実施例25で得られる化合物と塩化ベンゾイルを用いて、実施例33と同様の方法で標記化合物を得た。

¹H NMR (CDCl₃) δ 8. 64 (1H, d, J = 2.4 Hz), 7.77 (2H, d, J = 7.3 Hz), 7.69 (1H, dd, J = 2.4, 8.1 Hz), 7.63 (1H, t, J = 7.3 Hz), 7.49 (2H, t, J = 7.3 Hz), 7.09 (1H, d, J = 8.1 Hz), 5.76 (2H, brs), 4.95 (2H, s), 4.34 (2H, t, J = 6.6 Hz), 2.52 (3H, s), 1.78 (2H, m), 1.52 (2H, m), 0.99 (3H, t,

J = 7.3 Hz).

<u>実施例47:8-ベンゾイルオキシ-2-ブチルアミノ-9-(6-メチル-3-ピリジルメチル</u>)アデニン

実施例27で得られる化合物と塩化ペンゾイルを用いて、実施例33と同様の方法で標記化合物を得た。

¹H NMR (CDC1₃) δ 8. 63 (1H, d, J = 2. 0 Hz), 7. 74 (2H, d, J = 7. 4 Hz), 7. 69 (1H, dd, J = 2. 0, 7. 9 Hz), 7. 61 (1H, t, J = 7. 4 Hz), 7. 47 (2H, t, J = 7. 4 Hz), 7. 08 (1H, d, J = 7. 9 Hz), 5. 57 (2H, brs), 4. 88 (2H, s), 4. 84 (1H, t, J = 5. 9 Hz), 3. 40 (2H, m), 2. 52 (3H, s), 1. 58 (2H, m), 1. 42 (2H, m), 0. 97 (3H, t, J = 7. 3 Hz).

<u>実施例48: 2-ブトキシ-8-(4-メチル) ベンゾイルオキシ-9-(6-メチル-3-ピリジル</u>メチル) アデニン

実施例25で得られる化合物と塩化p-トルオイルを用いて、実施例33と同様の方法で標記化合物を得た。

 $^{1}H \ NMR \ (DMSO-d_{6}) \ \delta \ 8.44 \ (1H, \ s) \ , \ 7.73 \ (2H, \ d, \ J=8.2 \ Hz) \ , \ 7.62 \ (1H, \ d, \ J=8.0 \ Hz) \ , \ 7.30 \ (2H, \ d, \ J=8.2 \ Hz) \ , \ 7.20 \ (1H, \ d, \ J=8.0 \ Hz) \ , \ 6.84 \ (2H, \ brs) \ , \ 4.84 \ (2H, \ s) \ , \ 4.22 \ (2H, \ t, \ J=6.6 \ Hz) \ , \ 2.42 \ (3H, \ s) \ , \ 2.40 \ (3H, \ s) \ , \ 1.66 \ (2H, \ m) \ , \ 1.41 \ (2H, \ m) \ , \ 0.92 \ (3H, \ t, \ J=7.4 \ Hz) \ .$

<u>実施例49: 2-プチルアミノ-8-(4-メチル) ベンゾイルオキシ-9-(6-メチル-3-ピリジルメチル) アデニン</u>

実施例27で得られる化合物と塩化p-トルオイルを用いて、実施例33と同様の方法で標記化合物を得た。

¹H NMR (DMSO-d₆) δ 8. 43 (1H, s), 7. 69 (2H, d, J = 8. 2 Hz), 7. 62 (1H, d, J = 8. 0 Hz), 7. 28 (2H, d, J = 8. 2 Hz), 7. 19 (1H, d, J = 8. 0 Hz), 6. 71 (1H, brs), 6. 25 (2H, brs), 4. 80 (2H, s), 3. 22 (2H, t, J = 6. 6 Hz), 2. 42 (3H, s), 2. 39 (3H, s), 1. 46 (2H, m), 1. 30 (2H, m), 0. 89 (3H, t, J = 7. 4 Hz). 実施例50: 2-プチルアミノ-8-ヒドロキシ-9- (1-ナフチルメチル) アデニン

参考例5で得られた化合物を用いて、実施例11と同様の方法で表記化合物を得た。

¹H NMR (DMSO-d₆) δ 9. 79 (1H, s), 8. 39-8. 41 (1H, m), 7. 94-7. 97 (1H, m), 7. 83-7. 86 (1H, m), 7. 55-7. 59 (2H, m), 7. 40-7. 46 (1H, m), 7. 26 (1H, m), 6. 17 (1H, t, J = 5. 8 Hz), 6. 05 (2H, s), 5. 28 (2H, s), 3. 09-3. 16 (2H, m), 1. 36-1. 44 (2H, m), 1. 21-1. 29 (2H, m), 0. 83 (3H, t, J = 7. 4 Hz)

実施例51:2-ブチルアミノ-8-ヒドロキシ-9-(2-ナフチルメチル)アデニン

参考例5で得られた化合物を用いて、実施例11と同様の方法で表記化合物を得た。

¹H NMR (DMSO- d_6) 8 9. 69 (1H, s), 7. 84-7. 89 (3H, m), 7. 74 (1H, s), 7. 47 -7. 52 (3H, m), 6. 21 (1H, t, J = 5. 8 Hz), 6. 03 (2H, s), 4. 97 (2H, s), 3. 1 2-3. 20 (2H, m), 1. 41-1. 46 (2H, m), 1. 22-1. 31 (2H, m), 0. 83 (3H, t, J = 7 . 4 Hz)

実施例52:2-プトキシ-8-ヒドロキシ-9-(1-ナフチルメチル)アデニン

対応する出発物質を用いて、実施例1と同様の方法で表記化合物を得た。

 $^{1}\mathrm{H}$ NMR (DMSO-d₆) δ 10. 21 (1H, s), 8. 37-8. 41 (1H, m), 7. 85-7. 98 (2H, m), 7. 55-7. 60 (2H, m), 7. 41-7. 47 (1H, m), 7. 25-7. 28 (1H, m), 6. 52 (2H, s), 5. 34 (2H, s), 4. 10 (2H, d, J = 6. 6 Hz), 1. 55-1. 61 (2H, m), 1. 29-1. 38 (2H, m), 0. 87 (3H, t, J = 7. 3 Hz)

実施例53:2-プトキシ-8-ヒドロキシ-9-(2-ナフチルメチル)アデニン

対応する出発物質を用いて、実施例1と同様の方法で表記化合物を得た。

 $^{1}H \ \ NMR \ \ (DMS0-d_{6}) \ \ \delta \ \ 10. \ 12 \ \ (1H, \ \ s) \ , \ \ 7. \ 87-7. \ 90 \ \ (3H, \ \ m) \ , \ \ 7. \ 76 \ \ (1H, \ \ s) \ , \ \ 7. \ 4$ $6-7. \ 51 \ \ (3H, \ \ m) \ , \ \ 6. \ 45 \ \ (2H, \ \ s) \ , \ \ 5. \ 02 \ \ \ (2H, \ \ s) \ , \ \ 4. \ 14 \ \ \ (2H, \ \ d, \ \ J = 6. \ 6 \ \ Hz) \ , \ \ 1.$ $55-1. \ \ 63 \ \ \ (2H, \ \ m) \ , \ \ 1. \ \ 31-1. \ \ 39 \ \ \ \ (2H, \ \ m) \ , \ \ 0. \ 88 \ \ \ (3H, \ \ t, \ \ J = 7. \ 3 \ \ Hz)$

<u>実施例54:2-ブチルアミノ-8-ヒドロキシ-9-(5-クロロ-2-チエニルメチル)ア</u> <u>デニン</u>

参考例5で得られた化合物を用いて、実施例11と同様の方法で表記化合物を得た。

 $^{1}\mathrm{H}$ NMR (DMSO-d_6) δ 9. 85 (1H, s), 6. 96 (1H, d, J = 3. 8 Hz), 6. 91 (1H, d , J = 3. 8 Hz), 6. 23 (1H, t, J = 5. 4 Hz), 6. 07 (2H, s), 4. 87 (2H, s), 3. 1 5-3. 23 (2H, m), 1. 43-1. 53 (2H, m), 1. 24-1. 37 (2H, m), 0. 89 (3H, t, J = 7)

. 3 Hz)

<u>実施例55:2-ブチルアミノ-8-ヒドロキシ-9-(6-メチル-3-ピリジルメチル)アデ</u>ニン 一硫酸塩

実施例27の化合物(2.52 g, 7.70 mmol)のメタノール溶液(520 ml)に0.5N 硫酸(30.8 ml)を加え、析出した結晶を濾取し、標記化合物を得た。

mp 249-252 ℃

Calc: C 45. 17, H 5. 45, N 23. 04, S 7. 54

Anal: C 44.96, H 5.56, N 22.90, S 7.53

実施例56: マウス脾臓細胞におけるインターフェロン誘導作用 (in vitro)

C3H/HeJ系マウス(雄性;8-10週齢)から脾臓を摘出し、5%FBSを含むMEM培地 を用いて2×10⁶ cells/mlの脾細胞懸濁液を調製し、24穴マイクロプレートの各 ウエルに0.5 mlずつ分注した。そして、同培地で希釈した被験化合物 (0.2% DMS 0を含む) を各ウエルに0.5 ml ずつ添加し、5% CO₂インキュベーター内で37℃、2 4時間培養した後、培養液を0.2マイクロメーターのフィルターで無菌ろ過して培 養上清を得た。培養上清中のインターフェロンカ価はJ. A. Armstrong, Methods in Enzymology 78, 381-7に記載のバイオアッセイにより定量した。すなわち1 ×10⁴ cells/50μlのマウス繊維芽細胞 L929を96穴の培養プレートで24時間培 養後、50μ1の希釈培養上清を添加し、更に24時間培養した。続いて水泡性口内 炎ウイルスを 100μl ずつ添加し、ウイルス感染後44時間での細胞変性効果をク リスタルバイオレット染色により確認した。定量は、色素を2%デオキシコール 酸ナトリウム水溶液で溶解し、595nmの吸収を測定することで行った。なお、参 考化合物例1として、9-ベンジル-2-ブチルアミノ-8-ヒドロキシアデニン(W099-28321の実施例24の化合物)、参考化合物例2として、9-ベンジル-2-ブトキシ-8-ヒドロキシアデニン (W099-28321の実施例19の化合物)、比較例1としてR-837 (イミキモド)、比較例2としてR-848(1-(2-ヒドロキシ-2-メチルプロピル)-2-メトキシエチル-1H-イミダゾ[4,5-c]キノリン-4-アミン)を用いた。表2に各化 合物の最少有効濃度を示す。

表 2

インターフェロン誘導活性

		• •	
化合物	最少有効濃度 (nM)	化合物	最少有効濃度 (nM)
実施例l	1	実施例18	10
実施例2	1	実施例19	3
実施例3	1	実施例20	30
実施例4	10	実施例21	3
実施例5	3	実施例22	10
実施例6	· 1	実施例23	10
実施例7	1	実施例24	3
実施例8	1	実施例25	1
実施例9	3	実施例26	1
実施例10	10	実施例27	3
実施例11	3	実施例28	10
実施例12	10	実施例29	10
実施例13	3	参考化合物1	100
実施例14	1	参考化合物2	1
実施例15	1	比較例1	300
実施例16	1	比較例2	3
実施例17	3		

実施例57: マウスにおけるインターフェロン誘導作用 (in vivo)

被験化合物を0.5%カルボキシメチルセルロース水溶液に懸濁し、Balb/c雄性マウスに経口投与した。2時間後心臓より採血し、血清中のインターフェロンカ価を実施例56と同様の方法で測定した。表3に結果を示す。

表3

インターフェロン誘導活性 (U/ml)

	投与量 (mg/kg)			
	0. 01	0. 03	0.3	
実施例1		123±87	0. 1 322±95	623±32
実施例2	25±17	388±87	1211±263	2559±495
実施例3			353±73	1966±532
実施例5	l		133±33	473±9
実施例6			569±42	1222±248
実施例7			347±149	845±22
実施例8	13±5	167±97	725±141	936±438
実施例9				539±107
実施例10		89±22	409±267	733±256
実施例11			279±177	568±160
実施例12		·		31±5
実施例13				304±138
実施例14	·			570±63
実施例15			52±32	603±147
実施例16			251±46	716±155
実施例17		31±23	183±43	999±379
実施例18				94±49
実施例19	14±5	199±84	383 ± 122	601±187
実施例21		15±8	290±134	571±164
実施例22			21±9	332±83
実施例23			42±7	414±118
実施例24				403±146
実施例25	65±52	151±29	753 ± 140	721±299
実施例26		121±11	433±366	780±190
実施例27		14±3	324±66	804±274
実施例28			186±62	1462±260
実施例29				619±268
参考化合物1			55 ± 25	275±165
参考化合物2		60±40	186±42	317±160
比較例2			1638±246	1961±950

実施例58: カニクイザルにおけるインターフェロン誘導作用 (in vivo)

被験化合物を0.5%カルボキシメチルセルロース水溶液に懸濁し、10 mg/kgを雄性カニクイザル1群5匹に経口投与した。経時的に採血し、血清中のインターフェロンカ価は実施例56と同様の方法で測定した。投与4時間後における血清中のインターフェロンカ価(平均値±SE)は、実施例17が13,876±825 U/ml、実施例19

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が12,173±6619 U/ml、実施例27が14,488±6365 U/ml、参考例2が18,305±5578 U/mlであり、ほぼ同等のインターフェロン誘導作用が認められた。しかしながら、参考例2では5匹中4例で嘔吐が認められたのに対し、本発明の化合物では嘔吐は1例も認められなかった。

実施例59: ヒト末梢血単核球細胞 (PBMC) におけるインターフェロン誘導作用

健常ボランティア5名の末梢血をヘパリン加採血し、LymphoprepTM(NYCOMED P HARMA AS)を用いた密度勾配遠心分離により単核球細胞(PBMC)を調製した。PB MCを無血清 RPMI 1640培地で2回洗浄した後、10% かかからに調製した。PM 1640培地で 1×10^6 個/mlに調製し、ジメチルスルホキシド(終濃度0.1%)に溶解した被験化合物の存在下で5% CO_2 インキュベータ内で37%にて24時間培養した。なお、対照には被験化合物を含まない0.1%ジメチルスルホキシドを用いた。培養上清を無菌濾過により回収し、IFN誘導活性の測定実験に供するまで-20%以下で凍結保存した。培養上清中のヒトIFN- α の定量はアマシャム社の高感度ELISA systemを使用した。表4に結果を示す。(-)は検出限界(1.25 pg/ml)以下、NTは未試験を意味する。

表 4

	濃度 (nM)					
	0. 3	l	3	10	30	
実施例17	NT	5±3	17±17	50±33	61±38	
実施例19	NT ·	5±1	12±11	48±34	60±33	
実施例25	7±3	28±24	46±34	NT	NT	
実施例27	NT	6±3	26±22	56±37	59±33	
比較例2	-	-	6±5	NT	NT	
歩きル合助 9	NT.		7	23 + 22	64 + 40	

インターフェロン誘導活性 (pg/ml)

実施例60: 感作脾臓細胞からのTh2サイトカイン産生抑制作用

7週齢のBALB/cマウスに、 $10~\mu$ gのオボアルブミンを吸着させた $4~\mu$ gの水酸化アルミニウムゲル($100~\mu$ l)を腹腔内投与して免疫し、14日後同剤で追加免疫した。その7日後、脾臓を取りだし、非働化牛胎児血清(10%)、2-メルカプトエタ

ノール(50 μ 1)、ペニシリンG(100 U/ml)、ストレプトマイシン(100 μ g/ml)を含むRPMI-1640に懸濁し、脾細胞浮遊液を調製した。脾細胞浮遊液(5×106 cell/200 μ l/well)にオボアルブミン(0.5 mg/ml)および試験化合物を添加し、37℃、5% CO_2 存在下で3日間培養した。培養上清中のサイトカイン量をELISA法により定量した。IFN- γ およびIL-4はアマシャム社、IL-5はエンドジェン社のキットを使用した。表5に結果を示す。

表 5

IL-4產生阻害作用(% of control)

	濃度 (nM)			
-	0. 1	1	10	100
実施例1	98	7	2	< 1
実施例2	96	4	<1	<1
実施例10		54	3	<1
実施例17	_	40	<1	<1
実施例19	1	32	< 1	<1
実施例20	-	106	23	2
実施例21		53	11	<1
実施例22	_	88	9	1
実施例25	86	2	<1	<1
実施例27		30	11	<1
比較例1	-	18	1	<1
比較例2	90	24	11	<1
参考化合物1		-	109	24
参考化合物2	107	48	11	(1

IL-5産生阻害作用 (% of control)

	濃度 (nM)			
	0. 1	1	10	100
実施例1	116	41	16	15
実施例2	98	37	14	12
実施例10	_ ·	91	32	15
実施例17	_	77	24	10
実施例19	-	83	16	13
実施例20	_	106	71	19
実施例21	-	97	23	15
実施例22	-	115	50	18
実施例25	102	31	13	13
実施例27	_	68	20	12
比較例1	-	54	15	10
比較例2	106	73	18	10
参考化合物1	<u></u> :	-	92	69
参考化合物2	99	79	16	11

実施例61: ラットにおける消化管吸収性

被験化合物を0.5%カルボキシメチルセルロース水溶液に懸濁し、SD系雄性ラットに経口投与した。経時的に採血し、血中の薬物濃度をHPLCにて測定した。下表にそのCmaxおよびTmaxを示す。

表 6

CmaxおよびTmax

	Dose	Cmax	Tmax
	(mg/kg)	(ng/ml)	(hr)
実施例17	3	310	1
実施例19	3	188	4
実施例25	3	18	1
の塩酸塩	10	150	0. 25
実施例27	3	565	0. 5
比較例1	3	90	0. 5
나 하산 151 9	3	19	1
比較例2	10	15	0. 5

実施例62:溶解度

5.53%クエン酸 (1水和物) と、1.75%リン酸二ナトリウム (無水) を混合し調整した緩衝液 (pH 2.5, 5.5, 7.4) に化合物を添加し、ボルテックスミキサーで撹拌、超音波で30分処理した後、再度ボルテックスミキサーで撹拌し、遠心分離 (15,000 rpm, 20min) する。その後、上澄中の化合物濃度をHPLCで定量した。下表にその濃度を示す。

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表 7

 $(\mu g/m1)$

	pH 2.5	pH 5.5	pH 7.4
実施例 8	784	20	18
実施例 9	350	4	3
実施例 10	34	15	10
実施例 15	140	1	<1
実施例 16	293	NT	NT
実施例 19	130	2	.2
実施例 20	8, 813	NT	NT
実施例 21	15, 000	45	64
実施例 22	>80, 000	73	16
実施例 24	27, 000	22	1
実施例 25	>1, 000	5	3
実施例 26	>1, 000	6	3
実施例 27	610	5	2
実施例 28	>1, 000	8	4
実施例 31	>1, 000	353	322
実施例 32	>1, 000	82	25
参考化合物 1	26	<1	<1
参考化合物 2	2	<1	<1

実施例63:ラット好酸球浸潤モデルにおける実施例27の化合物の薬効評価

day 0 およびday 7に卵白アルプミン (0VA) 1 mgとA1 (0H) $_3$ 100 mgを含む溶液をラット腹腔内に1 ml投与し免疫を行い、day 14に1% 0VA溶液を超音波ネプライザーにて15分間噴霧し反応を惹起した。被験化合物の投与は惹起2. 5時間前の気管内投与とし、惹起24時間後に気管支肺胞洗浄を行い洗浄液中の好酸球をHinkelman染色し、その数をカウントした。対照としてSensi. -/Challe. +、CMC-NaDCFluticasoneを用いた。図1DCV下表にその結果を示す。

表8

,		x 10⁴ cells	٠.
化合物(気管内投与)	平均 .	標準偏差	標準誤差
Sensi/ Challe.+	26.22	15.60	[.] 6.37
CMC-Na	319.55	281.89	115.08
実施例 27 0.001mg/kg	383.85	392.01	160.04
実施例 27 0.01mg/kg	186.77	161.86	66.08
実施例 27 0.1mg/kg	46.84	51.84	21.16
Fluticasone 0.01mg/kg	300.07	231.84	94.65

<u>実施例64:マウス能動皮膚アナフィラキシーモデルにおける実施例17の化合物の</u> 薬効評価

day 0に卵白アルブミン (0VA) 2 μ gとA1 (0H) $_{8}$ 5 μ gを含む溶液をマウス腹腔内に 500 μ 1投与し免疫を行い、day 14にエーテル麻酔維持下で 1 μ 0VA溶液を左耳介に 20 μ 1皮内注射し反応を惹起した。被験化合物は惹起 2 時間前に左耳介の表裏に 10 μ 1 ずつ塗布し、惹起 24時間後の耳介厚の測定をマイクロメータを用いて行った。対照としてアセトンを用いた。図 2 及び下表にその結果を示す。

表 9

		耳腫脹 (mm)		
化合	物 .	平均	標準偏差	標準誤差
	アセトン		0.021	0.009
実施例 17	4 μg/ear	0.046	0.024	0.010
実施例 17	40 μ g/ear	0.048	0.013	0.005
実施例 17	400 μ g/ear	0.014	0.008	0.003

実施例65:担癌モデルマウスにおける実施例27の化合物の抗腫瘍効果

6週齢の雄BALB/cマウス(日本チャールス・リバー)の左腹側部にマウス腎癌由来Renca細胞株 (岩手医大より入手) を $5x10^4$ cells/0.05 ml/mouseで皮内移植した。翌日に体重測定を行い、体重の平均値がほぼ同等になるように 6 匹ずつ 5 群に分け、ビークル(0.5%カルボキシルメチルセルロース(以下、CMC-Naと記載

する)水溶液)、1 mg/kg及び3 mg/kgの実施例27の化合物を、経口ゾンテを用いて強制経口投与し(10 ml/kg)、またマウス当たり1x10⁴ U/0.1 ml及び5x10⁴ U/0.1 mlのマウスインターフェロンα(以下、mIFN-αと記載する)を背部に皮下投与した。投与は4日毎に5回実施した。形成された腫瘍の長径[L](nm)及び短径[W](nm)を週2回計測し、腫瘍容積[V](nm³)を算出した(V=L×W²)。また、Steelの多重比較により有意差検定を行った。検定にはThe SAS system for Windows Release 8.01 (SAS Institute Inc., Cary, NC, USA)を使用した。

移植から約30日の観察期間を設け、腫瘍容積ならびに体重をモニターし、Renc a細胞株に対する抗腫瘍効果を評価した。薬剤の種類ごとにピークル投与と比較した腫瘍容積の平均値 (n=6) を図3に示した。その結果、実施例27の化合物及びnI FN- α 投与群はピークル投与群に比べ腫瘍容積が有意に小さく (P <0.05)、実施例27の化合物投与はnIFN- α 投与と同等以上の抗腫瘍効果を示すことがわかった。実施例66:自然転移モデルマウスにおける実施例27の化合物の抗腫瘍効果 (転移抑制効果)

6週齢の雌B6C3F1マウス(日本チャールス・リバー)の臀部に、マウスリンパ節高 転移性卵 巣癌 由来 0V2944-HM-1 細胞株 (広島大より入手) を 1x106 cells/0.05 ml/mouse で皮内移植した。移植10日後、ネンブタール麻酔下で原発腫瘍を切除した。切除部に外科用接着剤 (アロンアルファ)を塗布し、ウンドクリップにて断端を縫合した。翌日に体重測定を行い、体重の平均値がほぼ同等になるように各群 6 匹ずつ 3 群に分け、ビークル (0.5% CMC-Na水溶液)、及び3 mg/kgの実施例27の化合物を、経口ゾンテを用いて強制経口投与し(10 ml/kg)、また5x10⁴ U/0.1 ml/mouseのmIFN- αを背部に皮下投与した。投与は4日毎に5回実施した。移植後35日目に所属リンパ節 (鼠頚、上腕、腋窩)を摘出し、その湿重量を測定した。同時に肺を摘出し、転移の有無を肉眼観察した。また、Steelの多重比較により有意差検定を行った。検定にはThe SAS system for Windows Release 8.01 (SAS Institute Inc., Cary, NC, USA) を使用した。

図4に示したように、最も大きい転移巣は原発腫瘍に近い鼠頚リンパ節であり、続いて腋窩リンパ節、上腕リンパ節の順であった。実施例27の化合物投与群は、ビークル投与群に比べ各転移リンパ節重量が小さく、転移抑制効果を示した。

また、IM-I細胞株はリンパ節転移のみならず高頻度に肺転移が認められる。このことから、肺転移について検討した。下表に示したように、ビークル群では肉眼的所見で肺転移が6匹中5匹に認められたが、実施例27の化合物では全く認められなかった。このように実施例27の化合物はリンパ節転移の抑制に加え、強い肺転移抑制効果を有することが示された。一方、mIFN- α はリンパ節転移を抑制せず、肺転移の頻度もビークル群のそれと変わらなかった。

表10

	6匹中肺転移の認められた匹数
ビークル	5
マウスインターフェロンα	5
実施例27の化合物	0

実施例67: 製剤例

常法により次の組成からなる錠剤を製造した。

実施例25の化合物10mg乳糖600mgでん粉250mgヒドロキシプロピルセルロース30mgステアリン酸カルシウム5mg

実施例68:製剤例

常法により次の組成の固体分散製剤を作成した。

実施例27の化合物20mgニッコール (界面活性剤)5mgヒドロキシプロピルセルロース200mgメタノール2mlジクロロメタン2ml

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本明細書で引用した全ての刊行物をそのまま参考として本明細書中にとり入れるものとする。

産業上の利用の可能性

本発明のアデニン誘導体は選択的かつ際だったインターフェロン誘導活性を有する。本発明のアデニン誘導体は、生体内でインターフェロンの分泌を促進するので、インターフェロンが有効な疾患、例えばB型及びC型肝炎、エイズなどのウイルス疾患、癌疾患などの予防又は治療に有用である。本発明のアデニン誘導体は低分子であるので、インターフェロン製剤とは異なり経口投与が可能であり、しかも水溶性に優れ消化管吸収率が高い化合物である。更に、本発明のアデニン誘導体はTh2細胞から放出されるIL-4、IL-5などの炎症性サイトカインの産生を選択的に阻害するため、喘息、アトピー性皮膚炎などのTh2細胞が深く関与している疾患の予防又は治療薬として有用である。

請求の範囲

1. 一般式(I):

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[式中、Xは、NR³ (R³は水素原子若しくは炭素数1~3のアルキル基)、酸素原子、又は硫黄原子を表し; R¹は、置換若しくは非置換のアルキル基、置換若しくは非置換のアルケニル基、置換若しくは非置換のアリール基、又は置換若しくは非置換のヘテロアリール基を表し; R²は、水酸基、メルカプト基、炭素数1~8のアシルオキシ基、又は炭素数2~8のアルコキシカルボニルオキシ基を表し; Yは、置換若しくは非置換のナフタレン環か、置換若しくは非置換の、窒素原子、酸素原子、及び硫黄原子からなる群から選択される1個若しくは2個のヘテロ原子を含む5又は6員単環芳香族ヘテロ環か、或いは、置換若しくは非置換の、窒素原子、酸素原子、及び硫黄原子からなる群から選択される1個若しくは2個のヘテロ原子を含む縮合2環性芳香族ヘテロ環を表す。〕で示されるアデニン誘導体若しくはその互変異性体又はそれらの薬学的に許容される塩。

- 2. 前記一般式(I)において、R¹が炭素数1~8のアルキル基、炭素数2~8のアルケニル基、炭素数2~8のアルキニル基、炭素数2~8のアルコキシアルキル基、炭素数1~8のヒドロキシアルキル基、アリール基、ヘテロアリール基、アラルキル基、又はヘテロアリールアルキル基である請求の範囲第1項記載の化合物。
- 3. 前記一般式 (I) において、R¹が炭素数1~6のアルキル基である請求の範囲 第1項記載の化合物。
- 4. 前記一般式 (I) において、Xが、NHである請求の範囲第1項記載の化合物

- 5. 前記一般式 (I) において、Xが、酸素原子である請求の範囲第1項記載の 化合物。
- 6. 前記一般式(I)において、Yが、非置換若しくは置換のピリジン環又は置換若しくは非置換のピラジン環である請求の範囲第1項記載の化合物。
- 7. 前記一般式(I)において、Yが、非置換若しくは置換のナフタレン環又は 置換若しくは非置換のチオフェン環である請求の範囲第1項記載の化合物。
- 8. 前記一般式(I)において、Yが、炭素数1~4のアルキル基、炭素数1~4のアルコキシ基、水酸基、メルカプト基、炭素数1~4のアルキルチオ基、ハロゲン原子、アミノ基、炭素数2~8のジアルキルアミノ基、炭素数1~4のモノアルキルアミノ基、ピロリジニル基、ピペリジノ基及びモルホリノ基からなる群から選択される置換基を、該Yがピリジン環の場合は1~4個、該Yがピラジン環の場合は1~3個任意の位置に有する請求の範囲第1項記載の化合物。
- 9. 前記一般式 (I) において、Yが、炭素数1~4のアルキル基、炭素数1~4のアルコキシ基、水酸基、メルカプト基、炭素数1~4のアルキルチオ基、ハロゲン原子、アミノ基、炭素数2~8のジアルキルアミノ基、炭素数1~4のモノアルキルアミノ基、ピロリジニル基、ピペリジノ基及びモルホリノ基からなる群から選択される置換基を有していてもよいピリジン環であり、 R^1 が炭素数1~6のアルキル基であり、 R^2 が水酸基である請求の範囲第1項記載の化合物。
 - 10. Xが NH 又は酸素原子である請求の範囲第9項に記載の化合物。
- 11. 請求の範囲第1~10項のいずれか1項に記載の化合物を有効成分とする医薬。
- 12. 請求の範囲第1~10項のいずれか1項に記載の化合物を有効成分とするインターフェロン誘導剤。
- 13. 請求の範囲第1~10項のいずれか1項に記載の化合物を有効成分とする抗ウイルス剤。
- 14. 請求の範囲第1~10項のいずれか1項に記載の化合物を有効成分とする抗癌剤。
- 15. 請求の範囲第1~10項のいずれか1項に記載の化合物を有効成分とするタイプ2へルパーT細胞選択的免疫応答抑制剤。

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16. 請求の範囲第1~10項のいずれか1項に記載の化合物を有効成分とする 抗アレルギー剤。

17. 請求の範囲第1~10項のいずれか1項に記載の化合物を有効成分とする免疫応答調節剤。

図 1

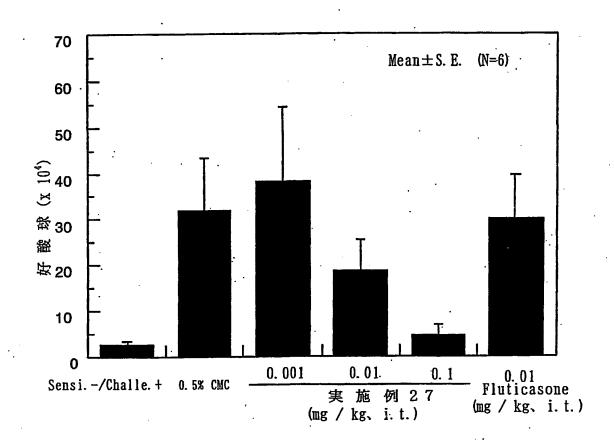
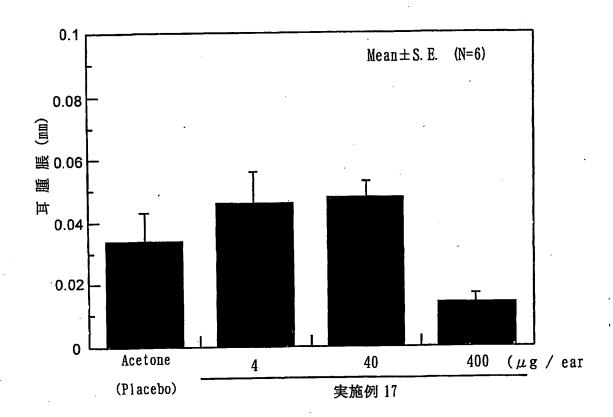
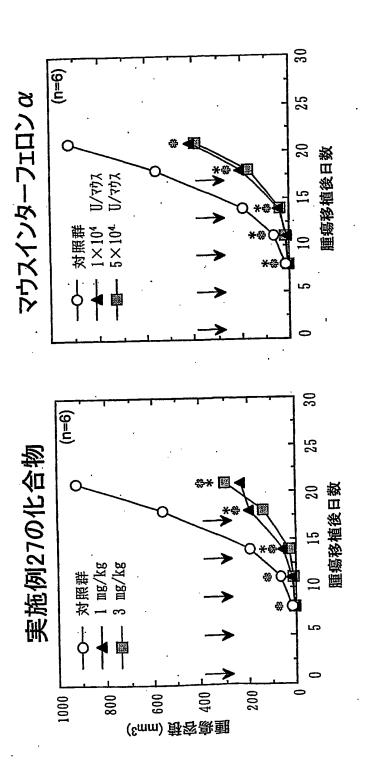


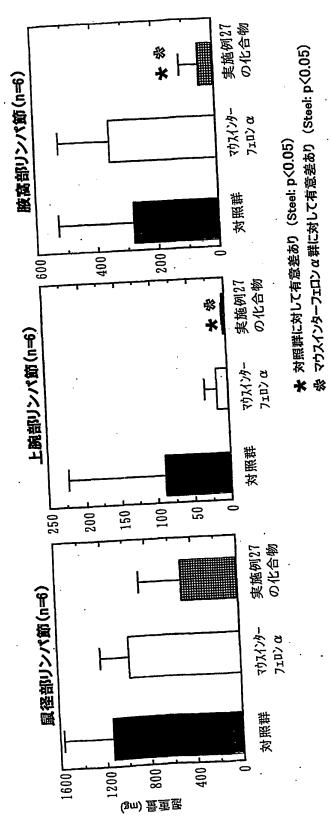
図 2



** 対照群に対して有意差あり (Steel: p<0.05)



3/4



INTERNATIONAL SEARCH REPORT

International application No.
PCT/JP02/03727

	ATION OF SUBJECT MATTER C07D473/16, 473/18, 473/24, A61K31/52, A61P11/06, 31/12, 31/18, 31/20, 35/00, 37/02, 37/06, 37/08, 4	17/00, 13/00
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Documentation	searched other than minimum documentation to the extent that such documents are included	in the fields searched
Electronic data REGIS	a base consulted during the international search (name of data base and, where practicable, sea $TRY(STN)$, $CAPLUS(STN)$, $CAOLD(STN)$	
20077	TENTS CONSIDERED TO BE RELEVANT	Relevant to claim No.
	with indication, where appropriate, or the local state of the local st	1-17
Category*	EP 1035123 Al (Sumitomo Pharmaceuticals Co.,	
A	Ltd.),	: .
	Ltd.), 13 September, 2000 (13.09.00), 6 CA 2311742 A 6 WO 99/28321 A1 7 US 6329381 B1	,
	, ATI 9912602 AL	1-17
.	JP 11-193282 A (Sumitomo Pharmaceuticals Co.,	
A		
Ì	21 July, 1999 (21.07.997)	
1	(Family: none)	1-3
A	JP 48-16519 B1 (Tanabe Seiyaku Co., Ltd.), 22 May, 1973 (22.05.73),	
1	(Family: none)	
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1	signation of Box C. See patent family annex.	
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Date of	the actual completion of the international search July, 2002 (03.07.02)	- ···
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J	Japanese Patent Office Telephone No.	
Energi	nile No.	·

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	Α	EP 1035123 A1 (SUMITOMO PHARMACEUT	ICALS COMPANY, LIMITED)	1-11
		2000.09.13 & WO 99/28321 A1 & CA 2311742 A &	AU 9912602 A1	
		& US 6329381 B1		·
	_	 JP 11-193282 A(住友製薬株式会社)	1999 07 21	1-17
	A	(ファミリーなし)		
	Α.	JP 48-16519 B1 (田辺製薬株式会社)	1973. 05. 22	1-3
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